L Number	Hits	Search' Text	DB	Time stamp
1 Number	4458	((544/406) or (544/407) or (546/114) or	USPAT;	2003/03/19 19:28
	1130	(546/280.4) or (546/298) or (546/310) or	US-PGPUB;	
		(546/323) or (548/200) or (548/241) or	EPO; JPO;	
1		(548/261) or (548/309) or (548/374.1) or	DERWENT	]
	•	(548/503)).CCLS.		
5	5038		USPAT;	2003/03/19 19:30
		(514/352) or (514/359) or (514/365) or	US-PGPUB;	
		(514/336) or (514/379) or (514/403) or	EPO; JPO;	]
		(514/354) or (514/350) or (514/394)).CCLS.	DERWENT	
6	8443	l	USPAT;	2003/03/19 19:30
		(546/280.4) or (546/298) or (546/310) or	US-PGPUB;	1
		(546/323) or (548/200) or (548/241) or	EPO; JPO;	1
		(548/261) or (548/309) or (548/374.1) or	DERWENT	
		(548/503)).CCLS.) or (((514/255.06) or		ł
		(514/301) or (514/344) or (514/352) or		1
1		(514/359) or (514/365) or (514/336) or	·	
		(514/379) or (514/403) or (514/354) or		
		(514/350) or (514/394)).CCLS.)		// 10 30
7	13786	synthas\$	USPAT;	2003/03/19 19:30
			US-PGPUB;	
			EPO; JPO;	
		(2.24.24.2)	DERWENT	2002/02/10 10:20
8	209	((((544/406) or (544/407) or (546/114) or	USPAT;	2003/03/19 19:30
		(546/280.4) or (546/298) or (546/310) or	US-PGPUB;	
		(546/323) or (548/200) or (548/241) or	EPO; JPO; DERWENT	
	i	(548/261) or (548/309) or (548/374.1) or	DERWENT	
		(548/503)).CCLS.) or (((514/255.06) or		
		(514/301) or (514/344) or (514/352) or		
İ	1	(514/359) or (514/365) or (514/336) or		
		(514/379) or (514/403) or (514/354) or		
		(514/350) or (514/394)).CCLS.)) and synthas\$	<u> </u>	<u> </u>

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C:\STNEXP4\QUERIES\10073307 (method).str
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chain nodes :
  11 14 15 16
ring nodes :
   1 2 3 4 5 6 7 8 9 10
chain bonds :
  11-14 14-15 14-16
ring bonds :
  1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10
exact/norm bonds :
   11-14 14-15
exact bonds :
  4-7 5-10 7-8 8-9 9-10 14-16
normalized bonds :
  1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
  containing 1 :
Match level :
   1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS
   Generic attributes :
   16:
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: Unsaturated

Saturation

=>

Uploading 10073307 (method).str

L1

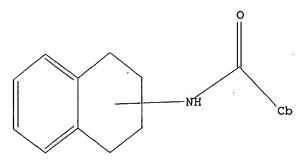
STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1

STR



Structure attributes must be viewed using STN Express query preparation.

 $\Rightarrow$  s 11 sss sam

SAMPLE SEARCH INITIATED 10:23:38 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 6126 TO ITERATE

16.3% PROCESSED

1000 ITERATIONS

8 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:

ONLINE \*\*COMPLETE\*\*

\*\*COMPLETE\*\* BATCH

PROJECTED ITERATIONS:

127210 117830 TO 560 TO

PROJECTED ANSWERS:

L2

8 SEA SSS SAM L1

=> s l1 sss ful

FULL SEARCH INITIATED 10:24:34 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 121427 TO ITERATE

100.0% PROCESSED 121427 ITERATIONS

421 ANSWERS

SEARCH TIME: 00.00.07

L3

421 SEA SSS FUL L1

=> s 13

L4

109 L3

=> s no-synthas? or (nitric oxide synthas?)

2898729 NO

70811 SYNTHAS?

10759 NO-SYNTHAS?

(NO(W)SYNTHAS?)

129620 NITRIC

1360444 OXIDE

Page 1

# 10/073,737 (method)

70811 SYNTHAS?

21065 NITRIC OXIDE SYNTHAS?

(NITRIC (W) OXIDE (W) SYNTHAS?)

L5 26163 NO-SYNTHAS? OR (NITRIC OXIDE SYNTHAS?)

=> s 14 and 15

L6 2 L4 AND L5

=> d 16 1-2 bib, ab, hitstr

```
ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS
L6
     2002:637654 CAPLUS
AN
DN
     137:185323
     Preparation of N-tetrahydronaphthyl (hetero)aranecarboxamides as
ΤI
     endothelial NO synthase expression upregulators
     Strobel, Hartmut; Wohlfart, Paulus
IN
     Aventis Pharma Deutschland Gmbh, Germany
PA
     PCT Int. Appl., 81 pp.
SO
     CODEN: PIXXD2
DΤ
     Patent
     English
LA
FAN.CNT 1
                                          APPLICATION NO.
                                                            DATE
                     KIND DATE
     PATENT NO.
                           _____
                                           _____
     _____
                      ____
                                           WO 2002-EP1448
                                                            20020212
     WO 2002064565
                      A1
                            20020822
PΙ
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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            CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                            20030130
                                          US 2002-73307
                                                            20020213
     US 2003022935
                     A1
PRAI EP 2001-102851
                      Α
                            20010213
     MARPAT 137:185323
     Title compds. [I; R1 = H, OH, alkyl; R2,R3 = H or alkyl; R5 =
AB
     (un) substituted Ph or -heteroaryl; R6R7 = (un) substituted CH: CHCH: CH] were
     prepd. Thus, prepn. of, e.g., RNHCOC6H4F-4 (R = 1,2,3,4-tetrahydro-2-
     naphthyl) was described. Data for biol. activity of I were given.
     291756-22-4P, 4-Fluoro-N-(1,2,3,4-tetrahydronaphth-2-yl)benzamide
IT
     449181-81-1P, (R)-N-(6-Bromo-1,2,3,4-tetrahydronaphth-2-yl)-4-
     fluorobenzamide 449181-83-3P, (S)-N-(6-Bromo-1,2,3,4-
     tetrahydronaphth-2-yl)-4-fluorobenzamide 449181-85-5P,
     (R)-N-(8-Bromo-1,2,3,4-tetrahydronaphth-2-yl)-4-fluorobenzamide
     449181-87-7P, (S)-N-(8-Bromo-1,2,3,4-tetrahydronaphth-2-yl)-4-
     fluorobenzamide 449181-89-9P, (R)-N-(5-Methoxy-1,2,3,4-
     tetrahydronaphth-2-yl)-4-fluorobenzamide 449181-91-3P,
     (S)-N-(5-Methoxy-1,2,3,4-tetrahydronaphth-2-yl)-4-fluorobenzamide
     449181-92-4P, (S)-N-(7-Methoxy-1,2,3,4-tetrahydronaphth-2-yl)-4-
     fluorobenzamide 449181-94-6P, (R)-N-(8-Methoxy-1,2,3,4-
     tetrahydronaphth-2-yl)-4-fluorobenzamide 449181-96-8P,
     (R)-3-Dimethylamino-N-[1,2,3,4-tetrahydronaphthalen-2-yl]benzamide
     449181-98-0P, (S)-3-Dimethylamino-N-[1,2,3,4-tetrahydronaphthalen-
     2-yl]benzamide
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (prepn. of N-tetrahydronaphthyl (hetero)aranecarboxamides as
        endothelial NO synthase expression upregulators)
     291756-22-4 CAPLUS
RN
     Benzamide, 4-fluoro-N-(1,2,3,4-tetrahydro-2-naphthalenyl)- (9CI)
CN
     INDEX NAME)
```

RN 449181-81-1 CAPLUS

CN Benzamide, N-[(2R)-6-bromo-1,2,3,4-tetrahydro-2-naphthalenyl]-4-fluoro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449181-83-3 CAPLUS

CN Benzamide, N-[(2S)-6-bromo-1,2,3,4-tetrahydro-2-naphthalenyl]-4-fluoro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449181-85-5 CAPLUS

CN Benzamide, N-[(2R)-8-bromo-1,2,3,4-tetrahydro-2-naphthalenyl]-4-fluoro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449181-87-7 CAPLUS

CN Benzamide, N-[(2S)-8-bromo-1,2,3,4-tetrahydro-2-naphthalenyl]-4-fluoro-

## (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449181-89-9 CAPLUS

CN Benzamide, 4-fluoro-N-[(2R)-1,2,3,4-tetrahydro-5-methoxy-2-naphthalenyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449181-91-3 CAPLUS

CN Benzamide, 4-fluoro-N-[(2S)-1,2,3,4-tetrahydro-5-methoxy-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449181-92-4 CAPLUS

CN Benzamide, 4-fluoro-N-[(2S)-1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl]-(9CI) (CA INDEX NAME)

RN 449181-94-6 CAPLUS

CN Benzamide, 4-fluoro-N-[(2R)-1,2,3,4-tetrahydro-8-methoxy-2-naphthalenyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449181-96-8 CAPLUS

CN Benzamide, 3-(dimethylamino)-N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449181-98-0 CAPLUS

CN Benzamide, 3-(dimethylamino)-N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD

```
L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS
```

AN 1998:2994 CAPLUS

DN 128:22823

TI Preparation of dibenzo[c,f]azonines for use as NO synthase inhibitors

IN Nallet, Jean Pierre; Megard, Anne Lise; Dreux, Jacques

PA Laboratoires Hoechst, Fr.

SO Fr. Demande, 49 pp.

CODEN: FRXXBL

DT Patent

LA French

FAN CNT 1

FAN. CNT I									
	PATENT NO.		DATE ·	APPLICATION NO.	DATE				
			<b></b>						
PI	FR 2745812	A1	19970912	FR 1996-2966	19960308				
	FR 2745812	B1	19980507						
PRAI	FR 1996-2966		19960308						
	G WARDAM 100,00000								

OS MARPAT 128:22823

AB Dibenzo[c,f]azonines I [R1 = H, halogen; R2 = H, OMe, OH; R4 = H, alkyl, acyl] were prepd. for use as NO synthase inhibitors.

Thus, dibenzo[c,f]azonine II was prepd. via sequential redn., hydrolysis, and intramol. cyclocondensation starting from Et 3-(3-methoxybenzylamino)-4-(3,4-dimethoxyphenyl)-2-butenoate, which was prepd. from the condensation of Et homoveratroylacetate with 3-methoxybenzylamine. Prepd. compds, as well as II, were tested for NO synthase inhibition.

IT 199389-50-9P 199389-51-0P 199389-52-1P 199389-53-2P 199389-54-3P 199389-55-4P 199389-56-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of dibenzo[c,f]azonines for use as  ${\tt NO}$ 

synthase inhibitors)

RN 199389-50-9 CAPLUS

CN Benzamide, N-[8-chloro-1,2,3,4-tetrahydro-6,7-dimethoxy-4-(phenylmethoxy)-2-naphthalenyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 199389-51-0 CAPLUS

CN Benzamide, N-(8-chloro-1,2,3,4-tetrahydro-4-hydroxy-6,7-dimethoxy-2-naphthalenyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 199389-52-1 CAPLUS

CN Benzamide, N-(1,2,3,4-tetrahydro-4-methoxy-2-naphthalenyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 199389-53-2 CAPLUS

CN Benzamide, 3-methoxy-N-(1,2,3,4-tetrahydro-4-methoxy-2-naphthalenyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 199389-54-3 CAPLUS

CN Benzamide, 3,4-dimethoxy-N-(1,2,3,4-tetrahydro-4-methoxy-2-naphthalenyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 199389-55-4 CAPLUS

CN Benzamide, N-(8-chloro-1,2,3,4-tetrahydro-4-methoxy-2-naphthalenyl)-3-methoxy-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 199389-56-5 CAPLUS

CN Benzamide, N-(8-chloro-1,2,3,4-tetrahydro-4-methoxy-2-naphthaleny1)-3,4-dimethoxy-, cis-(9CI) (CA INDEX NAME)

Relative stereochemistry.

# 10/073,737 (method)

=> s endothel?
L7 99457 ENDOTHEL?

=> s 15 (p) 17
L8 7144 L5 (P) L7

=> s 14 and 18
L9 1 L4 AND L8

=> s 19 not 16
L10 0 L9 NOT L6

=> s 14 and 17
L11 3 L4 AND L7

=> s 111 not 16
L12 2 L11 NOT L6

=> d 112 1-2 bib,ab,hitstr

```
ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS
L12
     2001:31473 CAPLUS
AN
DN
     134:100864
     Indazole compounds and pharmaceutical compositions for inhibiting protein
ΤI
     kinases, and methods for their use
     Kania, Robert Steven; Bender, Steven Lee; Borchardt, Allen J.; Braganza,
IN
     John F.; Cripps, Stephan James; Hua, Ye; Johnson, Michael David; Johnson,
     Theodore Otto, Jr.; Luu, Hiep The; Palmer, Cynthia Louise; Reich,
     Siegfried Heinz; Tempczyk-russell, Anna Maria; Teng, Min; Thomas;
     Christine; Varney, Michael David; Wallace, Michael Brennan
     Agouron Pharmaceuticals, Inc., USA
PA
SO
     PCT Int. Appl., 439 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO. DATE
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                                                             _____
PΙ
     WO 2001002369
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             LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
             SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW,
             AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
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                            20020514
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                                                             20000630
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     EP 1218348
                       A2
                            20020703
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     JP 2003503481
                       T2
                            20030128
                                            JP 2001-507809
                                                             20000630
                            20030311
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                                                             20011025
     US 6531491
                       В1
     US 6534524
                       В1
                            20030318
                                            US 2001-983783
                                                             20011025
     NO 2001005797
                       Α
                            20020301
                                            NO 2001-5797
                                                             20011128
PRAI US 1999-142130P
                       Р
                            19990702
                       В3
     US 2000-609335
                            20000630
                       W
                            20000630
     WO 2000-US18263
     MARPAT 134:100864
os
     Indazole compds. I [R1 = substituted or unsubstituted aryl or heteroaryl,
AΒ
     R3CH:CH, R3N:CH; R2 = substituted or unsubstituted aryl, heteroaryl, Y-X;
     R3 = substituted or unsubstituted alkyl alkenyl, cycloalkyl,
     heterocycloalkyl, aryl, heteroaryl; Y = O, S, C(:CH2), CO, SO, SO2,
     alkylidene, NH, N(C1-C8 \text{ alkyl}); X = \text{substituted or unsubstituted aryl},
     heteroaryl, NH(alkyl), NH(cycloalkyl), NH(heterocycloalkyl), NH(aryl),
     NH(heteroaryl), NH(alkoxy), NH(dialkylamide)] and their pharmaceutically
     acceptable prodrugs, active metabolites, and salts are disclosed.
     compds. modulate and/or inhibit the activity of certain protein kinases.
     In particular, I and pharmaceutical compns. contg. them are capable of
     mediating tyrosine kinase signal transduction, and thereby modulate and/or
     inhibit unwanted cell proliferation. The invention is also directed to
     the therapeutic or prophylactic use of pharmaceutical compns. contg. such
     compds., and to methods of treating cancer and other disease states
     assocd. with unwanted angiogenesis and/or cellular proliferation, such as
     diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and
     psoriasis, by administering effective amts. of such compds. E.g., I [R1 =
     (E) - 3, 4 - (MeO) 2C6H3CH: CH; R2 = 4 - HO - 3 - MeOC6H3] (II) was prepd. from
```

6-aminoindazole by diazotization and substitution with iodide, protection of the indazole nitrogen with 2,4,6-Me3C6H2SO2Cl, coupling of the regioisomeric mixt. with 4-(methoxymethoxy)-3-methoxybenzeneboronic acid in the presence of dichlorobis(triphenylphosphine)palladium, and deprotection of the indazole moiety and iodination at the 3-position of the indazole. Treatment of the 3-indazolyl iodide with sec-butyllithium, phenyllithium, and DMF, regioselective protection of the indazole with 2,4,6-Me3C6H2SO2Cl, olefination with 3,4-dimethoxybenzyltriphenylphosphoni um bromide, deprotection of the indazole, deprotection of the methoxymethyl group, and equilibration of the double bond with iodine gave II. Biol. data on protein kinase inhibition, cell proliferation inhibition, neovascularization inhibition, and i.p. and oral bioavailability, are given.

#### IT 319470-63-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of combinatorial libraries of aryl-substituted indazole derivs. as modulators and inhibitors of protein kinases in the treatment of tumor growth, cellular proliferation, and angiogenesis)

RN 319470-63-8 CAPLUS

CN Benzamide, 2-[[3-[(1E)-2-(2-pyridinyl)ethenyl]-1H-indazol-6-yl]thio]-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

```
ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS
     2000:335387 CAPLUS
AN
DN
     132:334364
     Preparation of anthranilic acid amides as vascular endothelial
ΤI
     growth factor receptor inhibitors.
     Huth, Andreas; Seidelmann, Dieter; Thierauch, Karl-Heinz; Bold, Guido;
IN
     Manley, Paul William; Furet, Pascal; Wood, Jeanette Marjorie; Mestan,
     Jurgen; Bruggen, Jose; Ferrari, Stefano; Kruger, Martin; Ottow, Eckhard;
     Menrad, Andreas; Schirner, Michael
     Schering Aktiengesellschaft, Germany; Novartis Aktiengesellschaft
PA
     PCT Int. Appl., 96 pp.
     CODEN: PIXXD2
DT
     Patent
T.A
     German
FAN.CNT 2
     PATENT NO.
                      KIND DATE
                                            APPLICATION NO.
                                                              DATE
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     WO 2000027819
                       A2
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                       A3
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             MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
             SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM
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                             20000907
                                            DE 1999-19910396 19990303
     DE 19910396
                        A1
                             20011213
     DE 19910396
                        C2
                             20010814
                                            BR 1999-15553
                                                               19991109
     BR 9915553
                        Α
                             20010905
                                            EP 1999-953967
                                                               19991109
     EP 1129074
                       A2
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
                                             JP 2000-580999
     JP 2002529452
                       T2 20020910
                                                              19991109
                                            EE 2001-20010025819991109
                             20021216
     EE 200100258
                        Α
     NO 2001002245
                       Α
                             20010710
                                            NO 2001-2245
                                                              20010507
                       Α
PRAI GB 1998-24579
                             19981110
     DE 1999-19910396 A
                             19990303
     WO 1999-EP8478
                        W
                             19991109
OS
     MARPAT 132:334364
     Title compds. [I; A = NR2; W = O, S, H2, NR8; Z = NR10, N, NR10(CH2)q,
AB
     alkyl, etc.; q = 1-6; AZR1 = tetrahydroisoquinolinyl, indazolyl,
     5-chloroindolyl, etc.; R1 = (substituted) aryl, heteroaryl; R2 = H, alkyl;
     R3 = (substituted) mono- or bicyclic aryl, heteroaryl; R4-R7 = H, halo,
     (substituted) alkoxy, alkyl, carboxyalkyl; R5R6 = dioxetanyl; R8, R10 = H,
     alkyl]. Thus, Me N-(4-pyridylmethyl)anthranilate (prepn. given) was
     stirred with Ph(CH2)3NH2 and Me3Al were stirred in PhMe to give
     N-(3-phenylprop-1-y1)-N2-(4-pyridylmethyl) anthranilamide. The latter
     inhibited VEGFR I with IC50 = 0.05 .mu.M.
IT
     267891-12-3P 267891-15-6P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of anthranilic acid amides as VEGF receptor inhibitors)
RN
     267891-12-3 CAPLUS
CN
     Benzamide, 2-[(4-pyridinylmethyl)amino]-N-(1,2,3,4-tetrahydro-6,7-
```

dimethoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 267891-15-6 CAPLUS

CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

## IT 267891-99-6 267892-02-4

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prepn. of anthranilic acid amides as VEGF receptor inhibitors)

RN 267891-99-6 CAPLUS

CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[(1R)-1,2,3,4-tetrahydro-1-naphthalenyl]- (9CI) (CA INDEX NAME)

RN 267892-02-4 CAPLUS

CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[(1S)-1,2,3,4-tetrahydro-1-naphthalenyl]- (9CI) (CA INDEX NAME)

## 10/073,737 (method)

=> s stimulat?
L13 649441 STIMULAT?

=> s synthas?

L14 70811 SYNTHAS?

=> s 17(p)113(p)114

L15 1829 L7(P)L13(P)L14

=> s 115 and 14

L16 0 L15 AND L4

=> s expression?

L17 669265 EXPRESSION?

=> s 115(p)117

L18 553 L15(P)L17

=> s (nitric oxide) or no

129620 NITRIC

1360444 OXIDE 76339 NITRIC OXIDE

(NITRIC(W)OXIDE)

2898729 NO

L19 2918405 (NITRIC OXIDE) OR NO

=> s 118(p)119

L20 521 L18(P)L19

=> s 120 and 14

L21 0 L20 AND L4

=> log y

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
50.07
199.23

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE
ENTRY
SESSION
CA SUBSCRIBER PRICE

-2.60
-2.60

STN INTERNATIONAL LOGOFF AT 10:41:07 ON 19 MAR 2003

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chain bonds :
   11-14 14-15 14-16
ring bonds :
   1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10
exact/norm bonds :
   11-14 14-15 14-16
exact bonds :
 . 4-7 5-10 7-8 8-9 9-10
normalized bonds :
  1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
   containing 1 :
Match level :
   1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS
   Generic attributes :
   16:
   Saturation
                     : Unsaturated
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chain nodes :

11 14 15 16

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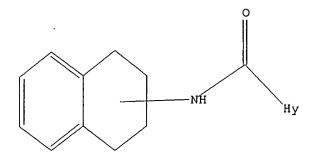
Uploading 10073307.str

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1



STR

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss sam

SAMPLE SEARCH INITIATED 14:08:11 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 6110 TO ITERATE

16.4% PROCESSED

1000 ITERATIONS

ATIONS

2 ANSWERS

319 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

117517 TO 126883

PROJECTED ANSWERS:

35 TO 45

L2 2 SEA SSS SAM L1

=> s l1 sss ful

FULL SEARCH INITIATED 14:08:29 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 121050 TO ITERATE

100.0% PROCESSED 121050 ITERATIONS

SEARCH TIME: 00.00.05

00.00.05

L3 319 SEA SSS FUL L1

=> s 13

L4 60 L3

=> d 14 1-60 bib, ab, hitstr

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ANSWER 1 OF 60 CAPLUS COPYRIGHT 2003 ACS
L4
     2002:927418 CAPLUS
AN
     138:14052
DN
     Preparation of thiazoles or oxazoles as selective hPPAR.alpha. agonists
ΤI
     for treatment of cardiovascular and related diseases
     Dumaitre, Bernard Andre; Gosmini, Romain Luc Marie
IN
     Glaxo Group Limited, UK
PA
                                                   not pind.
SO
     PCT Int. Appl., 35 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
                              DATE.
                                               APPLICATION NO.
                                                                  DATE
     PATENT NO.
                        KIND
                                               WO 2002096894
                              20021205
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                                                                  20020529
PI
                         A1
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PRAI GB 2001-13233
                              20010531
                         Α
     MARPAT 138:14052
os
     The title compds. [I; R1, R2 = H, alkyl; or R1 and R2 may together with
AΒ
     the carbon atom to which they are bonded form a 3-5 membered cycloalkyl
     ring; X1 = O, S; R3, R4, R8 and R9 = H, halo, Me, OMe; R5 = H, alkyl; or
     R4 and R5 together form a 3-6 membered cycloalkyl ring; X2 = NH, NMe, O;
     one of Y and Z is N, and the other is O or S; R6 = (un)substituted Ph,
     pyridyl (wherein the N is in position 2 or 3), with the provision that
     when R6 is pyridyl, the N is unsubstituted.; R7 = alkyl, alkylheteroaryl,
     alkylphenyl, etc., with the proviso that when R1 and R2 are Me, R8 and R9
     are H, R5 is H, then R7 cannot be Me or CF3] and their esters, were prepd.
     E.g., a multi-step synthesis of the acid II which showed EC50 of 1.7 nM in
     hPPAR.alpha. assay, was given.
ΙT
     477771-91-8P
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
         (prepn. of thiazoles or oxazoles for the treatment of hPPAR.alpha.
        mediated diseases)
RN
     477771-91-8 CAPLUS
     Propanoic acid, 2-methyl-2-[[5,6,7,8-tetrahydro-5-[[[4-methyl-2-[4-
CN
     (trifluoromethyl)phenyl]-5-thiazolyl]carbonyl]amino]-2-naphthalenyl]oxy]-,
```

ethyl ester (9CI) (CA INDEX NAME)

### IT 477771-92-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of thiazoles or oxazoles for the treatment of hPPAR.alpha. mediated diseases)

RN 477771-92-9 CAPLUS

CN Propanoic acid, 2-methyl-2-[[5,6,7,8-tetrahydro-5-[[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]carbonyl]amino]-2-naphthalenyl]oxy]-(9CI) (CA INDEX NAME)

### RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

```
ANSWER 2 OF 60 CAPLUS COPYRIGHT 2003 ACS
 L4
 AN
      2002:637654 CAPLUS
 DN
      137:185323
      Preparation of N-tetrahydronaphthyl (hetero)aranecarboxamides as
 TI
      endothelial NO synthase expression upregulators
      Strobel, Hartmut; Wohlfart, Paulus
 IN
                                                                    Appl. PCT.
      Aventis Pharma Deutschland Gmbh, Germany
 PA
      PCT Int. Appl., 81 pp.
 SO
      CODEN: PIXXD2
 DT
      Patent
 LA
      English
 FAN.CNT 1
                                             APPLICATION NO.
                                                              DATE
      PATENT NO.
                       KIND DATE
      WO 2002064565
                       A1
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          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
              CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
              BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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                        A1
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                                            US 2002-73307
                                                              20020213
 PRAI EP 2001-102851
                              20010213
 OS
      MARPAT 137:185323
      Title compds. [I; R1 = H, OH, alkyl; R2, R3 = H or alkyl; R5 =
 AB
      (un) substituted Ph or -heteroaryl; R6R7 = (un) substituted CH:CHCH:CH] were
      prepd. Thus, prepn. of, e.g., RNHCOC6H4F-4 (R = 1,2,3,4-tetrahydro-2-
      naphthyl) was described. Data for biol. activity of I were given.
      449181-61-7P 449182-01-8P 449182-04-1P
 TΤ
      449182-07-4P 449182-10-9P 449182-13-2P
      449182-16-5P 449182-19-8P 449182-21-2P
      449182-23-4P 449182-24-5P 449182-25-6P
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      449182-32-5P 449182-35-8P 449182-37-0P
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      449182-52-9P 449182-55-2P 449182-57-4P
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      449182-81-4P 449182-83-6P 449182-85-8P
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      449183-23-7P 449183-25-9P 449183-27-1P
      449183-30-6P
      RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
      (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
          (prepn. of N-tetrahydronaphthyl (hetero)aranecarboxamides as
         endothelial NO synthase expression upregulators)
 RN
      449181-61-7 CAPLUS
      Formic acid, compd. with N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]-4-
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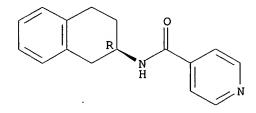
CN

pyridinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 449181-60-6 CMF C16 H16 N2 O

Absolute stereochemistry.



CM 2

CRN 64-18-6 CMF C H2 O2

о== сн- он

RN 449182-01-8 CAPLUS

CN Formic acid, compd. with 3-amino-N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]pyrazinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 449182-00-7 CMF C15 H16 N4 O

Absolute stereochemistry.

CM 2

CRN 64-18-6 CMF C H2 O2

о== сн- он

RN 449182-04-1 CAPLUS

CN Formic acid, compd. with 3-amino-N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]pyrazinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 449182-03-0 CMF C15 H16 N4 O

Absolute stereochemistry.

CM. 2

CRN 64-18-6 CMF C H2 O2

O== CH-OH

RN 449182-07-4 CAPLUS

CN Formic acid, compd. with 6-chloro-N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]-3-pyridinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 449182-06-3 CMF C16 H15 C1 N2 O

Absolute stereochemistry.

CM 2

CRN 64-18-6 CMF C H2 O2 о== сн− он

RN 449182-10-9 CAPLUS
CN Formic acid, compd. with 6-chloro-N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]-3-pyridinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 449182-09-6 CMF C16 H15 Cl N2 O

Absolute stereochemistry.

CM 2

CRN 64-18-6 CMF C H2 O2

О=== СН- ОН

RN 449182-13-2 CAPLUS

CN Formic acid, compd. with 1,2-dihydro-6-methyl-2-oxo-N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]-3-pyridinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 449182-12-1 CMF C17 H18 N2 O2

Absolute stereochemistry.

CM 2

CRN 64-18-6 CMF C H2 O2

O== CH- OH

RN 449182-16-5 CAPLUS

Formic acid, compd. with 2-amino-N-[(2R)-1,2,3,4-tetrahydro-2-CN naphthalenyl]-3-pyridinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM

CRN 449182-15-4 CMF C16 H17 N3 O

Absolute stereochemistry.

CM2

CRN 64-18-6 CMF C H2 O2

0== СН- ОН

RN

449182-19-8 CAPLUS Formic acid, compd. with 2-amino-N-[(2S)-1,2,3,4-tetrahydro-2-CN naphthalenyl]-3-pyridinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM

CRN 449182-18-7 CMF C16 H17 N3 O

CM 2

CRN 64-18-6 CMF C H2 O2

о— сн− он

RN 449182-21-2 CAPLUS

CN 3-Pyridinecarboxamide, 6-methyl-N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449182-23-4 CAPLUS

CN 3-Pyridinecarboxamide, 6-methyl-N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449182-24-5 CAPLUS

CN 1H-Indole-4-carboxamide, N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]- (9CI) (CA INDEX NAME)

RN 449182-25-6 CAPLUS

CN 1H-Indole-4-carboxamide, N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449182-26-7 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449182-28-9 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449182-30-3 CAPLUS

CN 1H-Benzotriazole-5-carboxamide, N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]-(9CI) (CA INDEX NAME)

RN 449182-32-5 CAPLUS

CN 1H-Benzotriazole-5-carboxamide, N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449182-35-8 CAPLUS

CN Formic acid, compd. with 2-methyl-N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]-3-pyridinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 449182-34-7 CMF C17 H18 N2 O

CHI CIT HIO NZ C

Absolute stereochemistry.

CM 2

CRN 64-18-6 CMF C H2 O2

о== сн- он

RN 449182-37-0 CAPLUS

CN 3-Pyridinecarboxamide, 2-methyl-N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449182-39-2 CAPLUS

CN 5-Thiazolecarboxamide, 2,4-dimethyl-N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449182-41-6 CAPLUS

CN 5-Thiazolecarboxamide, 2,4-dimethyl-N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449182-43-8 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-methyl-1-phenyl-N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]- (9CI) (CA INDEX NAME)

RN 449182-45-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-methyl-1-phenyl-N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449182-47-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-(4-chlorophenyl)-N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449182-49-4 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-(4-chlorophenyl)-N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449182-52-9 CAPLUS

CN Formic acid, compd. with 5-methyl-N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]pyrazinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 449182-51-8 CMF C16 H17 N3 O

CM 2

CRN 64-18-6 CMF C H2 O2

### о== сн− он

RN 449182-55-2 CAPLUS

CN Formic acid, compd. with 5-methyl-N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]pyrazinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 449182-54-1 CMF C16 H17 N3 O

Absolute stereochemistry.

CM 2

CRN 64-18-6 CMF C H2 O2

O=== CH- OH

RN 449182-57-4 CAPLUS

CN 3-Pyridinecarboxamide, 2,6-dimethoxy-N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]- (9CI) (CA INDEX NAME)

RN 449182-59-6 CAPLUS

CN 3-Pyridinecarboxamide, 2,6-dimethoxy-N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449182-62-1 CAPLUS

CN Formic acid, compd. with 2-chloro-6-methyl-N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]-3-pyridinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 449182-61-0 CMF C17 H17 C1 N2 O

Absolute stereochemistry.

CM 2

CRN 64-18-6 CMF C H2 O2

о= сн− он

RN 449182-65-4 CAPLUS

CN Formic acid, compd. with 2-chloro-6-methyl-N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]-3-pyridinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 449182-64-3 CMF C17 H17 C1 N2 O

Absolute stereochemistry.

CM 2

CRN 64-18-6 CMF C H2 O2

O = CH - OH

RN 449182-67-6 CAPLUS

CN 5-Thiazolecarboxamide, 4-methyl-2-phenyl-N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449182-69-8 CAPLUS

CN 5-Thiazolecarboxamide, 4-methyl-2-phenyl-N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]- (9CI) (CA INDEX NAME)

RN 449182-71-2 CAPLUS

CN 3-Pyridinecarboxamide, 2-amino-4,6-dimethyl-N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449182-74-5 CAPLUS

CN Formic acid, compd. with 2-amino-4,6-dimethyl-N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]-3-pyridinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 449182-73-4 CMF C18 H21 N3 O

Absolute stereochemistry.

CM 2

CRN 64-18-6 CMF C H2 O2

o=== сн- он

RN 449182-76-7 CAPLUS

CN 5-Thiazolecarboxamide, 2-methyl-N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449182-78-9 CAPLUS

CN 5-Thiazolecarboxamide, 2-methyl-N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449182-81-4 CAPLUS

CN Formic acid, compd. with N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]-5-(trifluoromethyl)thieno[3,2-b]pyridine-6-carboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 449182-80-3

CMF C19 H15 F3 N2 O S

Absolute stereochemistry.

CM 2

CRN 64-18-6

CMF C H2 O2

O== CH-OH

RN 449182-83-6 CAPLUS

CN 1H-Indole-6-carboxamide, N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449182-85-8 CAPLUS

CN 1H-Indole-6-carboxamide, N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449182-88-1 CAPLUS

CN Formic acid, compd. with N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]-6-(trifluoromethyl)-3-pyridinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 449182-87-0

CMF C17 H15 F3 N2 O

Absolute stereochemistry.

CM 2

CRN 64-18-6 CMF C H2 O2

O = CH - OH

RN 449182-91-6 CAPLUS

CN Formic acid, compd. with N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]-6-(trifluoromethyl)-3-pyridinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 449182-90-5 CMF C17 H15 F3 N2 O

Absolute stereochemistry.

CM 2

CRN 64-18-6 CMF C H2 O2

о== сн-он

RN 449182-93-8 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 2-methyl-N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449182-95-0 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 2-methyl-N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449182-98-3 CAPLUS

CN Formic acid, compd. with 2-methyl-N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]-6-(trifluoromethyl)-3-pyridinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 449182-97-2 CMF C18 H17 F3 N2 O

Absolute stereochemistry.

CM 2

CRN 64-18-6 CMF C H2 O2

о== сн- он

RN 449183-00-0 CAPLUS

CN Formic acid, compd. with 2-methyl-N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]-6-(trifluoromethyl)-3-pyridinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 449182-99-4 CMF C18 H17 F3 N2 O

Absolute stereochemistry.

CM 2

CRN 64-18-6 CMF C H2 O2

o== ch- он

RN 449183-03-3 CAPLUS

CN Formic acid, compd. with 6-cyano-N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]-3-pyridinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 449183-02-2 CMF C17 H15 N3 O

Absolute stereochemistry.

CM 2

CRN 64-18-6 CMF C H2 O2

o = CH - OH

RN 449183-06-6 CAPLUS

CN Formic acid, compd. with 6-cyano-N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]-3-pyridinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 449183-05-5 CMF C17 H15 N3 O

Absolute stereochemistry.

CM 2

CRN 64-18-6 CMF C H2 O2

о=== СН- ОН

RN 449183-08-8 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449183-10-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449183-12-4 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-(4-methoxyphenyl)-5-methyl-N-((2R)-1,2,3,4-tetrahydro-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449183-14-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-(4-methoxyphenyl)-5-methyl-N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449183-16-8 CAPLUS

CN 3-Pyridinecarboxamide, N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]-5-(2-thienyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449183-19-1 CAPLUS

CN Formic acid, compd. with N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]-5-(2-thienyl)-3-pyridinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 449183-18-0 CMF C20 H18 N2 O S Absolute stereochemistry.

CM 2

CRN 64-18-6 CMF C H2 O2

o = ch - oh

RN 449183-21-5 CAPLUS

CN 2,1-Benzisoxazole-3-carboxamide, N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449183-23-7 CAPLUS

CN 2,1-Benzisoxazole-3-carboxamide, N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449183-25-9 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-(3,4-dichlorophenyl)-5-propyl-N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449183-27-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-(3,4-dichlorophenyl)-5-propyl-N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449183-30-6 CAPLUS

CN Formic acid, compd. with N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]-4-pyridinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 449183-29-3 CMF C16 H16 N2 O

Absolute stereochemistry.

CM 2

CRN 64-18-6

CMF C H2 O2

O = CH - OH

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L4
    ANSWER 3 OF 60 CAPLUS COPYRIGHT 2003 ACS
AN
     2002:637648 CAPLUS
     137:185516
DN
     Preparation of oxazole derivatives and their use as cytokine inhibitors
ΤI
     Naruto, Shunji; Sugano, Yuichi; Tatsuta, Tohru; Burdi, Douglas; Porte,
IN
     Alexander; Grisostomi, Corinna
                                       o' dies ten
PA
     Sankyo Company, Limited, Japan
SO
     PCT Int. Appl., 444 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
                            DATE
                      KIND
                                           APPLICATION NO.
                                                            DATE
     PATENT NO.
                            20020822
                                           WO 2002-US4326
PΙ
    WO 2002064558
                      A2
                                                            20020213
         W: AU, BR, CA, CN, CO, CZ, HU, ID, IL, IN, JP, KR, MX, NO, NZ, PH,
             PL, RU, SG, SK, US, VN, ZA
         RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, TR
PRAI US 2001-268771P
                            20010214
    MARPAT 137:185516
OS
    Title oxazole derivs. [I; X = (un)substituted-aryl, (un)substituted-
AB
    heteroaryl, (un)substituted-N-contg.-heteroaryl; Y = (un)substituted-aryl,
     (un) substituted-heteroaryl; R2 = OH, alkoxy, NH2, alkylamino, arylamino,
     etc.] and pharmacol. acceptable salts thereof, which have activity in
     inhibiting inflammatory cytokines, particularly IL-4, are prepd.
     Pharmaceutical compns. comprising title oxazole derivs. I and methods of
    prophylaxis and treatment of diseases mediated by cytokines, particularly
     allergic diseases are described. Thus, the title compd. II was prepd.
     from glycine Et ester hydrochloride, 4-tert-butylbenzoyl chloride, and
     4-nitrobenzoyl chloride through hydrogenation, acylation, and amination,
     and was in vitro tested for inhibition of IL-4 prodn. and cellular
     viability.
IΤ
     449159-60-8P 449160-07-0P 449160-95-6P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (prepn. of oxazole derivs. and their use as cytokine inhibitors)
RN
     449159-60-8 CAPLUS
CN
     4-Oxazolecarboxamide, 5-[4-(dimethylamino)phenyl]-2-[4-(1,1-
     dimethylethyl)phenyl]-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI)
```

INDEX NAME)

RN 449160-07-0 CAPLUS
CN 4-Oxazolecarboxamide, 5-[4-(acetylamino)phenyl]-2-[4-(1,1-dimethylethyl)phenyl]-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 449160-95-6 CAPLUS
CN 4-Oxazolecarboxamide, 5-[3-(dimethylamino)phenyl]-2-[4-(1,1-dimethylethyl)phenyl]-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

- L4 ANSWER 4 OF 60 CAPLUS COPYRIGHT 2003 ACS
- AN 2002:554265 CAPLUS
- DN 137:243205
- TI Comparison of the computer programs DEREK and TOPKAT to predict bacterial mutagenicity
- AU Cariello, Neal F.; Wilson, John D.; Britt, Ben H.; Wedd, David J.; Burlinson, Brian; Gombar, Vijay
- CS Safety Assessment, GlaxoSmithKline Inc., Research Triangle Park, NC, 27709, USA
- SO Mutagenesis (2002), 17(4), 321-329 CODEN: MUTAEX; ISSN: 0267-8357
- PB Oxford University Press
- DT Journal
- LA English
- The performance of two computer programs, DEREK and TOPKAT, was examd. AB with regard to predicting the outcome of the Ames bacterial mutagenicity assay. The results of over 400 Ames tests conducted at Glaxo Wellcome (now GlaxoSmithKline) during the last 15 yr on a wide variety of chem. classes were compared with the mutagenicity predictions of both computer programs. DEREK was considered concordant with the Ames assay if (i) the Ames assay was neg. (not mutagenic) and no structural alerts for mutagenicity were identified or (ii) the Ames assay was pos. (mutagenic) and at least one structural alert was identified. Conversely, the DEREK output was considered discordant if (i) the Ames assay was neg. and any structural alert was identified or (ii) the Ames assay was pos. and no structural alert was identified. The overall concordance of the DEREK program with the Ames results was 65% and the overall discordance was 35%, based on over 400 compds. About 23% of the test mols. were outside the permissible limits of the optimum prediction space of TOPKAT. Another 4% of the compds. were either not processable or had indeterminate mutagenicity predictions; these mols. were excluded from the TOPKAT anal. If the TOPKAT probability was (i) .qtoreq.0.7 the mol. was predicted to be mutagenic, (ii) .ltoreq.0.3 the compd. was predicted to be non-mutagenic and (iii) between 0.3 and 0.7 the prediction was considered indeterminate. From over 300 acceptable predictions, the overall TOPKAT concordance was 73% and the overall discordance was 27%. While the overall concordance of the TOPKAT program was higher than DEREK, TOPKAT fared more poorly than DEREK in the crit. Ames-pos. category, where 60% of the compds. were incorrectly predicted by TOPKAT as neg. but were mutagenic in the Ames test. For DEREK, 54% of the Ames-pos. mols. had no structural alerts and were predicted to be non-mutagenic. Alternative methods of analyzing the output of the programs to increase the accuracy with Ames-pos. compds. are discussed.
- IT 461053-64-5
  - RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (computer programs DEREK and TOPKAT to predict bacterial mutagenicity)
- RN 461053-64-5 CAPLUS
- CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-[(1S)-1,2,3,4-tetrahydro-7-methyl-1-naphthalenyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 5 OF 60 CAPLUS COPYRIGHT 2003 ACS
L4
AN
     2002:539670 CAPLUS
DN
     137:93746
     2-Arylimino-2,3-dihydrothiazoles, processes for their preparation, and
TI
     their use as somatostatin receptor ligands
     Moinet, Christophe; Sackur, Carole; Thurieau, Christophe
IN
     Societe De Conseils De Recherches Et D'applications Scientifiques
PA
     (S.C.R.A.S.), Fr.
SO
     PCT Int. Appl., 465 pp.
                                             not prior
     CODEN: PIXXD2
DT
     Patent
LΑ
     French
FAN.CNT 1
                      KIND DATE
                                           APPLICATION NO.
     PATENT NO.
                                                            DATE
                                           _____
     WO 2002055510
                      A1
                           20020718
                                           WO 2002-FR93
                                                            20020111
PΙ
        W: AE, AG, AL, AM, AT, AV, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
           CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
            UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
            TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                                            20010112
     FR 2819508
                      A1
                            20020719
                                           FR 2001-396
PRAI FR 2001-396
                            20010112
os
     MARPAT 137:93746
     The invention concerns novel 2-arylimino-2,3-dihydrothiazole derivs. I and
AB
     their racemates, enantiomers, combinations, and salts [wherein R1 =
     (un) substituted, particularly amino-substituted alk(en/yn)yl,
     (hetero)aryl, aralkyl, cycloalkyl, etc.; R2 = (un)substituted carbocyclic
     or heterocyclic aryl; R3 = alkyl, adamantyl, (un)substituted (hetero)aryl
     or (hetero)aralkyl, (un)substituted carbamoyl; R4 = H, alkyl,
     (un) substituted (hetero) aralkyl, etc.]. Also disclosed are methods of
     their prepn. and their use as medicines, in particular for treating a wide
     variety of pathol. conditions or diseases involving somatostatin
     receptors. In particular, these pathol. conditions include acromegaly,
     pituitary adenoma, endocrine gastroenteropancreatic tumors (including
     carcinoid syndrome), and gastrointestinal bleeding. Examples include a
     few detailed syntheses, a listing of over 2800 characterized invention
     compds., and various precursor prepns. For instance, 4-H2NC6H4CH2CH2NH2
     was bound to Wang resin p-nitrophenylcarbonate (at the aliph. amino
     group), and the resin-bound amine reacted sequentially with PhCH2CH2NCS,
     bromopyruvic acid, and 4-ClC6H4CH2NH2 to give, after acidic cleavage,
     (Z)-isomeric title compd. II. Twenty selected compds. I, including
     III:2HCl, inhibited binding of [125I-Tyr11]SRIF-14 to human somatostatin
     receptors in vitro with Ki < 200 nM.
IT
     322747-60-4P 322747-74-0P 322747-88-6P
     322748-02-7P 322748-30-1P 322748-50-5P
     322748-70-9P 322748-90-3P 322750-11-8P
     322750-27-6P 322750-43-6P 322750-59-4P
     322750-75-4P
     RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);
     SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
     study); PREP (Preparation); USES (Uses)
        (drug candidate; prepn. of (arylimino)dihydrothiazoles as somatostatin
```

receptor ligands)
RN 322747-60-4 CAPLUS
CN 4-Thiazolecarboxamide, 3-(6-aminohexyl)-2,3-dihydro-2-[(3-nitrophenyl)imino]-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 322747-74-0 CAPLUS
CN 4-Thiazolecarboxamide, 3-(6-aminohexyl)-2,3-dihydro-2-[(4-phenoxyphenyl)imino]-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 322747-88-6 CAPLUS
CN 4-Thiazolecarboxamide, 3-(6-aminohexyl)-2,3-dihydro-2-[[4-(1-piperidinylsulfonyl)phenyl]imino]-N-(1,2,3,4-tetrahydro-1-naphthalenyl)-

(9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 322748-02-7 CAPLUS

CN 4-Thiazolecarboxamide, 3-(6-aminohexyl)-2-[(3-bromophenyl)imino]-2,3-dihydro-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 322748-30-1 CAPLUS

CN 4-Thiazolecarboxamide, 3-(4-aminobutyl)-2-[(3,5-dimethylphenyl)imino]-2,3-dihydro-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 322748-50-5 CAPLUS

CN 4-Thiazolecarboxamide, 3-(4-aminobutyl)-2,3-dihydro-2-(1-naphthalenylimino)-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 322748-70-9 CAPLUS

CN 4-Thiazolecarboxamide, 3-(5-aminopentyl)-2-[(3,5-dimethylphenyl)imino]-2,3-dihydro-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 322748-90-3 CAPLUS

CN 4-Thiazolecarboxamide, 3-(5-aminopentyl)-2,3-dihydro-2-(1-naphthalenylimino)-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 322750-11-8 CAPLUS

CN 4-Thiazolecarboxamide, 2-[[3-(aminomethyl)phenyl]imino]-2,3-dihydro-3-(2-phenylethyl)-N-[(1R)-1,2,3,4-tetrahydro-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 322750-27-6 CAPLUS

CN 4-Thiazolecarboxamide, 2-[[3-(aminomethyl)phenyl]imino]-2,3-dihydro-3-(2-methylpropyl)-N-[(1R)-1,2,3,4-tetrahydro-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 322750-43-6 CAPLUS

CN 4-Thiazolecarboxamide, 2-[[3-(aminomethyl)phenyl]imino]-2,3-dihydro-3-(1-naphthalenylmethyl)-N-[(1R)-1,2,3,4-tetrahydro-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 322750-59-4 CAPLUS

CN 4-Thiazolecarboxamide, 2-[[3-(aminomethyl)phenyl]imino]-3-[(4-chlorophenyl)methyl]-2,3-dihydro-N-[(1R)-1,2,3,4-tetrahydro-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 322750-75-4 CAPLUS

CN 4-Thiazolecarboxamide, 2-[[3-(aminomethyl)phenyl]imino]-2,3-dihydro-3-[(2-methoxyphenyl)methyl]-N-[(1R)-1,2,3,4-tetrahydro-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 6 OF 60 CAPLUS COPYRIGHT 2003 ACS
L4
     2002:521709 CAPLUS
AN
     137:93689
DN
     Preparation of pyridone derivatives having affinity for cannabinoid type 2
ΤI
     Tada, Yukio; Iso, Yasuyoshi; Hanasaki, Kohji
IN
     Shionogi & Co., Ltd., Japan
PA
                                           nt die
     PCT Int. Appl., 307 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     Japanese
FAN.CNT 1
     PATENT NO.
                      KIND
                            DATE
                                           APPLICATION NO.
                                                            DATE
                            20020711.
                                           WO 2001-JP11427 20011226
    WO 2002053543
PΙ
                       Α1
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
             UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ,
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI JP 2000-400768
                            20001228
                       Α
    MARPAT 137:93689
OS
     The title compds. I [R1 represents a group represented by the formula
AB
     Y1Y2Y3Ra (wherein Y1 is a single bond, etc.; Y2 is C(:0)NH, etc.; and Y3
     is optionally substituted aryl, etc.; Ra is (un) substituted alkyl, etc.),
     etc.; R2 represents hydrogen, etc.; R3 represents alkyl, etc.; R4
     represents alkyl, etc.; and R5 represents optionally substituted alkyl,
     etc.; X is S or O; a proviso is given] are prepd. In an in vitro test for
     human CB2 receptor binding inhibition, compds. of this invention showed
     the Ki values of 1.5 nM to 101 nM. In an in vitro test for human CB1
     receptor binding inhibition, compds. of this invention showed the Ki
     values of 54 nM to > 5000 nM.
IT
     441303-36-2P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (prepn. of pyridone derivs. having affinity for cannabinoid type 2
        receptor)
     441303-36-2
                 CAPLUS
RN
     3-Pyridinecarboxamide, 1-(cyclohexylmethyl)-1,2-dihydro-5,6-dimethyl-2-oxo-
CN
```

N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L4
     ANSWER 7 OF 60 CAPLUS COPYRIGHT 2003 ACS
AN
     2002:293652 CAPLUS
     136:325531
DN
     Preparation of (poly)azanaphthalenyl carboxamides as HIV integrase
TI
     inhibitors
IN
     Anthony, Neville J.; Gomez, Robert P.; Young, Steven D.; Egbertson,
     Melissa; Wai, John S.; Zhuang, Linghang; Embrey, Mark; Tran, Lekhanh;
     Melamed, Jeffrey Y.; Langford, H. Marie; Guare, James P.; Fisher, Thorsten
     E.; Jolly, Samson M.; Kuo, Michelle S.; Perlow, Debra S.; Bennett,
     Jennifer J.; Funk, Timothy W.
PA
     Merck & Co., Inc., USA
SO
     PCT Int. Appl., 434 pp.
     CODEN: PIXXD2
     Patent
DT
LA
     English
FAN.CNT 2
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO. DATE
                                            -----
     WO 2002030930
                                           WO 2001-US31456 20011009
ΡI
                      A2
                            20020418
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2002011527
                      A5
                            20020422
                                           AU 2002-11527
                            20001012
PRAI US 2000-239707P
                       Ρ
     US 2001-281656P
                            20010405
                       Ρ
     WO 2001-US31456
                       W
                            20011009
OS
     MARPAT 136:325531
     Title compds., including certain quinoline carboxamide and naphthyridine
AΒ
     carboxamide derivs., I [wherein A = (un)substituted Ph or Ph fused to a
     carbocycle; L = a single bond, or (un) substituted alkyl, alkenyl,
     alkylcycloalkylalkyl, or alkyl-M-alkyl; M = NRa, OCO, or CO2; X = N or
     CQ1; Y = N or CQ2, provided that X and Y are not both N; Z1 = N or CQ3; Z2
     = N or CQ4; Z3 = N or CH; Q1-Q4 = independently H, halo, CN, NR1CR10, or
     (un) substituted alkyl, alkoxy, alkenyl, alkynyl, carbamoyl,
     carboximidamido, amino, etc.; or C2Q2Q3 = (un)substituted 5- or 6-membered
     carbocycle or heterocycle; R1 and R2 = independently H, OH, halo, NO2, CN,
     or (un) substituted alkyl, alkenyl, alkoxy, amino, sulfonylamino, etc.; R3 and R4 = independently H, halo, CN, NO2, OH, alkenyl, or (un) substituted
     alkyl, amino, sulfonylamino, etc.; R5 = H, CN, CN, or (un)substituted
     alkyl or aryl; Ra = independently H or (halo)alkyl; or pharmaceutically
     acceptable salts thereof] were prepd. I are inhibitors of HIV integrase
     and inhibitors of HIV replication, and are useful in the prevention or
     treatment of infection by HIV and the treatment of AIDS, as compds. or
     pharmaceutically acceptable salts, or as ingredients in pharmaceutical
     compns., optionally in combination with other antivirals,
     immunomodulators, antibiotics, or vaccines. For example, Mitsunobu
     reaction of iso-Pr 3-(hydroxymethyl)pyridine-2-carboxylate with Me
     N-[(4-methylphenyl)sulfonyl]glycinate, followed by cyclization in the
    presence on NaOMe, afforded Me 8-hydroxy-1,6-naphthyridine-7-carboxylate.
     Coupling with 3,5-dichlorobenzylamine in toluene gave II. Representative
     compds. were assayed for the inhibition of acute HIV infection of
```

T-lymphoid cells and demonstrated IC95 values of < 20 .mu.M.

IT 410543-06-5P, 8-Hydroxy-N-(1,2,3,4-tetrahydronaphthalen-1-yl)-1,6-naphthyridine-7-carboxamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(HIV integrase inhibitor; prepn. of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors for treatment of AIDS)

RN 410543-06-5 CAPLUS

CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

```
L4
     ANSWER 8 OF 60 CAPLUS COPYRIGHT 2003 ACS
     2002:185126 CAPLUS
ΑN
DN
     136:247485
TI
     Preparation of bicyclic pyrrolyl amides as glycogen phosphorylase
IN
     Bartlett, Julie B.; Freeman, Sue; Kenny, Peter; Morley, Andrew;
     Whittamore, Paul
PA
     Astrazeneca AB, Swed.
                                             not prior
     PCT Int. Appl., 141 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                      KIND
                                           APPLICATION NO.
                                                            DATE
                                           -----
     WO 2002020530
                            20020314
PI
                       A1
                                           WO 2001-SE1880
                                                            20010831
        W: AE, AG, AL, AM, AT, AU,
                                    AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
             US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2001082833
                      Α5
                            20020322
                                           AU 2001-82833
                                                            20010831
PRAI GB 2000-21831
                            20000906
     WO 2001-SE1880
                            20010831
    MARPAT 136:247485
     Title compds. I [R1 = H, halo, NO2, CN, OH, (un)substituted alkyl,
     alkenyl, etc.; R2 = H, halo, NO2, CH2F, CHF2, CF3, amino, alkyl, alkenyl,
     alkoxy, etc.; R3 = H, alkyl; -X-Y-Z- is selected from -S-CR4=CR5-,
     -CR4=CR5-S-, -O-CR4=CR5-, -CR4=CR5-O-, -N=CR4-S-, -S-CR4=N-, -NR3-CR4=CR5-
     and -CR4=CR5-NR3- wherein R4 and R5 = independently H, halo, CN, alkyl,
     ureido, NO2, etc.; n = 0-4] or a pharmaceutically acceptable salt or an in
     vivo hydrolyzable ester thereof were prepd. possessing glycogen
     phosphorylase inhibitory activity (no data). Thus, II was prepd. by
     amidation of 5-carboxy-2,3-dichloro-4H-thieno[3,2-b]pyrrole with
     2-phenoxyethylamine. As glycogen phosphorylase inhibitors, I have value
     in the treatment of disease states assocd. with increased glycogen
     phosphorylase activity, e.g., type 2 diabetes. Pharmaceutical compns.
     contg. I are described.
IT
     403859-27-8P 403859-87-0P 403859-89-2P
     403860-71-9P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (target compd.; prepn. of thienopyrrolyl amides as glycogen
       phosphorylase inhibitors)
RN
     403859-27-8 CAPLUS
CN
     4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-(1,2,3,4-tetrahydro-
```

2-naphthalenyl) - (9CI) (CA INDEX NAME)

RN 403859-87-0 CAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-1,2,3,4-tetrahydro-1-hydroxy-2-naphthalenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 403859-89-2 CAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-(1,2,3,4-tetrahydro-7-methoxy-1-oxo-2-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 403860-71-9 CAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-(1,2,3,4-tetrahydro-1-hydroxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 9 OF 60 CAPLUS COPYRIGHT 2003 ACS
L4
AN
     2002:171898 CAPLUS
DN
     136:232298
     Pyrazolopyridine compounds and pharmaceutical use thereof as adenosine
ΤI
     receptor antagonists
     Akahane, Atsushi; Tanaka, Akira; Minagawa, Masatoshi; Itani, Hiromichi;
IN
     Ohtake, Hiroaki
PA
     Fujisawa Pharmaceutical Co., Ltd., Japan
SO
     PCT Int. Appl., 149 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                      KIND
                                           APPLICATION NO.
                                                            DATE
                                                            20010827
                            20020307
                                           WO 2001-JP7322
    WO 2002018382
                       A1
PI
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
    AU 2001080188
                       A5
                            20020313
                                           AU 2001-80188
PRAI AU 2000-9698
                       Α
                            20000828
    WO 2001-JP7322
                       W
                            20010827
    MARPAT 136:232298
OS
     Pyrazolopyridines I are disclosed [wherein: R1 = H, (un)substituted lower
AB
     alkyl or cycloalkyl which may be interrupted by an O or N; R2 = H, halo,
     or lower alkoxy; R3 = independent substituent(s); and n = 1 to 4; or a
     salt thereof]. The compds. are adenosine antagonists, and are thus useful
     for the prevention and/or treatment of a wide variety of medical
     conditions, e.g., depression, dementia (e.g., Alzheimer's disease,
     cerebrovascular dementia, dementia accompanying Parkinson's disease, etc.)
     Parkinson's disease, anxiety, pain, cerebrovascular disease (e.g. stroke,
     etc.), heart failure, and the like. In particular, treatment of
     Parkinson's disease and/or assocd. symptoms is specifically claimed.
     330 example compds. are described. For instance, cyclization of
     1-amino-4-methoxypyridinium iodide with 3-(benzenesulfonyl)-6-
     (phenylethynyl)pyridazine, gave 3-(3-phenylsulfonylpyridazin-6-yl)-5-
     methoxy-2-phenylpyrazolo[1,5-a]pyridine. This compd. was hydrolyzed at
     the phenylsulfinyl group, and the resultant pyridazinone was N-alkylated
    with NaH/DMF and iso-PrI to give title compd. II. In radioligand binding
     assays, II had Ki values of 0.15 nM for human Al receptors and 1.38 nM for
     human A2A receptors. In an anticatalepsy test in mice, 6 tested example
     compds. I at 3.2 mg/kg orally completely suppressed the cataleptic effects
     of haloperidol at 0.32 mg/kg i.p.
     403495-70-5P, N-(1,2,3,4-Tetrahydronaphthalen-1-yl)-3-(3-oxo-2-
IT
     isopropyl-2,3-dihydropyridazin-6-yl)-2-phenylpyrazolo[1,5-a]pyridine-5-
     carboxamide
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (drug candidate; prepn. of pyrazolopyridines as adenosine receptor
        antagonists)
```

403495-70-5 CAPLUS

RN

CN Pyrazolo[1,5-a]pyridine-5-carboxamide, 3-[1,6-dihydro-1-(1-methylethyl)-6-oxo-3-pyridazinyl]-2-phenyl-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L4
        ANSWER 10 OF 60 CAPLUS COPYRIGHT 2003 ACS
ΑN
         2002:171866 CAPLUS
         136:232313
DN
         Preparation of pyrimidine derivatives as G protein-coupled receptor kinase
TI
         (GRK) inhibitors
IN
         Fukumoto, Shoji; Watanabe, Toshifumi; Ikeda, Shota
        Takeda Chemical Industries, Ltd., Japan
PA
         PCT Int. Appl., 322 pp.
SO
        CODEN: PIXXD2
DT
         Patent
LA
         Japanese
FAN.CNT 1
                                      KIND
                                                                         APPLICATION NO.
                                                                                                          DATE
         PATENT NO.
                                                  20020307
                                                                            WO 2001-JP7397
PΙ
        WO 2002018350
                                        A1
                                                                                                          20010829
               W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
                       CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
                       GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
                       LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT,
                       RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
                      UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
               RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
                       DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
                       BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
        AU 2001082520
                                        Α5
                                                 20020313
                                                                           AU 2001-82520
                                                                                                          20010829
        JP 2002145778
                                        Α2
                                                 20020522
                                                                            JP 2001-259683
                                                                                                          20010829
PRAI JP 2000-264499
                                                 20000829
                                        Α
        WO 2001-JP7397
                                                 20010829
OS
        MARPAT 136:232313
        Disclosed are novel GRK inhibitors which contains compds. represented by
AB
        the formula (I), a salt thereof, or a prodrug comprising either of these
         (wherein ring A represents optionally further substituted nitrogen-contg.
        heterocycle; R1 and R2 each represents optionally substituted amino; and X
        represents a spacer comprising a linear part constituted of one to four
        atoms, provided that R1 may be bonded to R2 or/and X to form a ring).
        They are useful as preventives/remedies for cardiac failure. Thus, 5.48 g
        K2CO3 and 7.52 g 2-aminophenyl 2-nitrophenyl sulfide were added to a
        suspension of 5.61 g 4-amino-5-bromomethyl-2-methylpyrimidine hydrobromide
        in 40 mL acetone at room temp. and stirred at 65.degree. for 64 h to give
        2.36 g N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-methyl-5-pyrimidinyl)methyl-[(2-methyl-5-pyrimidinyl)methyl-[(2-methyl-5-pyrimidinyl)methyl-[(2-methyl-5-pyrimidinyl)methyl-[(2-methyl-5-pyrimidinyl)methyl-[(2-methyl-5-pyrimidinyl)methyl-[(2-methyl-5-pyrimidinyl)methyl-[(2-methyl-5-pyri
        nitrophenyl)thio]phenyl]amine (II). All 10 compds. tested including II at
        30 .mu.M inhibited 30% human GRK2 expressed by human GRK2 gene in COS-7
        cells. A capsule and a tablet formulation contg. II were also prepd.
IT
         403514-90-9P
        RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
         (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
              (prepn. of pyrimidine derivs. as G protein-coupled receptor kinase
              (GRK) inhibitors for prevention and/or treatment for cardiac failure)
RN
         403514-90-9 CAPLUS
CN
         1H-Isoindole-5-carboxamide, 2-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-2,3-
        dihydro-1,3-dioxo-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX
```

NAME)

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 11 OF 60 CAPLUS COPYRIGHT 2003 ACS
L4
AN
     2002:31456 CAPLUS
DN
     136:85755
     Preparation of thieno[2,3-b]pyrrolidin-5-ones as inhibitors of cellular
TI
     prodn. of tumor necrosis factor (TNF-.alpha.) and as antiproliferative
     Gill, Adrian Liam; Harris, William
IN
     F. Hoffmann-La Roche A.-G., Switz.
PA
SO
     PCT Int. Appl., 50 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
                                                APPLICATION NO.
                                                                   DATE
     PATENT NO.
                        KIND DATE
                        ----
                               _____
                                                ______
                                               WO 2001-EP7423
     WO 2002002567
                         A1
                               20020110
                                                                   20010628
ΡI
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
              DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
              BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     US 2002028841
                               20020307
                                               US 2001-891588 20010626
                         A1
     US 6528653
                         B2
                               20030304
PRAI GB 2000-16454
                               20000704
OS
     MARPAT 136:85755
     The title compds. [I; R1 = (un) substituted 5-6 membered monocyclic arom.
AB
     ring contq. one or more heteroatoms selected from N, S, and O, the
     remaining being C atom, and which ring may be benz-fused; R2 = H; R3 = H,
     CN, halo, etc.], useful in the treatment of neuro-degenerative diseases,
     cardiovascular diseases, cancer or inflammatory diseases, were prepd. and
     formulated. Thus, reacting 4,6-dihydrothieno[2,3-b]pyrrol-5-one (prepn.
     given) with pyrrole-2-carboxaldehyde in a soln. of 1% piperidine in
     2-propanol afforded (Z)-I [R1 = 1H-pyrrol-2-yl; R2, R3 = H] which showed
     IC50 of 5.08 .mu.M against human TNF-.alpha. biosynthesis.
TT
     387390-22-9P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
         (prepn. of thieno[2,3-b]pyrrolidin-5-ones as inhibitors of cellular
         prodn. of tumor necrosis factor (TNF-.alpha.) and as antiproliferative
         agents)
RN
     387390-22-9 CAPLUS
     4H-Thieno[2,3-b]pyrrole-2-carboxamide, 5,6-dihydro-5-oxo-4-(1H-pyrrol-2-
CN
     ylmethylene)-N-(1,2,3,4-tetrahydro-1-naphthalenyl)-, (4Z)- (9CI) (CA
     INDEX NAME)
```

Double bond geometry as shown.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 12 OF 60 CAPLUS COPYRIGHT 2003 ACS
L4
ΑN
     2001:597958 CAPLUS
DN
     135:166827
     Preparation of 1H-indole-3-carboxamides, 1H-indazole-3-carboxamides,
TI
     1H-pyrido[4,3-b]indol-1-ones and pyrrolo[1,2,3-de]-1,4-benzoxazine-6-
     carboxamides as cannabinoid receptor modulators for treating respiratory
     and non-respiratory diseases
     Leftheris, Katerina; Zhao, Rulin; Chen, Bang-Chi; Kiener, Peter; Wu, Hong;
IN
     Pandit, Chennagiri R.; Wrobleski, Stephen; Chen, Ping; Hynes, John, Jr.;
     Longphre, Malinda; Norris, Derek J.; Spergel, Steven; Tokarski, John
     Bristol-Myers Squibb Company, USA; et al.
PA
     PCT Int. Appl., 199 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                     KIND
                            DATE
                                           APPLICATION NO. DATE
                            20010816
                                           WO 2001-US4131
                                                            20010208
PI
    WO 2001058869
                      A2
                      A3
    WO 2001058869
                            20020124
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
             YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                           US 2001-779109 20010208
    US 2002119972
                       A1
                            20020829
     EP 1254115
                            20021106
                                           EP 2001-907144
                                                            20010208
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRAI US 2000-181818P
                            20000211
                      Ρ
    WO 2001-US4131
                       W
                            20010208
    MARPAT 135:166827
OS
    The title compds. [I; A, B = C, N so that ring X = pyrrole, pyrazole or
AB
     imidazole (wherein when A = N, the group CONR1R2 is attached to atom C-3
     and R5 does not exist; and when A = C, one of CONR1R2 and R5 is attached
     to A and the other to atom C-3; and when B = C, two R4 groups attached to
     B and atom C-5, resp., form a fused 6-membered hetroaryl); f = 0-1; g = 0
     1-2; R1, R2 = H, alkyl, heterocycloalkyl, etc.; R2 together with R1 or R5
     forms a 5-6 membered heterocyclo; R3 = H, alkyl, aryl, etc.; R4 is
     attached to atom C-5 and optionally B and is H, alkyl, aryl, etc.; R5 is
     attached to A or atom C-3 and is H, alkyl, aryl, etc.; R5 together with R2
     forms a heterocyclo], useful as cannabinoid receptor modulators (no data
     given) for treating respiratory and non-respiratory leukocyte-activation
     assocd. diseases, were prepd. Thus, reacting the acid chloride II [X =
     Cl] (multi-step synthesis given) with 2,2,6,6-tetramethylcyclohexylamine
     afforded the pyrrolo[1,2,3-de]-1,4-benzoxazine-6-carboxamide II [X =
     2,2,6,6-tetramethylcyclohexylamino].
TT
     354570-87-9P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of 1H-indole-3-carboxamides, 1H-indazole-3-carboxamides,
        1H-pyrido[4,3-b]indol-1-ones and pyrrolo[1,2,3-de]-1,4-benzoxazine-6-
```

carboxamides as cannabinoid receptor modulators for treating

respiratory and non-respiratory diseases)

RN 354570-87-9 CAPLUS

CN 1H-Indazole-3-carboxamide, 7-methoxy-1-[2-(4-morpholinyl)ethyl]-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

```
ANSWER 13 OF 60 CAPLUS COPYRIGHT 2003 ACS:
L4
     2001:416942 CAPLUS
AN
DN
     135:19660
     Preparation of pyrazolo[1,5-a]pyrimidines as potassium channel inhibitors
TI
IN
     Atwal, Karnail S.; Vaccaro, Wayne; Lloyd, John; Finlay, Heather; Yan, Lin;
     Bhandaru, Rao S.
     Bristol-Myers Squibb Company, USA
PA
     PCT Int. Appl., 298 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                        KIND
                              DATE
                                               APPLICATION NO.
                                                                  DATE
                        ____
                                               WO 2000-US32785 20001204
     WO 2001040231
                        A1
                              20010607
PΙ
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
              SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
              YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                              20020911
                                               EP 2000-980930 20001204
     EP 1237891
                         A1
              AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, IE, SI,
              LT, LV, FI, RO, MK, CY, AL
     US 2003022890
                         A1
                              20030130
                                               US 2000-729731
                                                                  20001205
     NO 2002002649
                         Α
                              20020606
                                               NO 2002-2649
                                                                  20020605
PRAI US 1999-169091P
                              19991206
                         Р
                              20000928
     US 2000-236037P
                         Ρ
     WO 2000-US32785
                         W
                              20001204
OS
     MARPAT 135:19660
     The title compds. [I; X1-X3 = N, NR6, (CR7)q, (CHR7)q, CO; R1-R7 =
AB
     (CH2)n(Z1)m(CH2)pZ2; or R1-R5 may, in one or more pairs of two, together
     with the atoms to which they are bonded, form (un) substituted carbocyclic,
     heterocyclic group; or R6 and R7 may, together with the atoms to which
     they are bonded, form (un) substituted carbocyclic, heterocyclic group; Z1
     = 0, S, CO, etc.; Z2 = H, NO2, halo, etc.; n, p = 0-10 (when m = 0, p is
     also 0); m = 0-1; q = 1-3], useful as inhibitors of potassium channel
     function (esp. inhibitors of the Kvl subfamily of voltage gated K+
     channels, esp. inhibitors Kv1.5 which has been linked to the ultra-rapidly
     activating delayed rectifier K+ current IKur) in the prevention and
     treatment of arrhythmia and IKur-assocd. conditions, were prepd. Thus,
     reacting Me acetoacetate with 2,3-dichlorobenzaldehyde in the presence of
     piperidine and AcOH in PhMe followed by refluxing the resulting
     intermediate II with 3-aminopyrazole in 1-propanol afforded the title
     compd. III. The compds. I are effective at 0.001-100 mg/kg/day.
IT
     343244-41-7P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
         (prepn. of pyrazolo[1,5-a]pyrimidines as potassium channel inhibitors)
RN
     343244-41-7 CAPLUS
     Pyrazolo[1,5-a]pyrimidine-6-carboxamide, 7-(3,4-dichlorophenyl)-4,7-
     dihydro-5-methyl-N-(1,2,3,4-tetrahydro-1-naphthalenyl)-2-(trifluoromethyl)-
      (9CI) (CA INDEX NAME)
```

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 23 OF 60 CAPLUS COPYRIGHT 2003 ACS
T.4
AN
     2000:314676 CAPLUS
DN
     132:334362
     Preparation of picolinamide derivatives and pest controllers containing
TI
     the same as the active ingredient
     Imamura, Keiichi; Mitomo, Kouichi; Yamada, Natsuko; Yamamoto, Kazumi;
IN
     Teraoka, Takeshi; Sakanaka, Osamu; Kurihara, Hiroshi; Taniguchi, Makoto
PA
     Meiji Seika Kaisha, Ltd., Japan
SO
     PCT Int. Appl., 98 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     Japanese
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
                                          _____
                     ____
                            -----
                            20000511
                                                          19991104
                                          WO 1999-JP6142
    WO 2000026191
                     A1
PΙ
        W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
             CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
             IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
            MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
             SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     EP 1134214
                      A1
                           20010919
                                          EP 1999-954375
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
                            19981104
PRAI JP 1998-313688
                      Α
    WO 1999-JP6142
                      W
                            19991104
os
    MARPAT 132:334362
AΒ
     Described are novel compds. of general formula [I; wherein A is a bond or
     optionally substituted alkylene; R1 is one or more groups which may be the
     same or different from each other and are selected from among hydrogen,
     alkoxy and haloalkoxy; R2 is hydrogen, (substituted) benzyl, (substituted)
     alkyl or (substituted) alkanoyl; and R3 is hydrogen, (substituted)
     cycloalkyl, (substituted) cycloalkenyl, (substituted) aryl, or a
     (substituted) heterocyclic group, with the proviso that the cases wherein
     R1 is hydrogen, A is a free valency or methylene, and R3 is Ph or
     cyclohexyl or those wherein A is alkylene and R3 is hydrogen are
     excepted.], pest controllers such as plant fungicides, insecticides, and
     herbicides contg. the same; and a process for the prepn. of the compds.
    Thus, a soln. of 1.85 g 4-phenoxyaniline in 25 mL DMF was added dropwise
     to a suspension of 1.39 g 3-hydroxypicolinic acid, 1.95 g carbonyl
     diimidazole, and 30 mL DMF and stirred overnight to give 41%
     3-hydroxy-4'-phenoxypicolinanilide (II). II at 100 ppm protected 80-100%
     rice seedlings against Pyricularia oryzae.
     267416-15-9P
    RL: AGR (Agricultural use); BAC (Biological activity or effector, except
     adverse); BSU (Biological study, unclassified); SPN (Synthetic
     preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of picolinamide derivs. as pest controllers)
RN
     267416-15-9 CAPLUS
     2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(1,2,3,4-tetrahydro-1-
CN
```

naphthalenyl) - (9CI) (CA INDEX NAME)

RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 24 OF 60 CAPLUS COPYRIGHT 2003 ACS
1.4
AN
     2000:241135 CAPLUS
DN
     132:279106
     Non-peptide GnRH agents, methods and intermediates for their preparation
ΤI
     Anderson, Mark Brian; Vazir, Haresh N.; Luthin, David Robert; Paderes,
TN
     Genevieve Deguzman; Pathak, Ved P.; Christie, Lance Christopher; Hong,
     Yufeng; Tompkins, Eileen Valenzuela; Li, Haitao; Faust, James
     Agouron Pharmaceuticals, Inc., USA; et al.
PA
SO
     PCT Int. Appl., 444 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                      KIND
                             DATE
                                            APPLICATION NO.
                                                              DATE
                      ____
                             20000413
                                            WO 1999-US18790 19990820
PΙ
     WO 2000020358
                       A2
                       A3
     WO 2000020358
                             20001116
            AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
             MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
             MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                             20000413
                                            CA 1999-2341346 19990820
     CA 2341346
                       AA
     BR 9913374
                       Α
                             20010515
                                            BR 1999-13374
     EP 1105120
                       A2
                             20010613
                                            EP 1999-968010
                                                              19990820
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
                             20020617
                                            EE 2001-20010010219990820
     EE 200100102
                       Α
     JP 2002535244
                             20021022
                                            JP 2000-574479
                                                              19990820
                       Τ2
     NO 2001000309
                                            NO 2001-309
                       Α
                             20010411
                                                              20010119
                             20020320
                                            LV 2001-45
                                                              20010316
     LV 12732
                        В
     LT 4904
                                            LT 2001-24
                             20020425
                                                              20010319
                        В
PRAI US 1998-97520P
                             19980820
                       Р
     WO 1999-US18790
                             19990820
                       W
     MARPAT 132:279106
os
AB
     Non-peptide GnRH agents capable of inhibiting the effect of
     gonadotropin-releasing hormone are described. The compds. and their
     pharmaceutically acceptable salts, multimers, prodrugs, and active
     metabolites are suitable for treating mammalian reproductive disorders and
     steroid hormone-dependent tumors as well as for regulating fertility,
     where suppression of gonadotropin release is indicated. The compds.
     include those of formula I [X = C:O, C:S, S:O, or SO2; Het = 5-membered NOS-heterocycle; R1, R2 = H, alkyl; R3-R7 = H, halo, (un)substituted
     alkyl, aryl, heteroaryl, CH2OR, OR, CO2R; R = alkyl, aryl, etc.; adjacent
     rings positions such as R6R7 may form (un)substituted 5- or 6-membered
     ring with up to 4 heteroatoms; R8 = lipophilic moiety such as alkyl, aryl,
     CH2OR, OR, etc.; R9 = H, (un)substituted alkyl]. Methods and
     intermediates for synthesizing the compds. are also described.
     instance, 4,4,7-trimethylchroman (prepn. given) was alkylated in the 6-
     and 8-positions using Et 5-(chloromethyl)-2-furoate (46% total yield), and
     the resulting esters were hydrolyzed to a mixt. of acids. This unsepd.
     mixt. was treated with SOC12 and amidated with 2,4,6-trimethoxyphenylamine-
     HCl to give the invention compd. II and its chroman-6-position isomer,
```

which were sepd. by HPLC. Several compds. exhibited high affinity (<100

nM) at human GnRH receptors. The compds. antagonized GnRH-stimulated inositol phosphate accumulation in cells with recombinant human GnRH receptors, and an example compd. reduced plasma LH levels in castrated male rats. Various biol. data for several hundred compds. are given.

IT 263855-10-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compd.; prepn. of non-peptide GnRH agents for regulating gonadotropin secretion)

RN 263855-10-3 CAPLUS

CN 2-Furancarboxamide, N-(1,2,3,4-tetrahydro-1-naphthalenyl)-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (9CI) (CA INDEX NAME)

```
L4
    ANSWER 27 OF 60 CAPLUS COPYRIGHT 2003 ACS
ΑN
    1999:511160 CAPLUS
     131:144604
DN
TI
     Preparation of oxodipyridoimidazole-carboxamides: GABAa brain receptor
IN
    Xie, Linghong; Currie, Kevin S.; Albaugh, Pamela; Shaw, Kenneth;
    Hutchison, Alan J.
PA
    Neurogen Corporation, USA
SO
    PCT Int. Appl., 186 pp.
    CODEN: PIXXD2
DT
     Patent
LA
    English
FAN.CNT 1
                     KIND DATE
                                           APPLICATION NO.
                                                            DATE
    PATENT NO.
                                           -----
                            19990812
                                          WO 1999-US1688
                                                            19990204
PΙ
    WO 9940092
                      A1
        W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,
             KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,
            MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
             TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
    AU 9926534
                      A1
                           19990823
                                          AU 1999-26534
                                                            19990204
PRAI US 1998-18754
                            19980204
    WO 1999-US1688
                            19990204
OS
    MARPAT 131:144604
    Title compds. [I; A represents an optionally substituted nitrogen-contg.
AB
    ring system; R is H, alkyl cycloalkyl, arylalkyl, heteroarylalkyl; G, an
    org. or inorg. group, is cycloalkyl, alkyl, etc.], stereoisomers, and
    pharmaceutically acceptable salts thereof are prepd. and are highly
    selective agonists, antagonists or inverse agonists for GABAa brain
    receptors or prodrugs of agonists, antagonists or inverse agonists for
    GABAa brain receptors, and are therefore useful in the diagnosis and
    treatment of anxiety, Down Syndrome, sleep, cognitive and seizure
    disorders, depression, overdose with benzodiazepine drugs and for
    enhancement of alertness. Thus, the title compd. II, tested on rat
    cortical tissue, was prepd. from redn., cyclizaion of 4-chloro-3-
    nitropyridine, Et 3-aminopropionate, Et 3-amino-3-ethoxyacrylate
    hydrochloride, 2-fluorobenzylamine, and methylation by Me iodide.
IT
    235769-48-9P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); BIOL (Biological
     study); PREP (Preparation)
        (prepn. of oxodipyridoimidazolylcarboxamides on GABAa receptor
       activities)
    235769-48-9 CAPLUS
RN
    Dipyrido[1,2-a:3',4'-d]imidazole-9-carboxamide, 6,7,8,10-tetrahydro-8-oxo-
CN
    N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)
```

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L4
    ANSWER 30 OF 60 CAPLUS COPYRIGHT 2003 ACS
ΑN
     1999:390374 CAPLUS
     131:44810
DN
     Preparation of naphthyridines and thiazolopyridines as antiviral agents
ΤI
     Bedard, Jean; Rando, Robert; Lavallee, Jean-Francois; Falardeau, Guy
IN
     Biochem Pharma Inc., Can.
PA
     PCT Int. Appl., 96 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LА
     English
FAN.CNT 1
     PATENT NO.
                      KIND
                             DATE
                                            APPLICATION NO.
                                                              DATE
                                            -----
                             _____
                             19990617
                                            WO 1998-CA1166
                                                              19981211
PΙ
    WO 9929318
                       A1
         W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,
             MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
             TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
    CA 2314408
                       AΑ
                             19990617
                                            CA 1998-2314408
                                                              19981211
    AU 9916579
                             19990628
                                            AU 1999-16579
                                                              19981211
                       A1
    AU 740745
                       B2
                             20011115
                             20000927
                                            EP 1998-960978
                                                              19981211
     EP 1037633
                       A1
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     BR 9815166
                             20001010
                                            BR 1998-15166
                                                              19981211
                       Α
    US 6255318
                       B1
                             20010703
                                            US 1998-209485
                                                              19981211
     JP 2001525365
                             20011211
                                            JP 2000-523989
                                                              19981211
                       Т2
    US 2001031765
                             20011018
                                            US 2001-775571
                                                              20010205
                       A1
PRAI US 1997-69331P
                       Ρ
                             19971211
    US 1998-209485
                       A3
                             19981211
    WO 1998-CA1166
                       W
                             19981211
     The title compds. I [W = CH, CR3, CH2, CO, N, etc.; one of X, Y, and Z is
AB
     N or NR5 while the other two are CH, CR4, CH2, CO, CHR4; Q = CH, CR3, CH2,
     CO, CHR3, N, NR5, O; B = C(A)NR1R2, NR2'C(A)R1, NR2'C(A)NR1R2 and A = O,
     N, S], antiviral agents, were prepd. E.g., N-(2-methylbenzyl)-2-
     [1,6]naphthyridinecarboxamide was prepd. Among the antiviral activities
     were those detd. with HSV-1, HSV-2, influenza B, adenovirus, and HIVROJO.
IT
     197506-74-4P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of naphthyridines and thiazolopyridines as antiviral agents)
RN
     197506-74-4 CAPLUS
     1,6-Naphthyridine-2-carboxamide, N-(1,2,3,4-tetrahydro-1-naphthalenyl)-
CN
     (9CI) (CA INDEX NAME)
```

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 36 OF 60 CAPLUS COPYRIGHT 2003 ACS
L4
AN
     1997:640666 CAPLUS
DN
     127:318884
     Preparation of naphthyridines inhibiting cytomegalovirus
TT
IN
     Jin, Haolun; Chan, Laval Chun-Kong; Wang, Wei; Stefanac, Tomislav;
     Mansour, Tarek S.; Nguyen-Ba, Paul; Lavallee, Jean-Francois; Falardeau,
     Guy
     Biochem Pharma Inc., Can.; Jin, Haolun; Chan, Laval Chun-Kong; Wang, Wei;
PA
     Stefanac, Tomislav; Mansour, Tarek S.; Nguyen-Ba, Paul; Lavallee,
     Jean-Francois; Falardeau, Guy
     PCT Int. Appl., 75 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LА
FAN.CNT 1
     PATENT NO.
                      KIND
                            DATE
                                           APPLICATION NO.
                                                            DATE
                            -----
                            19970925
                                           WO 1997-CA182
                                                             19970314
PI
    WO 9734894
                      A1
         W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN,
             AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB,
             GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN,
             ML, MR, NE, SN, TD, TG
                            19970925
                                            CA 1997-2250320 19970314
     CA 2250320
                       AA
    AU 9719187
                       Α1
                            19971010
                                            AU 1997-19187
                                                             19970314
                            20000810
     AU 722650
                       В2
                                            GB 1998-19782
                                                             19970314
     GB 2326412
                      A1
                            19981223
     CN 1218473
                      Α
                            19990602
                                            CN 1997-194535
                                                             19970314
     CN 1069643
                       В
                            20010815
                                            BR 1997-8068
     BR 9708068
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     EP 984967
                       A1
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                                                             19970314
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     JP 2001515464
                       T2
                            20010918
                                            JP 1997-532997
                                                             19970314
                                            ZA 1997-2292
     ZA 9702292
                       Α
                            19971016
                                                             19970317
                                            TW 1997-86103467 19970319
     TW 480258
                            20020321
                       В
     US 5945431
                            19990831
                                            US 1997-923604
                                                             19970904
                       Α
PRAI GB 1996-5437
                            19960315
                       Α
    WO 1997-CA182
                            19970314
                       W
    MARPAT 127:318884
OS
     The title compds. [I; W = CH, CH2, C(O), etc.; one of X, Y, Z = N, NR5
AB
     while the other two are CH, CR4, CH2, C(O), CHR4; B = C(O)NR1R2,
     C(S)NR1R2, NR2aC(A)NR2R1; A = O, S; R1 = C1-6 alkyl, C2-6 alkenyl, C3-7
     cycloalkyl, etc.; R2, R2a = H, C1-4 alkyl; R1R2 = (un)satd. 5-6 membered
     heterocycle optionally fused to C6-10 aryl or heteroaryl; R3, R4 = H, OH,
    halo, etc.; n=0-2], useful in the therapy and prophylaxis of cytomegalovirus (CMV) infection in mammals, were prepd. Thus, treatment
     of 2-[1,6]naphthridinecarboxylic acid with iso-Pr chloroformate/PhMe in
     the presence of Et3N in THF followed by addn. of 2-methylbenzylamine
     afforded 37% II which showed IC50 of .apprxeq. 1 .mu.g/mL against CMV.
IT
     197506-74-4P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of naphthyridines inhibiting cytomegalovirus)
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RN 197506-74-4 CAPLUS

CN 1,6-Naphthyridine-2-carboxamide, N-(1,2,3,4-tetrahydro-1-naphthalenyl)-(9CI) (CA INDEX NAME)

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L4 ANSWER 41 OF 60 CAPLUS COPYRIGHT 2003 ACS
AN 1996:560560 CAPLUS
DN 125:195662
```

TI Preparation of herbicidal [1,2,4]thiadiazolecarboxamides

PA American Cyanamid Company, USA

SO Eur. Pat. Appl., 20 pp. CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

CAN.	CNII				
	PATENT NO.		DATE	APPLICATION NO.	DATE
PI	EP 726260 R: DE	A1	19960814	EP 1995-101693	19950208
	US 5583092	Α	19961210	US 1995-441565	19950515
	JP 08259551	A2	19961008	JP 1996-40292	19960205
	CA 2168926	AA	19960809	CA 1996-2168926	19960206
	EP 726261	A1	19960814	EP 1996-300801	19960206
	R: AT, BE,	CH, DE	, DK, ES, FR,	GB, GR, IE, IT, LI	, LU, MC, NL, PT, SE
	AU 9644406	A1	19960815	AU 1996-44406	19960207
	ZA 9600977	Α	19970807	ZA 1996-977	19960207
	BR 9600342	Α	19980127	BR 1996-342	19960207
	CN 1134936	Α	19961106	CN 1996-103589	19960208
PRAI	EP 1995-101693	Α	19950208		

OS CASREACT 125:195662; MARPAT 125:195662

The title compds. [I and II; A = (substituted) alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl, aralkyl or heteroaralkyl; R1 = H, acyl; R2 = (substituted) alkyl, alkenyl, aryl, heteroaryl, aralkyl or heteroaralkyl] were prepd. Thus, reaction of isobutyramide with chlorocarbonylsulphenyl chloride followed by treatment of 5-isopropyl-[1,3,4]thiazolin-2-one with Et cyanoformate in p-xylene and reaction of Et 3-isopropyl-[1,2,4]thiadiazole-5-carboxylate with 1-(thiophen-2-yl)ethylamine in PhMe afforded II [A = iPr; R1 = H; R2 = 2-thienyl-CH(Me)] which showed 100% herbicidal activity against Beta vulgaris, Linum usitatissimum, Echinochloa crus-galli and Synapsis alba in postemergence tests.

## IT 180970-42-7P 180970-43-8P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of herbicidal [1,2,4]thiadiazolecarboxamides)

RN 180970-42-7 CAPLUS

CN 1,2,4-Thiadiazole-5-carboxamide, 3-(2-fluorophenyl)-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 180970-43-8 CAPLUS

CN 1,2,4-Thiadiazole-5-carboxamide, 3-(4-methoxyphenyl)-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

```
L4
    ANSWER 43 OF 60 CAPLUS COPYRIGHT 2003 ACS
AN
    1995:605693 CAPLUS
DN
    123:9446
ΤI
    Preparation of herbicidal 1,2,4-oxadiazolecarboxamides.
IN
    Buck, Wolfgang
    Shell Internationale Research Maatschappij B. V., Neth.
PA
SO
    Eur. Pat. Appl., 45 pp.
    CODEN: EPXXDW
DТ
    Patent
    English
LA
FAN.CNT 1
    PATENT NO.
                    KIND DATE
                                         APPLICATION NO. DATE
    _____ ___
                          -----
                                         _____
                                                         _____
                                        EP 1994-307357
                                                         19941006
PΙ
    EP 647635
                     A1
                           19950412
    EP 647635
                     В1
                           19980708
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
                A
    US 5578550
                           19961126
                                        US 1994-318327
                                                          19941005
                     AA
                                         CA 1994-2133798 19941006
    CA 2133798
                           19950409
    AT 168108
                     E
                           19980715
                                         AT 1994-307357
                                                          19941006
    ES 2120576
                     T3
                          19981101
                                         ES 1994-307357
                                                          19941006
                    A1 19950427
                                         AU 1994-74488
                                                          19941007
    AU 9474488
                    Α
                                         ZA 1994-7888
                                                          19941007
    ZA 9407888
                          19950524
    BR 9404025
                    Α
                          19950613
                                         BR 1994-4025
                                                          19941007
    HU 69031
                    A2 19950828
                                         HU 1994-2900
                                                          19941007
                    Α
                                         CN 1994-118684
                                                          19941007
    CN 1112553
                          19951129
                    A2
                          19950627
                                         JP 1994-271700 19941011
    JP 07165737
    US 5593946
                    Α
                           19970114
                                         US 1995-457252
                                                          19950601
    US 5707935
                    Α
                           19980113
                                         US 1996-699720
                                                          19960806
PRAI EP 1993-116308
                           19931008
    US 1994-318327
                           19941005
OS
    MARPAT 123:9446
    Title compds. [I; A = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl,
    aryl, heteroaryl, aralkyl, XY(CH2)n; X = (substituted) alkyl, aryl; n = 1,
    2; Y = 0, S(0)m; m = 0-2; R1 = H, alkyl; R2 = H, (substituted) alkyl,
    alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl],
    were prepd. Thus, H2NCH2CN in H2O was treated with 2-fluorobenzoyl
    chloride and with aq. Na2CO3 to give 90.5% 2-fluorobenzoylaminoacetonitril
    e. This in MeOH at 0-5.degree. was treated with iso-Pr nitrite and then
    with AcCl and the mixt. was stirred at ambient temp. to give 65.4% Me
    5-(2-fluorophenyl)-1,2,4-oxadiazole-3-carboxylate. This was heated with
    1-phenethylamine to give 75.9% 5-(2-fluorophenyl)-1,2,4-oxadiazole-3-
    carboxylic acid 1-phenethylamide. The latter as a 1 kg/ha foliar spray
    gave complete kill of Echinochloa crus-galli.
    163719-94-6P 163719-96-8P 163719-97-9P
IT
    163719-98-0P
    RL: AGR (Agricultural use); BAC (Biological activity or effector, except
    adverse); BSU (Biological study, unclassified); SPN (Synthetic
    preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of herbicidal 1,2,4-oxadiazolecarboxamides)
    163719-94-6 CAPLUS
RN
```

1,2,4-Oxadiazole-5-carboxamide, 3-(1,1-dimethylethyl)-N-(1,2,3,4-

tetrahydro-1-naphthalenyl) - (9CI) (CA INDEX NAME)

CN

RN 163719-96-8 CAPLUS

CN 1,2,4-Oxadiazole-5-carboxamide, 3-(4-fluorophenyl)-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 163719-97-9 CAPLUS

CN 1,2,4-Oxadiazole-5-carboxamide, 3-(3-fluorophenyl)-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 163719-98-0 CAPLUS

CN 1,2,4-Oxadiazole-5-carboxamide, 3-(2-fluorophenyl)-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

- L4 ANSWER 52 OF 60 CAPLUS COPYRIGHT 2003 ACS
- AN 1989:23751 CAPLUS
- DN 110:23751
- TI Preparation of 1-acyl-2-hydrocarbylthio-3-cyano-4H-quinolizine-4-ones as IgE inhibitors
- IN Kurashina, Yoshikazu; Miyata, Hiroshi; Momose, Denichi
- PA Kissei Pharmaceutical Co., Ltd., Japan
- SO Eur. Pat. Appl., 58 pp. CODEN: EPXXDW
- DT Patent
- LA English
- FAN.CNT 1

	PA	TENT NO.	KIND	DATE	AP	PLICATION NO.	DATE
PI	EP	277755 R: BE, CH,				1988-300660 SE	19880127
	JР	63188676				1987-19734	19870130
	JР	06070036	B4	19940907			
					JP	1987-19735	19870130
	JР	06070037	B4	19940907			
	JР	63188678	A2	19880804	JP	1987-19736	19870130
		06070038			•		
	JP	63188679	A2	19880804	JP	1987-19737	19870130
		06070039		19940907	•		
		63198680		19880817	JP	1987-30603	19870212
		06070040		19940907			
		63198681		19880817	JP	1987-30604	19870212
		06070041		19940907			
					JP	1987-30605	19870212
		06070042		19940907			
					US	1988-147549	19880125
PRAI		1987-19734					
		1987-19735					
		1987-19736					
		1987-19737					
		1987-30603					
				19870212			
	JP	1987-30605		19870212			

- OS MARPAT 110:23751
- AB The title compds. (I; R1 = esterified-CO2H, CONH2, cyclic aminocarbonyl, acyl; R2 = alkyl, alkenyl, aralkyl; R3 = H, alkyl) were prepd.

  2-Pyridylacetic acid hydrochloride and cyclohexylmethanol were stirred 10 h in pyridine contg. DCC to give cyclohexylmethyl 2-pyridylacetate which was heated 10 h at 120.degree. with (MeS)2C:C(CN)CO2Me to give title compd. II. Similarly prepd. 3-cyano-9-methyl-2-methylthio-1-(4-phenylpiperidinocarbonyl)-4H-quinolizin-4-one gave 50% inhibition of IgE formation at 1 .mu.g/mL by mice spleen cells in vitro with 4% inhibition of IgG formation.
- IT 118183-85-0P
  - RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as IgE inhibitor)
- RN 118183-85-0 CAPLUS
- CN 4H-Quinolizine-1-carboxamide, 3-cyano-2-(methylthio)-4-oxo-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

## 10/073,307

- L4 ANSWER 55 OF 60 CAPLUS COPYRIGHT 2003 ACS
- AN 197.4:433255 CAPLUS
- DN 81:33255
- TI Hypoglycemic (quinoline-8-carboxamidoalkyl)benzenesulfonamide derivatives
- AU Weyer, R.; Aumueller, W.; Baender, A.; Heerdt, R.; Pfaff, W.; Schweitzer, R.; Weber, H.
- CS Farbwerke Hoechst A.-G., Frankfurt/M., Fed. Rep. Ger.
- SO Arzneimittel-Forschung (1974), 24(3), 269-75 CODEN: ARZNAD; ISSN: 0004-4172
- DT Journal
- LA German
- AB Seventeen quinolines I [R = H, 6-Cl, 6-Br, 5-Me, or 5-MeO; R1 = H or Me; X = CONHR2 (R2 = e.g. C3H7, cyclopentyl, cyclohexyl, 4-methylcyclohexyl, or CH2Ph) or X1 with R3 = e.g. Et, Pr, CHMe2, or cyclohexyl] had blood sugar lowering activities in rabbits. The most active compd. was N-[4-(.beta.-5-methoxyquinoline-8-carboxamidoethyl)phenylsulfonyl]-N'-cyclohexylurea (I, R = 5-MeO, R1 = H, R2 = cyclohexyl) [35401-27-5].
- IT 39268-67-2P
  RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
  BIOL (Biological study); PREP (Preparation); USES (Uses)
  (prepn. and antidiabetic activity of)
- RN 39268-67-2 CAPLUS
- CN 8-Quinolinecarboxamide, 6-chloro-N-[1,2,3,4-tetrahydro-7-[[[5-(2-methylpropyl)-2-pyrimidinyl]amino]sulfonyl]-2-naphthalenyl]- (9CI) (CA INDEX NAME)

```
L4
     ANSWER 14 OF 60 CAPLUS COPYRIGHT 2003 ACS
ΑN
     2001:380551 CAPLUS
DN
     135:5616
     Preparation of hydrazone compounds and pesticides
TI
     Mita, Takeshi; Ohtsu, Tadashi; Hotta, Hiroyasu; Io, Tomoaki; Ueno, Hideki;
IN
     Masuzawa, Yoshihide; Miyake, Toshiro; Mimori, Norihiko; Takii, Shinji;
     Itoh, Toshinori
     Nissan Chemical Industries, Ltd., Japan
PA
SO
     PCT Int. Appl., 409 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     Japanese
FAN.CNT 1
                                              APPLICATION NO.
                                                                 DATE
     PATENT NO.
                       KIND DATE
                              20010525
                                             WO 2000-JP8016
                                                                 20001114
PΙ
     WO 2001036381
                        A1
         W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ,
             EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TT, UA, US, UZ,
              VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
              DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
              BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRAI JP 1999-323698
                        Α
                              19991115
     JP 1999-323699
                              19991115
                        Α
     JP 2000-298021
                        Α
                              20000929
                              20001002
     JP 2000-301562
                        Α
os
     MARPAT 135:5616
     Hydrazone compds. such as hydrazono-1,2,3,4-tetrahydronaphthalene,
AB
     hydrazonoindoline, or hydrazochroman, resented by general formula (I) or
     salts thereof [wherein A = CH2, CH2CH2, OCH2, S(O)pCH2, S(O)pCH2CH2, or
     CH2 S(0)pCH2 (wherein p = 0-2), N-(un)substituted NHCH2, NHCH2CH2, or
     CH2NHCH2, (CH2)3, OCH2CH2; B = a single bond, O, S, (un)substituted NH,
     CO; G = -N:C(R5)NR6R7 (G-1), -N(R8)C(:W2)Q2 (G-2), -N:C(R5)W3-R9 (G-3);
     when B = O, S, (un) substituted NH, CO, G-1, or G-3, then Q1 = (halo) alkyl,
     (halo)cycloalkyl, (halo)alkenyl, (halo)alkynyl, (halo)cycloalkenyl,
     (un) substituted Ph, arom. or aliph. heterocyclyl, etc.; when B = a single
     bond and G = G-2, then Q1 = (halo)alkyl, (halo)cycloalkyl, (halo)alkenyl,
     (halo)alkynyl, (halo)cycloalkenyl, etc.; when B = a single bond or
     (un) substituted NH, then Q1 = H; Q2 = H, (halo) alkyl, (halo) cycloalkyl,
     (halo)alkenyl, (halo)alkynyl, (halo)cycloalkenyl, alkoxycarbonyl,
     (un) substituted benzoyl or Ph, arom. or aliph. heterocyclyl, etc.; W1, W2 = O, S; W3 = O, S, CH2; X = H, halo, cyano, isocyanato, NO2, N3, CHO, CO2H, (un) substituted carbamoyl, OH, SH, etc.; R1 = H, (halo) alkyl,
     cycloalkyl, cycloalkylalkyl, (halo)alkoxyalkyl, alkoxyalkoxyalkyl,
     benzyloxyalkyl, (halo)alkylthioalkyl, etc.; R2 = H, (halo)alkyl,
     alkoxyalkyl, alkylthioalkyl, cyanoalkyl, alkoxycarbonyl, (halo)alkenyl,
     etc.; m = 1-4] are prepd. Novel agricultural chems., in particular,
     insecticides and miticides contg. these compds. as the active ingredient
     formula I are also claimed. Thus, a soln. of tert-Bu 6-chloro-1-hydrazono-
     1,2,3,4-tetrahydronaphthalen-2-ylcarbamate and N,N-dimethylacetamide di-Me
     acetal in toluene was refluxed for 4 h to give tert-Bu
     6-chloro-1-[1-(dimethylamino)ethylidenehydrazono]-1,2,3,4-
     tetrahydronaphthalen-2-ylcarbamate (II). II at 500 ppm controlled
     .gtoreq.80% Spodoptera litura larvae on cabbage leaves.
IT
     340823-23-6P 340823-24-7P 340823-25-8P
     340823-26-9P 340823-56-5P
```

RL: AGR (Agricultural use); BAC (Biological activity or effector, except

adverse); BSU (Biological study, unclassified); SPN (Synthetic
preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of hydrazone compds. such as hydrazonotetrahydronaphthalene,
 hydrazonoindoline, or hydrazochroman derivs. as miticides and
 insecticides)

RN 340823-23-6 CAPLUS

CN 2-Thiophenecarboxamide, N-[1-[[1-(dimethylamino)ethylidene]hydrazono]-1,2,3,4-tetrahydro-2-naphthalenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} NMe 2 \\ Me-C = N-N \\ \hline \\ NH-C \\ \hline \\ \end{array}$$

RN 340823-24-7 CAPLUS

CN 3-Furancarboxamide, N-[1-[[1-(dimethylamino)ethylidene]hydrazono]-1,2,3,4-tetrahydro-2-naphthalenyl]- (9CI) (CA INDEX NAME)

RN 340823-25-8 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[1-[[1-(dimethylamino)ethylidene]hydrazono]-1,2,3,4-tetrahydro-2-naphthalenyl]-1-methyl- (9CI) (CA INDEX NAME)

RN 340823-26-9 CAPLUS

CN 4-Thiazolecarboxamide, N-[1-[[1-(dimethylamino)ethylidene]hydrazono]1,2,3,4-tetrahydro-2-naphthalenyl]-2-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 340823-56-5 CAPLUS

CN Hydrazinecarboxylic acid, [2-[[[5-chloro-1-methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]amino]-3,4-dihydro-1(2H)-naphthalenylidene]-, ethyl ester (9CI) (CA INDEX NAME)

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 60 CAPLUS COPYRIGHT 2003 ACS

AN 2001:372159 CAPLUS

DN 134:366868

TI Preparation of benzothiazolines as neuropeptide Y receptor antagonists

IN Sato, Yoshiya; Itani, Hiromichi; Tabuchi, Seiichiro; Sakata, Yoshihiko; Ohashi, Hiroko

PA Fujisawa Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 88 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	JP 2001139574	A2	20010522	JP 2000-296175	20000928	

PRAI AU 1999-3093 A 19990928

OS MARPAT 134:366868

AB The title compds. I [R1 = H, halo; W = S, O; A = (CH2)n, etc.; n = 1 - 6; Z = (un)substituted N-contg. heterocyclic ring] are prepd. 1-[(5-Chloro-2-oxobenzothiazolin-3-yl)acetyl]piperidine-4-carboxylic acid 4-benzoylanilide showed IC100 of 10-7 M in a neuropeptide Y5 receptor binding assay.

IT 340179-73-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzothiazolines as neuropeptide Y receptor antagonists)

RN 340179-73-9 CAPLUS

CN 4-Thiazolecarboxamide, 2-[(5-chloro-2-oxo-3(2H)-benzothiazolyl)methyl]-N- (1,2,3,4-tetrahydro-1-hydroxy-7-methoxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

ANSWER 16 OF 60 CAPLUS COPYRIGHT 2003 ACS

L4

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AN
     2001:152650 CAPLUS
DN
     134:207831
     Preparation, composition and use of heterocyclic aromatic amides as
ΤI
     fungicides
     Ricks, Michael John; Dent, William Hunter, III; Rogers, Richard Brewer;
IN
     Yao, Chenglin; Nader, Bassam Salim; Miesel, John Louis; Fitzpatrick, Gina
     Marie; Meyer, Kevin Gerald; Niyaz, Noormohamed Mohamed; Morrison, Irene
     Mae; Henry, Matthew James; Adamski, Butz Jenifer Lynn; Gajewski, Robert
PA
     Dow Agrosciences LLC, USA
     PCT Int. Appl., 200 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 2
                      KIND DATE
                                            APPLICATION NO. DATE
     PATENT NO.
                      ____
                            20010301
                                            WO 2000-US21523 20000804
     WO 2001014339
                      A2
PΙ
                      A3
                            20011115
     WO 2001014339
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
             ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV,
             MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE,
             SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW,
             AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
             CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     US 6521622
                            20030218
                                           US 2000-620662
                       В1
                                                              20000720
                                            US 2000-632930
     US 6355660
                       B1
                            20020312
                                                              20000804
     EP 1204643
                            20020515
                                           EP 2000-952599
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           AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL
                            20020828
     EP 1234823
                                            EP 2002-9583
                                                              20000804
                       A2
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL
     EP 1234824
                            20020828
                                            EP 2002-9584
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                       A1
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL
                                            EP 2002-9585
                            20020828
                                                              20000804
     EP 1234825
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL
     EP 1234826
                            20020828
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                       Ρ
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EP 2000-952599 A3 20000804 US 2000-632930 A3 20000804 WO 2000-US21523 W 20000804

OS MARPAT 134:207831

Title compds. [I; wherein X1-X4 independently = O, S, NR1, N, CR2, bond; ΑB R1 = H, C1-3 alkyl, C2-3 alkenyl, C2-3 alkynyl, OH, CHF2, C1-4 alkoxy; R2 =H, F, Cl, Br, CN, OH, C1-3 alkyl, C1-3 haloalkyl cyclopropyl, C1-3 alkoxy; Z = O, S, NOH, NOR3; R3 = C1-3 alkyl; A = C1-14 alkyl, C1-14 alkynyl, C1-14 cycloalkyl, aryl, heteroaryl, Q; M = H, Si(t-Bu)Me2, Si(Ph)Me2, SiEt3, CZR4, SO2R5; R4 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl; R5 = aryl, heteroaryl, C1-6 alkyl, C2-6 alkenyl, C3-6 alkenyl, C3-6 alkynyl, C3-6 cycloalkyl; X, Y independently = O, S; W = O, CH2, bond; R = C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, C3-8 cycloalkyl, aryl, heteroaryl; R11 = H, C1-3 alkyl, C2-5 alkenyl, C2-5 alkynyl; R10 = H, R, OR, OCOR, OCOOR; R8, R9 independently = H, C1-6 alkyl, C2-6 alkenyl; R6, R7 independently = H, C1-6 alkyl, C2-6 alkenyl, C2-5 alkynyl, C3-6 cycloalkyl) are prepd. as fungicides involving application methods of effective usage of title compds. to control fungi, particularly plant pathogens and wood decaying fungi. The invention also encompasses hydrates, salts and complexes thereof. The title compd. II was prepd. and tested as fungicide.

IT 321598-52-1P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and fungicidal activity of heterocyclic arom. amides)

RN 321598-52-1 CAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(1,2,3,4-tetrahydro-1-oxo-2-naphthalenyl)- (9CI) (CA INDEX NAME)

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L4
     ANSWER 17 OF 60 CAPLUS COPYRIGHT 2003 ACS
ΑN
     2001:78374 CAPLUS
     134:147596
DN
     2-Arylimino-2,3-dihydrothiazoles, processes for their preparation, and
ΤI
     their use as somatostatin receptor ligands
     Moinet, Christophe; Sackur, Carole; Thurieau, Christophe
IN
     Societe de Conseils de Recherches et d'Applications Scientifiques
PΑ
     (S.C.R.A.S, Fr.
SO
     PCT Int. Appl., 428 pp.
     CODEN: PIXXD2
DT
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LΑ
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                                            APPLICATION NO.
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     MARPAT 134:147596
OS
     The invention concerns novel 2-arylimino-2,3-dihydrothiazole derivs. I and
AB
     their racemates, enantiomers, combinations, and salts [wherein R1 =
     (un) substituted, particularly amino-substituted alk(en/yn)yl,
     (hetero)aryl, aralkyl, cycloalkyl, etc.; R2 = (un)substituted carbocyclic
     or heterocyclic aryl; R3 = alkyl, adamantyl, (un)substituted (hetero)aryl
     or (hetero)aralkyl, (un)substituted carbamoyl; R4 = H, alkyl,
     (un) substituted (hetero) aralkyl, etc.]. Also disclosed are methods of their prepn. and their use as medicines, in particular for treating a wide
     variety of pathol. conditions or diseases involving somatostatin
     receptors. In particular, these pathol. conditions include acromegaly,
     pituitary adenoma, endocrine gastroenteropancreatic tumors (including the
     carcinoid syndrome), and gastrointestinal bleeding. Examples include 6
     detailed syntheses, a listing of over 2800 characterized invention
     compds., and various precursor prepns. For instance, 4-H2NC6H4CH2CH2NH2
     was bound to Wang resin p-nitrophenylcarbonate (at the aliph. amino
     group), and the resin-bound amine reacted sequentially with PhCH2CH2NCS,
     bromopyruvic acid, and 4-ClC6H4CH2NH2 to give, after acidic cleavage,
     (Z)-isomeric title compd. II. Ten selected compds. I inhibited binding of
     [125I-Tyr11] SRIF-14 to human somatostatin receptors in vitro with Ki < 200
     nM.
IT
     322747-60-4P 322747-74-0P 322747-88-6P
     322748-02-7P 322748-30-1P 322748-50-5P
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322748-70-9P 322748-90-3P 322750-11-8P

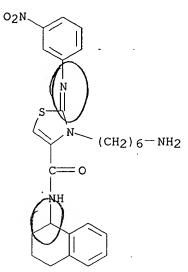
322750-27-6P 322750-43-6P 322750-59-4P 322750-75-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of (arylimino)dihydrothiazoles as somatostatin receptor ligands)

RN 322747-60-4 CAPLUS

CN 4-Thiazolecarboxamide, 3-(6-aminohexyl)-2,3-dihydro-2-[(3-nitrophenyl)imino]-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)



RN

CN

322747-74-0 CAPLUS
4-Thiazolecarboxamide, 3-(6-aminohexyl)-2,3-dihydro-2-[(4-phenoxyphenyl)imino]-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 322747-88-6 CAPLUS

CN 4-Thiazolecarboxamide, 3-(6-aminohexyl)-2,3-dihydro-2-[[4-(1-piperidinylsulfonyl)phenyl]imino]-N-(1,2,3,4-tetrahydro-1-naphthalenyl)-(9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 322748-02-7 CAPLUS

CN 4-Thiazolecarboxamide, 3-(6-aminohexyl)-2-[(3-bromophenyl)imino]-2,3-dihydro-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 322748-30-1 CAPLUS

CN 4-Thiazolecarboxamide, 3-(4-aminobutyl)-2-[(3,5-dimethylphenyl)imino]-2,3-dihydro-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

Me
N
S
N
(CH2) 
$$4-NH2$$
N
NH

RN 322748-50-5 CAPLUS

CN 4-Thiazolecarboxamide, 3-(4-aminobutyl)-2,3-dihydro-2-(1-naphthalenylimino)-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 322748-70-9 CAPLUS

CN 4-Thiazolecarboxamide, 3-(5-aminopentyl)-2-[(3,5-dimethylphenyl)imino]-2,3-dihydro-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 322748-90-3 CAPLUS

CN 4-Thiazolecarboxamide, 3-(5-aminopentyl)-2,3-dihydro-2-(1-naphthalenylimino)-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 322750-11-8 CAPLUS

CN 4-Thiazolecarboxamide, 2-[[3-(aminomethyl)phenyl]imino]-2,3-dihydro-3-(2-phenylethyl)-N-[(1R)-1,2,3,4-tetrahydro-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 322750-27-6 CAPLUS

CN 4-Thiazolecarboxamide, 2-[[3-(aminomethyl)phenyl]imino]-2,3-dihydro-3-(2-methylpropyl)-N-[(1R)-1,2,3,4-tetrahydro-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 322750-43-6 CAPLUS

CN 4-Thiazolecarboxamide, 2-[[3-(aminomethyl)phenyl]imino]-2,3-dihydro-3-(1-naphthalenylmethyl)-N-[(1R)-1,2,3,4-tetrahydro-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 322750-59-4 CAPLUS

CN 4-Thiazolecarboxamide, 2-[[3-(aminomethyl)phenyl]imino]-3-[(4-chlorophenyl)methyl]-2,3-dihydro-N-[(1R)-1,2,3,4-tetrahydro-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 322750-75-4 CAPLUS

CN 4-Thiazolecarboxamide, 2-[[3-(aminomethyl)phenyl]imino]-2,3-dihydro-3-[(2-methoxyphenyl)methyl]-N-[(1R)-1,2,3,4-tetrahydro-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 18 OF 60 CAPLUS COPYRIGHT 2003 ACS
L4
     2001:63978 CAPLUS
ΑN
     134:131431
DN
     Fungicidal heterocyclic aromatic amides and their compositions, methods of
ΤI
     use and preparation
     Ricks, Michael John; Dent, William Hunter, III; Rogers, Richard Brewer;
IN
     Yao, Chenglin; Nader, Bassam Salim; Miesel, John Louis; Fitzpatrick, Gina
    Marie; Meyer, Kevin Gerald; Niyaz, Noormohamed Mohamed; Morrison, Irene
    Mae; Gajewski, Robert Peter
     Dow Agrosciences LLC, USA
PA
SO
     PCT Int. Appl., 159 pp.
     CODEN: PIXXD2
DT
     Patent
    English
LΑ
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     PATENT NO.
                      KIND
                            DATE
                                                             DATE
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             SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW,
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    US 1999-150248P
                       Р
                            19990823
    WO 2000-US19794
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    US 2000-632930
                       A3
                            20000804
os
    MARPAT 134:131431
    Title compds. I [W, X, Y, Z are selected from S, O, NR1, N, CR2 or bond
AΒ
     and comprise a 5-6 membered (un) substituted heterocyclic ring; R1 = H,
     alkyl, alkenyl, alkynyl, OH, acyloxy, alkoxymethyl, CHF2, cyclopropyl, or
     alkoxy; R2 = H, halo, CN, OH, alkyl, haloalkyl, cyclopropyl, alkoxy,
     haloalkoxy, etc.; G = O, S or NOR3 where R3 = H or alkyl; A =
     (un) substituted alkyl, alkenyl, alkynyl, cycloalkyl, unsatd. cycloalkyl,
     heterocycle, bi or tricyclic ring system which may contain heteroatoms,
     aryl or heteroaryl, etc.] bearing a hydroxy group adjacent to the amide
     functionality are prepd. and disclosed as antifungal agents, particularly
     for plants. Thus, pyridinyl carboxamide II was prepd. via amidation of
     3-benzyloxy-6-bromo-4-methoxypyridin-2-carbonyl chloride with
     4-(4-trifluoromethylphenoxy) aniline with subsequent deprotection.
     preferred fungicidal compn. consists of a compd. of formula I with a
    phytol. acceptable carrier. Activity has been demonstrated against a
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variety of fungi, e.g., Plasmopara viticola (Downy Mildew of Grape),

Phytophthora infestans (Late Blight of Tomato), and Venturia inaequalis (Apple Scab). I is both useful for eradication and prevention of fungal attack.

IT 321598-52-1P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and fungicidal activity of heterocyclic arom. amides)

RN 321598-52-1 CAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(1,2,3,4-tetrahydro-1-oxo-2-naphthalenyl)- (9CI) (CA INDEX NAME)

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L4
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     2001:12443 CAPLUS
ΑN
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     134:86539
TI
     Preparation of benzimidazolecarboxylic acid amino acid amides as I.kappa.B
     kinase inhibitors.
     Ritzeler, Olaf; Stilz, Hans Ulrich; Neises, Bernhard; Bock, William
IN
     Jerome, Jr.; Walser, Armin; Flynn, Gary A.
     Aventis Pharma Deutschland Gmbh, Germany
PA
     PCT Int. Appl., 102 pp.
SO
     CODEN: PIXXD2
DT
     Patent
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     MARPAT 134:86539
OS
     Title compds. [I; 1 of R1-R4 = DNR8CHR9Z; D = CO, SO, SO2; R8 = H, alkyl;
ΑB
     R9 = amino acid residue, (substituted) aryl, heteroaryl, heterocyclyl,
     alkyl, etc.; Z = (substituted) aryl, heteroaryl, heterocyclyl, etc.; the
     remainder of R1-R4 = H, halo, alkyl, (substituted) heteroaryl,
     heterocyclyl, alkyl, cyano, aralkoxy, alkoxy, etc.; R5 = H, OH, O; R6 =
     (substituted) aryl, Ph, heteroaryl, heterocyclyl], were prepd. Thus,
     2-pyrid-4-ylbenzimidazol-4-carboxylic acid (prepn. given), H-Leu-OMe,
     TOTU, and (Me2CH) 2EtN were stirred in MeCN to give 98%
     2-pyrid-4-ylbenzimidazol-4-carbonylleucine Me ester. I inhibited
     I.kappa.B kinase with IC50 = 0.07-72 .mu.M.
IT
     313065-41-7P 313065-61-1P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of benzimidazolecarboxylic acid amino acid amides as I.kappa.B
        kinase inhibitors)
RN
     313065-41-7 CAPLUS
     2-Naphthalenecarboxylic acid, 1,2,3,4-tetrahydro-2-[[[2-(4-pyridinyl)-1H-
CN
     benzimidazol-4-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)
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RN 313065-61-1 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, N-[2-(aminocarbonyl)-1,2,3,4-tetrahydro-2-naphthalenyl]-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4
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AN
     2000:908698 CAPLUS
     134:42443
DN
TI
     Preparation and use of benzimidazole derivatives for treatment of illness.
     Ritzeler, Olaf; Stilz, Hans Ulrich; Neises, Bernhard; Bock, William
IN
     Jerome, Jr.; Walser, Armin; Flynn, Gary A.
    Aventis Pharma Deutschland G.m.b.H., Germany
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     Ger. Offen., 36 pp.
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     CODEN: GWXXBX
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     German
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                                                             DATE
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             LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
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                      Α
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    WO 2000-EP5340
                       W
    MARPAT 134:42443
OS
    Title compds., e.g. (I), were prepd. (no data) for use in treating
    diseases which feature an intensified activity by transcription factor
    NF.kappa.B. An example is given of solid-phase synthesis of (II). In in
    vitro tests, I had IC50 of 1 .mu.M for I.kappa.B-kinase, while inhibiting
     other kinase activities (protein kinases A and C, and casein kinase) 36,
     63, and 70%, resp. In the same tests, II showed IC50 of 25 .mu.M for
    .I.kappa.B, and inhibited the other kinases 24, 7, and 17%, resp.
     313065-41-7P 313065-61-1P
IT
     RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
     study); PREP (Preparation); USES (Uses)
        (prepn. and use of benzimidazole derivs. for treatment of illness)
     313065-41-7 CAPLUS
RN
     2-Naphthalenecarboxylic acid, 1,2,3,4-tetrahydro-2-[[[2-(4-pyridinyl)-lH-
CN
    benzimidazol-4-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)
```

RN

313065-61-1 CAPLUS
1H-Benzimidazole-5-carboxamide, N-[2-(aminocarbonyl)-1,2,3,4-tetrahydro-2-naphthalenyl]-2-(4-pyridinyl)- (9CI) (CA INDEX NAME) CN

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

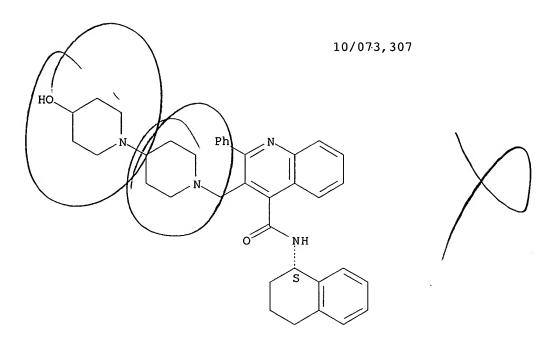
```
ANSWER 21 OF 60 CAPLUS COPYRIGHT 2003 ACS
L4
ΑN
    2000:628105 CAPLUS
DN
    133:222452
    Aryl and heteroaryl amide compounds for the potentiation of cholinergic
ΤI
     activity
     Yamada, Akira; Aoki, Satoshi
IN
     Fujisawa Pharmaceutical Co., Ltd., Japan
PA
SO
     PCT Int. Appl., 37 pp.
     CODEN: PIXXD2
DT
     Patent
LA
    English
FAN.CNT 1
     PATENT NO.
                                         APPLICATION NO. DATE
                     KIND DATE
                     A1 20000908
    WO 2000051970
                                          WO 2000-JP601 20000203
PΤ
        W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, TN, IS,
             JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,
           MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
             TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,
             RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                           20011205
                                           EP 2000-902080
                                                           20000203
     EP 1159258
                      A1
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
                                           BR 2000-10225
                                                            20000203
    BR 2000010225
                            20020122
                     Α
    JP 2002538132
                       Т2
                            20021112
                                           JP 2000-602198
                                                            20000203
                            19990226
PRAI AU 1999-8912
                       Α
    WO 2000-JP601
                            20000203
    MARPAT 133:222452
OS
    Amide compds. (R1)(R2)X-Y-Q-R3 (I) and their salts are disclosed [wherein:
AB
    R1, R2 = aryl or ar(lower)alkyl, or are taken together to form lower
    alkylene or lower alkenylene, each of which may be substituted with aryl
    or may be condensed with a cyclic hydrocarbon optionally substituted with
     lower alkyl, lower alkoxy, aryl, aryloxy or halogen; R3 = lower alkyl,
    lower alkoxy, aryl, arylamino or aryloxy (each of which may be substituted
    with lower alkoxy or halogen), pyridyl, or pyridylamino; X = CH or N; Y =
    bond or NH; Q = CO; with provisos]. I are potentiators of cholinergic
    activity, and are useful as anti-amnesia or anti-dementia agents. I are
     thus useful for treating a variety of central nervous system conditions,
     e.g., Alzheimer's dementia. For instance, reaction of
     1,2,3,6-tetrahydropyridine with 4-fluorophenyl isocyanate in THF at room
   temp. gave title compd. II. Selected compds. I were active in a rat
    penile erection assay at doses of 0.1-0.32 \text{ mg/kg i.p.}
IT
    291756-25-7P, 2-(Pyridin-4-ylcarbonylamino)-1,2,3,4-
     tetrahydronaphthalene
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (drug candidate; prepn. of aryl and heteroaryl amide compds. as
        cholinergic agonists)
RN
     291756-25-7 CAPLUS
     4-Pyridinecarboxamide, N-(1,2,3,4-tetrahydro-2-naphthalenyl)- (9CI) (CA
CN
     INDEX NAME)
```

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT .

```
L4
    ANSWER 22 OF 60 CAPLUS COPYRIGHT 2003 ACS
ΑN
    2000:368302 CAPLUS
    133:17388
DN
    Preparation of quinoline-4-carboxamides as NK-2 and NK-3 receptor ligands
ΤI
     Farina, Carlo; Giardina, Giuseppe; Grugni, Mario; Nadler, Guy Marguerite
IN
    Marie Gerard; Raveglia, Luca Francesco
     Smithkline Beecham S.p.A., Italy; Smithkline Beecham Laboratoires
PA
     Pharmaceutiques
SO
     PCT Int. Appl., 42 pp.
    CODEN: PIXXD2
DT
    Patent
    English
LA
FAN.CNT 1
                                         APPLICATION NO. DATE
    PATENT NO.
                    KIND DATE
                     A1 20000602
    WO 2000031038
                                          WO 1999-EP9156 19991122
PΙ
        W: CA, JP, US
        RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
            PT, SE
                                          EP 1999-959340 19991122
                           20010912
     EP 1131294
                      A1
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, FI
                           19981120
PRAI GB 1998-25554
                      Α
    WO 1999-EP9156
                      W
                           19991122
    MARPAT 133:17388
    The title compds. [I; R = alkyl; R1 = H or up to four optional
     substituents selected from alkyl, alkenyl, aryl, etc.; R2 = (CH2)nNY1Y2
     (wherein n = 1-9; Y1, Y2 = H, alkyl, alkyl substituted with hydroxy, etc.;
    NY1Y2 = (un)substituted N-linked single or fused ring heterocyclic group);
    R3 = alkyl, cycloalkyl, cycloalkylalkyl, etc.; R4 = H, alkyl; CR4R =
     (un) substituted cycloalkyl] and their salts, useful as NK-2 or NK-3
     receptor ligands, were prepd. E.g., a multi-step synthesis of (R,S)-amide
    I.2HCl [R = iso-Pr; R1 = H; R2 = 4-isopropylpiperazin-1-ylmethyl; R3 = Ph;
    R4 = Me] was given. Compds. I are effective at 100-3000 mg/day for a 70
    kg adult.
ΙT
    272104-56-0P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of quinoline-4-carboxamides as NK-2 and NK-3 receptor ligands)
RN
     272104-56-0 CAPLUS
     4-Quinolinecarboxamide, 3-[(4-hydroxy[1,4'-bipiperidin]-1'-y1)methy1]-2-
```

Absolute stereochemistry.

phenyl-N-[(1S)-1,2,3,4-tetrahydro-1-naphthalenyl]- (9CI) (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L4
     ANSWER 25 OF 60 CAPLUS COPYRIGHT 2003 ACS
ΑN
     2000:190924 CAPLUS
DN
     132:237088
     Preparation of fused pyridine inhibitors of cGMP phosphodiesterase
ΤI
     Macor, John E.; Yu, Guixue
IN
     Bristol-Myers Squibb Co., USA
PA
     PCT Int. Appl., 113 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LА
     English
FAN.CNT 1
     PATENT NO.
                      KIND
                            DATE
                                            APPLICATION NO.
                                                              DATE
                                            ______
                             _____
                             20000323
                                           WO 1999-US21070 19990913
PΙ
     WO 2000015222
                      A1
         W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG,
             MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL,
                                                                           ΊJ,
             TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,
             RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     US 6326379
                       В1
                             20011204
                                            US 1999-393833
                                                              19990910
     CA 2342583
                             20000323
                                            CA 1999-2342583 19990913
                       AA
     AU 9961438
                       Α1
                             20000403
                                            AU 1999-61438
                                                              19990913
                             20020815
     AU 751486
                       В2
                             20010711
                                            EP 1999-948211
                                                              19990913
     EP 1113796
                       A1
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
PRAI US 1998-100665P
                      \mathbf{P}
                            19980916
     WO 1999-US21070
                       W
                             19990913
OS
     MARPAT 132:237088
     The title compds. [I or II; E1 = OR1, SR1, NH-Al-cycloalkyl, etc.; E2 =
AB
     NH-A1-alkoxy, NH-A1-CO2alkyl, NH-A1-aryl, etc.; R1 = A1-cycloalkyl,
     Al-alkoxy, Al-aryl, etc.; X1 = OA1R2, OR9, NR9R10, etc.; X2 = OA1R25,
     N(R5)A2R25. etc.; X3 = OR9, OA1OR9, NR9R10, etc.; A1 = (un)substituted
     alkylene; Y = N, CR6; Z = N, CR7 with the proviso that at least one of Y
     and Z = N; R3 = H, alkyl, cycloalkyl, etc.; R6, R7 = H, alkyl, cycloalkyl,
     etc.; R4 = H, 1- or 3-imidazolyl, etc.; A2 = a direct bond, alkylene,
     alkenyl, etc.; R2 = cycloalkyl, aryl, heteroaryl, etc.; R25 = cycloalkyl,
     aryl, heteroaryl, etc.; R5 = H, alkyl, cycloalkyl, etc.; R9, R10 = H,
     alkyl, cycloalkyl, etc.], useful for treating a cGMP PDE (esp. type V)
     assocd. condition such as erectile dysfunction, were prepd. Thus,
     reacting 4-{[(3-chloro-4-methoxyphenyl)methyl]amino}-1-ethyl-1H-
     pyrazolo[3,4-b]pyridine-5-carboxylic acid with 4-aminomethylpyridine in
     the presence of EDAC.HCl, 1-hydroxybenzotriazole and Et3N in THF afforded
     90% II [Y = N; Z = CH; E2 = 3-Cl-4-MeOC6H3CH2NH; X2 = 4-
     pyridynylmethylamino; R3 = Et; R4 = H]. Compds. I are effective at
     0.05-100 \text{ mg/kg/day.}
TΤ
     261770-72-3P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of fused pyridine inhibitors of cGMP phosphodiesterase)
RN
     261770-72-3 CAPLUS
     1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-[[(3-chloro-4-
CN
```

methoxyphenyl)methyl]amino]-1-ethyl-N-(1,2,3,4-tetrahydro-1-naphthalenyl)-

## (9CI) (CA INDEX NAME)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 26 OF 60 CAPLUS COPYRIGHT 2003 ACS
L4
     2000:161121 CAPLUS
AN
DN
     132:207763
     Preparation of benzopyran, tetrahydroquinoline, pyrano[2,3-b]pyridine, and
TI
     indan derivatives as potassium channel inhibitors
     Lloyd, John; Finlay, Heather J.; Vaccaro, Wayne; Atwal, Karnail; Gross,
IN
     Michael F.; Spear, Kerry L.
     Bristol-Myers Squibb Company, USA
PΑ
     PCT Int. Appl., 210 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                       KIND
                              DATE
                                              APPLICATION NO.
                                                               DATE
                                              -----
                              _____
     WO 2000012077
                              20000309
                                             WO 1999-US18599 19990816
PΙ
                        A1
         W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,
              MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
              TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU,
              TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
              ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
              CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                              CA 1999-2341678 19990816
     CA 2341678
                        AA
                              20000309
     AU 9956753
                              20000321
                                              AU 1999-56753
                                                                19990816
                        A1
     AU 754204
                              20021107
     EP 1109544
                        A1
                              20010627
                                              EP 1999-943714
                                                                19990816
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO
     JP 2002523451
                        T2
                              20020730
                                              JP 2000-567195
                                                                19990816
                                              US 1999-375955
     US 6150356
                        Α
                              20001121
                                                                19990817
     US 6511977
                              20030128
                                              US 2000-670285
                                                                20000925
                        B1
PRAI US 1998-98709P
                              19980901
                        Р
     WO 1999-US18599
                              19990816
                        W
     US 1999-375955
                        A3
                              19990817
     MARPAT 132:207763
OS
     The title compds. (I) [wherein A, B, and D = independently CH or N; R = H,
AB
     (aryl)alkyl, alkenyl, aryl, (hetero)cycloalkyl, or cycloalkylalkyl; R1 =
(aryl)alkyl, aryl, alkenyl, heterocyclo, NR5-heterocyclo,
     (hetero)cycloalkyl, cycloalkylalkyl, or (un)substituted amino; or R and R1
     taken together with the N-S atoms = a 5- to 8-membered ring; R2 = H,
     (aryl)alkyl, acyl, carboxymethyl, carbamoylmethyl, etc.; R3 and R4 = independently = H, (aryl)alkyl, cycloalkyl, or R3 and R4 taken together
     with the C to which they are attached form a 5- to 8-membered ring; R5 =
     H, (aryl)alkyl, alkenyl, aryl, or cycloalkyl(alkyl); X1 = (CR3R4)n, O,
     NR5, S, S(0), SO2, -OCR3R4-, -NR5CR3R4-, -SCR3R4-, -S(0)CR3R4-, or
     -SO2CR3R4-; n = 1-3; X2 = single bond, NR5, or O; Q = substituted
     NHCH: NCN, acyl, (un) substituted sulfamoyl, or substituted heterocyclo]
     were prepd by soln. phase or solid phase synthesis as antiarrhythmics.
     For example, II was formed in a 3-step sequence involving: (1)
     sulfonylation of (trans)-4-amino-3,4-dihydro-2,2-dimethyl-6-cyano-2H-
     benzopyran with 4-ethylbenzenesulfonyl chloride (85%), (2) hydrolysis of
     the nitrile to the carboxylic acid using ag. Na2O2 (33%), and (3)
     amidation with 1,2,3,4-tetrahydro-1-naphthylamine (51%). I block the
     delayed rectifier voltage-gated K+ channel (IKur) and are therefore useful
     in the prevention and treatment of cardiac arrhythmia (no data).
```

## ΙT 260397-93-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (target compd.; prepn. of arylsulfamido benzopyran, tetrahydroquinoline, pyrano[2,3-b]pyridine, and indan derivs. by soln. phase or solid phase synthesis as potassium channel inhibitors for the treatment of arrhythmia)

260397-93-1 CAPLUS RN

2H-1-Benzopyran-6-carboxamide, 4-[[(4-ethylphenyl)sulfonyl]amino]-3,4-CN dihydro-3-hydroxy-2,2-dimethyl-N-(1,2,3,4-tetrahydro-1-naphthalenyl)-, (3R, 4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 28 OF 60 CAPLUS COPYRIGHT 2003 ACS
L4
    1999:404951 CAPLUS
AN
DN
    131:58850
ΤI
    Preparation of quinolinepiperazine and quinolinepiperidine derivatives and
    their use as combined 5-HT1A, 5-HT1B, and 5-HT1D receptor antagonists
IN
    Gaster, Laramie Mary
    Smithkline Beecham Plc, UK
PA
    PCT Int. Appl., 60 pp.
SO
    CODEN: PIXXD2
DΤ
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
                    ____
                           _____
                                          ______
    WO 9931086
                      A1
                           19990624
                                          WO 1998-EP7804
                                                           19981202
PΙ
        W: CA, JP, US
        RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
            PT, SE
    CA 2313125
                      AA
                           19990624
                                          CA 1998-2313125
                                                           19981202
    EP 1047691
                      A1
                           20001102
                                          EP 1998-965729
                                                           19981202
        R: BE, CH, DE, ES, FR, GB, IT, LI, NL
                                          JP 2000-539010
    JP 2002508366 T2
                           20020319
                                                           19981202
PRAI GB 1997-26364
                           19971212
                      Α
    GB 1997-26905
                     Α
                           19971219
    GB 1998-317
                      Α
                           19980107
                           19981202
    WO 1998-EP7804
                      W
OS
    MARPAT 131:58850
AB
    The title compds. I [Ra = substituted Ph, bicyclic aryl, heterocyclyl,
    etc.; L = YC(0)DG, C(0)DG, DGC(0) in which Y is -NH-, NR5 where R5 is
    C1-6alkyl, or Y is -CH2- or -O-; D is nitrogen, carbon or a CH group, or G
    is hydrogen or C1-6alkyl providing that D is nitrogen or a CH group, or G
    together with Rb1 forms a group W where W is (CR16R17)t where t is 2, 3 or
    4 and R16 and R17 are independently hydrogen or C1-6alkyl or W is
     (CR16R17)u-J where u is 0, 1, 2 or 3 and J is oxygen, sulfur, CR16:CR17,
    CR16:N, :CR160, :CR16S or :CR16NR17 provided that u is not 0 when J is
    oxygen or sulfur; X is nitrogen or carbon; Rb1, Rb2 and Rb3 are
    independently hydrogen, halogen, hydroxy, C1-6alkyl, C2-6alkenyl,
    C3-6cycloalkyl, trifluoromethyl, C1-6alkoxy or aryl, or Rb1 together with
    G forms a group W as defined above; Rc is hydrogen or C1-6alkyl] were
    prepd. E.g., N-[4-(4-methylpiperazin-1-yl)quinolin-6-yl]-N'-[5-(pyridin-4-
    yl)naphth-1-yl]urea was prepd. Some examples of I had pKi values > 8.5 at
    5-HT1A, 5-HT1B, and 5-HT1D receptors.
IT
    227956-57-2P 227956-71-0P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
    study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of quinolinepiperazine and quinolinepiperidine derivs. and
       their use as combined 5-HT1A, 5-HT1B, and 5-HT1D receptor antagonists)
RN
    227956-57-2 CAPLUS
    Pyrido[2,3-g]quinoline-1(2H)-carboxamide, 3,4-dihydro-9-(4-methyl-1-
CN
    piperazinyl)-N-(1,2,3,4-tetrahydro-1-oxo-2-naphthalenyl)-,
```

monohydrochloride (9CI) (CA INDEX NAME)

● HCl -

RN 227956-71-0 CAPLUS

CN Pyrido[2,3-g]quinoline-1(2H)-carboxamide, 3,4-dihydro-9-(4-methyl-1-piperazinyl)-N-(1,2,3,4-tetrahydro-1-oxo-2-naphthalenyl)- (9CI) (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 29 OF 60 CAPLUS COPYRIGHT 2003 ACS
- AN 1999:396632 CAPLUS
- DN 131:208606
- TI A new class of dipeptide derivatives that are potent and selective .delta. opioid agonists
- AU Schiller, P. W.; Weltrowska, G.; Berezowska, I.; Lemieux, C.; Chung, N. N.; Carpenter, K. A.; Wilkes, B. C.
- CS Clinical Research Institute of Montreal, Montreal, QC, H2W 1R7, Can.
- Peptides: Frontiers of Peptide Science, Proceedings of the American Peptide Symposium, 15th, Nashville, June 14-19, 1997 (1999), Meeting Date 1997, 514-516. Editor(s): Tam, James P.; Kaumaya, Pravin T. P. Publisher: Kluwer, Dordrecht, Neth. CODEN: 67UCAR
- DT Conference
- LÀ English
- AB A new class of potent and selective .delta.-opioid agonists has been developed by alteration of dipeptides having the general formula H-Tyr-Tic-NH-(CH2)n-Ph. Structure-activity data are presented for 18 dipeptides (displacement of DAMGO vs. DSLET from rat brain membrane binding sites).
- IT 209786-88-9 209786-89-0
  RL: BAC (Biological activity or effe

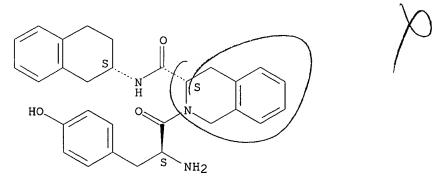
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(dipeptide derivs. that are potent and selective .delta. opioid agonists)

RN 209786-88-9 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[(2S)-2-amino-3-(4-hydroxyphenyl)-1-oxopropyl] 1,2,3,4-tetrahydro-N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 209786-89-0 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[(2S)-2-amino-3-(4-hydroxyphenyl)-1-oxopropyl]-1,2,3,4-tetrahydro-N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]-, (3S)- (9CI) (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L4
     ANSWER 31 OF 60 CAPLUS COPYRIGHT 2003 ACS
AN
     1999:354484 CAPLUS
     131:31954
DN
     Preparation of quinoxalinecarboxamides and analogs as metabotropic
TI
     glutamate receptor antagonists
     Van Wagenen, Bradford C.; Moe, Scott T.; Smith, Daryl L.; Sheehan, Susan
IN
    M.; Shcherbakova, Irina; Travato, Richard; Walton, Ruth; Barmore, Robert;
     Delmar, Eric G.; Stormann, Thomas M.
PA
     NPS Pharmaceuticals, Inc., USA
     PCT Int. Appl., 63 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 2
                                           APPLICATION NO.
     PATENT NO.
                     KIND DATE
                                                            DATE
                      A2
    WO 9926927
                            19990603
                                           WO 1998-US24833 ~19981120
PT
    WO 9926927
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                       A1
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                                                             20000519
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                            19971121
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    US 1999-137272P
                       Р
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OS
    MARPAT 131:31954
    RZR1 [R = (ar)alkyl, (alkyl)cycloalkyl; R1 = (hetero)aryl(alkyl); Z = (CO-
AB
     and heteroatom-interrupted) (CH2) 2-6, -alkenylene, -alkynylene] were prepd.
     as metabotropic glutamate receptor antagonists (no data). Thus,
     2-quinoxalinecarboxylic acid was amidated by 2-adamantanamine to give
    N-(2-adamantyl)-2-quinoxalinecarboxamide.
IT
     226878-89-3P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of quinoxalinecarboxamides and analogs as metabotropic
        glutamate receptor antagonists)
     226878-89-3 CAPLUS
RN
     2-Quinoxalinecarboxamide, N-[(1S)-1,2,3,4-tetrahydro-1-naphthalenyl]-
CN
     (9CI)
           (CA INDEX NAME)
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L4
     ANSWER 32 OF 60 CAPLUS COPYRIGHT 2003 ACS
AN
     1998:709058 CAPLUS
     129:343423
DN
TI
     2-Benzox1-1,2,3,4-fetrahydroisoquinoline-3-carboxamide derivatives and
     their use as inhibitors of hepatic production of ApoB-100
ΙN
     Daugan, Alain Claude-Marie; Pianetti, Pascal Maurice Charles
     Glaxo Group Limited, UK
PA
                                                                     not fully stice
     PCT Int. Appl., 60 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN. CNT 1
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                                                              DATE
     PATENT NO.
                      KIND DATE
     WO 9847877
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             NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
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             CM, GA, GN, ML, MR, NE, SN, TD, TG
     AU 9875265
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                                            AU 1998-75265
                                                              19980420
PRAI GB 1997-8119
                             19970422
     WO 1998-EP2244
                            19980420
os
     MARPAT 129:343423
     The invention relates to compds. I [wherein R0 = H, halo, C1-4 alkyl, C1-4
AB
     alkoxy, or methylenedioxy; n = 1-4; R1 = H, halo, C1-4 alkyl, C1-4 alkoxy,
     CF3O, or methylenedioxy; p = 1-4; R2 = H, halo, C1-4 alkyl, C1-4 alkoxy,
     methylenedioxy, NR4R5, -(C1-4 alkylene)-NR6R7, -NR4- or -O-(C1-4
     alkylene)-NR8R9, 4-morpholino, or 4-R10-piperazin-1-yl, m = 1-4; R3 = H or
     C1-4 alkyl; R4-R10 = H or C1-4 alkyl] and their pharmaceutically
     acceptable salts or solvates, to processes for their prepn., and their use
     in the treatment of conditions mediated by ApoB-100 regulation. In
     particular, as inhibitors of hepatic ApoB-100 prodn., I are of use in
     treatment of pancreatitis, NIDDM, coronary heart disease, hyperlipidemia, and hypercholesterolemia. For instance, (+)-7-methyl-1,2,3,4-
     tetrahydronaphthalen-1-ylamine (resoln. given) was coupled with
     2-BOC-D-1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid using EDC and
     HOBT, and the resultant amide was deprotected with CF3CO2H and coupled
     with 4-MeC6H4CO2H under similar conditions to give title compd. II
     (+)-isomer. In a test for potency and selectivity, II inhibited prodn. of
     ApoB-100 in HepG2 cells in vitro with an IC50 of 0.9 nM, but showed an
     IC50 of > 5000 nM toward ApoA-1 prodn. in the same assay. Almost 50
     compds. were prepd., and their stereo-unspecified forms were claimed.
     Approx. 60 intermediates were prepd., 7 compds. were bioassayed, and 21
     pharmaceutical formulations were listed.
IT
     215315-48-3P 215315-49-4P 215315-50-7P
     215315-51-8P 215315-67-6P 215315-68-7P
     215315-69-8P 215315-70-1P 215315-72-3P
     215315-73-4P 215315-74-5P 215315-76-7P
     215315-78-9P 215315-80-3P 215315-85-8P
     215315-87-0P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (intermediate; prepn. of benzoyltetrahydroisoquinolinecarboxamide
```

derivs. as inhibitors of hepatic prodn. of ApoB-100)

RN 215315-48-3 CAPLUS

CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-3-[[(1,2,3,4-tetrahydro-7-methyl-1-naphthalenyl)amino]carbonyl]-, 1,1-dimethylethyl ester, (3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215315-49-4 CAPLUS

CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-3-[[(1,2,3,4-tetrahydro-7-methoxy-1-naphthalenyl)amino]carbonyl]-, 1,1-dimethylethyl ester, (3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215315-50-7 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-7-methyl-1-naphthalenyl)-, (3R)- (9CI) (CA INDEX NAME)

RN 215315-51-8 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-7-methoxy-1-naphthalenyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215315-67-6 CAPLUS

CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-3-[[[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215315-68-7 CAPLUS

CN 2(1H)-Isoquinolinecarboxylic acid, 3-[[[7-(1,1-dimethylethyl)-1,2,3,4-

tetrahydro-1-naphthalenyl]amino]carbonyl]-3,4-dihydro-, 1,1-dimethylethyl ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215315-69-8 CAPLUS

CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-3-[[(1,2,3,4-tetrahydro-1-naphthalenyl)amino]carbonyl]-, 1,1-dimethylethyl ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215315-70-1 CAPLUS

CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-3-[[(1,2,3,4-tetrahydro-5,7-dimethyl-1-naphthalenyl)amino]carbonyl]-, 1,1-dimethylethyl ester, (3R)-(9CI) (CA INDEX NAME)

RN 215315-72-3 CAPLUS

CN 2(1H)-Isoquinolinecarboxylic acid, 3-[[(6-fluoro-1,2,3,4-tetrahydro-7-methoxy-1-naphthalenyl)amino]carbonyl]-3,4-dihydro-, 1,1-dimethylethyl ester, (3R)- (9CI) (CA INDEX NAME).

Absolute stereochemistry.

RN 215315-73-4 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]-, (3R)- (9CI) (CA INDEX NAME)

RN 215315-74-5 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[7-(1,1-dimethylethyl)-1,2,3,4-tetrahydro-1-naphthalenyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215315-76-7 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-1-naphthalenyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215315-78-9 CAPLUS

CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-3-[[[(1R)-1,2,3,4-tetrahydro-5,7-dimethyl-1-naphthalenyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (3R)- (9CI) (CA INDEX NAME)

RN 215315-80-3 CAPLUS

CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-3-[[[(1S)-1,2,3,4-tetrahydro-5,7-dimethyl-1-naphthalenyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215315-85-8 CAPLUS

CN 2(1H)-Isoquinolinecarboxylic acid, 3-[[[(1R)-6-fluoro-1,2,3,4-tetrahydro-7-methoxy-1-naphthalenyl]amino]carbonyl]-3,4-dihydro-, 1,1-dimethylethyl ester, (3R)- (9CI) (CA INDEX NAME)

RN 215315-87-0 CAPLUS

CN 2(1H)-Isoquinolinecarboxylic acid, 3-[[[(1S)-6-fluoro-1,2,3,4-tetrahydro-7-methoxy-1-naphthalenyl]amino]carbonyl]-3,4-dihydro-, 1,1-dimethylethyl ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 215314-12-8P 215314-13-9P 215314-14-0P 215314-15-1P 215314-16-2P 215314-17-3P 215314-18-4P 215314-19-5P 215314-20-8P 215314-21-9P 215314-23-1P 215314-26-4P 215314-27-5P 215314-29-7P 215314-31-1P 215314-32-2P 215314-34-4P 215314-40-2P 215314-42-4P 215314-45-7P 215314-46-8P 215314-48-0P 215314-50-4P 215314-52-6P 215314-54-8P 215314-55-9P 215314-56-0P 215314-58-2P 215314-60-6P 215314-62-8P 215314-64-0P 215314-66-2P 215314-68-4P 215314-70-8P 215314-72-0P 215314-73-1P 215314-75-3P 215314-77-5P 215314-79-7P 215314-82-2P 215314-84-4P 215314-87-7P 215314-89-9P 215314-91-3P 215314-93-5P 215314-95-7P 215314-97-9P 215314-99-1P 215315-01-8P 215315-02-9P 215315-04-1P 215315-05-2P 215315-06-3P 215315-07-4P

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    215315-43-8P 215315-44-9P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
    study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
        (product; prepn. of benzoyltetrahydroisoquinolinecarboxamide derivs. as
       inhibitors of hepatic prodn. of ApoB-100)
RN
    215314-12-8 CAPLUS
     3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-(4-methylbenzoyl)-N-
CN
     (1,2,3,4-tetrahydro-7-methyl-1-naphthalenyl)-, (3R)- (9CI) (CA INDEX
    NAME)
```

Absolute stereochemistry.

RN 215314-13-9 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-(dimethylamino)-4-methylbenzoyl]-1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-7-methyl-1-naphthalenyl)-, (3R)- (9CI) (CA INDEX NAME)

RN 215314-14-0 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-(dimethylamino)benzoyl]-1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-7-methyl-1-naphthalenyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215314-15-1 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-(1,2,3,4-tetrahydro-7-methyl-1-naphthalenyl)-, (3R)- (9CI) (CA INDEX NAME)

RN 215314-16-2 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-(1,2,3,4-tetrahydro-7-methoxy-1-naphthalenyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215314-17-3 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-(dimethylamino)benzoyl]-1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-7-methoxy-1-naphthalenyl)-, (3R)- (9CI) (CA INDEX NAME)

RN 215314-18-4 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)ethoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]-, (3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215314-19-5 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)ethoxy]benzoyl]-1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-7-methyl-1-naphthalenyl)-, (3R)- (9CI) (CA INDEX NAME)

RN 215314-20-8 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)ethoxy]benzoyl]-1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-5,7-dimethyl-1-naphthalenyl)-, (3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215314-21-9 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-(4-methylbenzoyl)-N[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]-, (3R)- (9CI) (CA
INDEX NAME)

RN 215314-23-1 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-(1,3-benzodioxol-5-ylcarbonyl)-1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-7-methyl-1-naphthalenyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215314-26-4 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]-, (3R)- (9CI) (CA INDEX NAME)

RN 215314-27-5 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-(diethylamino)ethoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]-, (3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215314-29-7 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[7-(1,1-dimethylethyl)-1,2,3,4-tetrahydro-1-naphthalenyl]-1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-, (3R)-(9CI) (CA INDEX NAME)

RN 215314-31-1 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)ethoxy]benzoyl]-N-[7-(1,1-dimethylethyl)-1,2,3,4-tetrahydro-1-naphthalenyl]-1,2,3,4-tetrahydro-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 215314-32-2 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[3-(dimethylamino)propoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

HCl

RN 215314-34-4 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-[bis(1-methylethyl)amino]ethoxy]benzoyl ]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 215314-40-2 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-(4-methylbenzoyl)-N-(1,2,3,4-tetrahydro-5,7-dimethyl-1-naphthalenyl)-, (3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215314-42-4 CAPLUS

CN 3-Isoquinolinecarboxamide, N-(6-fluoro-1,2,3,4-tetrahydro-7-methoxy-1-naphthalenyl)-1,2,3,4-tetrahydro-2-(4-methylbenzoyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215314-45-7 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-(3-methoxybenzoyl)-N-(1,2,3,4-tetrahydro-7-methyl-1-naphthalenyl)-, (3R)-(9CI) (CA INDEX NAME)

RN 215314-46-8 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-methyl-1-piperazinyl)benzoyl]-N-(1,2,3,4-tetrahydro-7-methoxy-1-naphthalenyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215314-48-0 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-(dimethylamino)-4-methoxybenzoyl]-1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-7-methyl-1-naphthalenyl)-, (3R)- (9CI) (CA INDEX NAME)

RN 215314-50-4 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-(4-chlorobenzoyl)-1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-7-methyl-1-naphthalenyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215314-52-6 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-(1,2,3,4-tetrahydro-1-naphthalenyl)-, (3R)- (9CI) (CA INDEX NAME)

RN 215314-54-8 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[2-(dimethylamino)benzoyl]-1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-1-naphthalenyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215314-55-9 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)propoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

● HCl

RN 215314-56-0 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)-1-methylethoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 215314-58-2 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)-2-methylpropoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215314-60-6 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)-1,1-dimethylethoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HCl

RN 215314-62-8 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-[(1R)-1,2,3,4-tetrahydro-4,4,7-trimethyl-1-naphthalenyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 215314-64-0 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-[(1S)-1,2,3,4-tetrahydro-4,4,7-trimethyl-1-naphthalenyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 215314-66-2 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-[(1R)-1,2,3,4-tetrahydro-4,4,6-trimethyl-1-naphthalenyl]-, (3R)- (9CI) (CA INDEX NAME)

RN 215314-68-4 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-[(1S)-1,2,3,4-tetrahydro-4,4,6-trimethyl-1-naphthalenyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 215314-70-8 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-[(1R)-1,2,3,4-tetrahydro-7-methoxy-4,4-dimethyl-1-naphthalenyl]-, (3R)-(9CI) (CA INDEX NAME)

RN 215314-72-0 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-[(1S)-1,2,3,4-tetrahydro-7-methoxy-4,4-dimethyl-1-naphthalenyl]-, (3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 215314-73-1 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-[(1R)-1,2,3,4-tetrahydro-3,3-dimethyl-1-naphthalenyl]-, (3R)- (9CI) (CA INDEX NAME)

RN 215314-75-3 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-[(1S)-1,2,3,4-tetrahydro-3,3-dimethyl-1-naphthalenyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 215314-77-5 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-(dimethylamino)benzoyl]-1,2,3,4-tetrahydro-N-[(1R)-1,2,3,4-tetrahydro-7-methoxy-4,4-dimethyl-1-naphthalenyl]-, (3R)-(9CI) (CA INDEX NAME)

RN 215314-79-7 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-(dimethylamino)benzoyl]-1,2,3,4-tetrahydro-N-[(1S)-1,2,3,4-tetrahydro-7-methoxy-4,4-dimethyl-1-naphthalenyl]-, (3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 215314-82-2 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-[(1R)-1,2,3,4-tetrahydro-5,7-dimethyl-1-naphthalenyl]-, (3R)- (9CI) (CA INDEX NAME)

RN 215314-84-4 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-[(1S)-1,2,3,4-tetrahydro-5,7-dimethyl-1-naphthalenyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 215314-87-7 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-[1,2,3,4-tetrahydro-7-(trifluoromethoxy)-1-naphthalenyl]-, (3R)- (9CI) (CA INDEX NAME)

RN 215314-89-9 CAPLUS

CN 3-Isoquinolinecarboxamide, N-(7-fluoro-1,2,3,4-tetrahydro-1-naphthalenyl)-1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215314-91-3 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-(4-methylbenzoyl)-N-(1,2,3,4-tetrahydro-7-methyl-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 215314-93-5 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-(dimethylamino)-4-methylbenzoyl]-1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-7-methyl-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 215314-95-7 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-(dimethylamino)benzoyl]-1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-7-methyl-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 215314-97-9 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-

N-(1,2,3,4-tetrahydro-7-methyl-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 215314-99-1 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-(1,2,3,4-tetrahydro-7-methoxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 215315-01-8 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-(dimethylamino)benzoyl]-1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-7-methoxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 215315-02-9 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)ethoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]- (9CI) (CA INDEX NAME)

$$i-Pr$$

$$0 = C$$

$$NH$$

$$0 = C$$

$$N$$

$$Me_2N-CH_2-CH_2-O$$

$$0$$

RN 215315-04-1 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)ethoxy]benzoyl]-1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-7-methyl-1-naphthalenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 215315-05-2 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)ethoxy]benzoyl]-1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-5,7-dimethyl-1-naphthalenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} = C \\ \text{NH} \\ \text{O} = C \\ \text{O} $

RN 215315-06-3 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-(4-methylbenzoyl)-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]- (9CI) (CA INDEX NAME)

RN 215315-07-4 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-(1,3-benzodioxol-5-ylcarbonyl)-1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-7-methyl-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 215315-08-5 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]- (9CI) (CA INDEX NAME)

RN 215315-09-6 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-(diethylamino)ethoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} i-Pr & & NH \\ \hline \\ o-C & N \\ \hline \\ Et_2N-CH_2-CH_2-O & C \\ \hline \\ O & O \\ \end{array}$$

RN 215315-11-0 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[7-(1,1-dimethylethyl)-1,2,3,4-tetrahydro-1-naphthalenyl]-1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]- (9CI) (CA INDEX NAME)

RN 215315-13-2 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)ethoxy]benzoyl]-N-[7-(1,1-dimethylethyl)-1,2,3,4-tetrahydro-1-naphthalenyl]-1,2,3,4-tetrahydro-(9CI) (CA INDEX NAME)

$$t-Bu$$

$$0 = C$$

$$NH$$

$$0 = C$$

$$N$$

$$Me_2N-CH_2-CH_2-O$$

$$0$$

RN 215315-15-4 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[3-(dimethylamino)propoxy]benzoyl]-1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]- (9CI) (CA INDEX NAME)

RN 215315-16-5 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-[bis(1-methylethyl)amino]ethoxy]benzoyl ]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]- (9CI) (CA INDEX NAME)

RN 215315-19-8 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-(4-methylbenzoyl)-N-(1,2,3,4-tetrahydro-5,7-dimethyl-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 215315-20-1 CAPLUS

CN 3-Isoquinolinecarboxamide, N-(6-fluoro-1,2,3,4-tetrahydro-7-methoxy-1-naphthalenyl)-1,2,3,4-tetrahydro-2-(4-methylbenzoyl)- (9CI) (CA INDEX NAME)

RN 215315-21-2 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-(3-methoxybenzoyl)-N-(1,2,3,4-tetrahydro-7-methyl-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 215315-22-3 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-methyl-1-piperazinyl)benzoyl]-N-(1,2,3,4-tetrahydro-7-methoxy-1-naphthalenyl)-(9CI) (CA INDEX NAME)

RN 215315-23-4 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-{3-(dimethylamino)-4-methoxybenzoyl}-1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-7-methyl-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 215315-24-5 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-(4-chlorobenzoyl)-1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-7-methyl-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 215315-25-6 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 215315-26-7 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[2-(dimethylamino)benzoyl]-1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 215315-27-8 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-[(1R)-1,2,3,4-tetrahydro-4,4,7-trimethyl-1-naphthalenyl]-, (3R)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 215315-28-9 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-[(1R)-1,2,3,4-tetrahydro-4,4,7-trimethyl-1-naphthalenyl]-, (3S)-rel-(9CI) (CA INDEX NAME)

RN 215315-29-0 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-[(1R)-1,2,3,4-tetrahydro-4,4,6-trimethyl-1-naphthalenyl]-, (3R)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 215315-30-3 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-[(1R)-1,2,3,4-tetrahydro-4,4,6-trimethyl-1-naphthalenyl]-, (3S)-rel-(9CI) (CA INDEX NAME)

RN 215315-31-4 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-[(1R)-1,2,3,4-tetrahydro-7-methoxy-4,4-dimethyl-1-naphthalenyl]-, (3R)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 215315-32-5 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-[(1R)-1,2,3,4-tetrahydro-7-methoxy-4,4-dimethyl-1-naphthalenyl]-, (3S)-rel- (9CI) (CA INDEX NAME)

RN 215315-33-6 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-[(1R)-1,2,3,4-tetrahydro-3,3-dimethyl-1-naphthalenyl]-, (3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 215315-34-7 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-[(1R)-1,2,3,4-tetrahydro-3,3-dimethyl-1-naphthalenyl]-, (3S)-rel-(9CI) (CA INDEX NAME)

RN 215315-35-8 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-(dimethylamino)benzoyl]-1,2,3,4-tetrahydro-N-[(1R)-1,2,3,4-tetrahydro-7-methoxy-4,4-dimethyl-1-naphthalenyl]-, (3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 215315-36-9 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-(dimethylamino)benzoyl]-1,2,3,4-tetrahydro-N-[(1R)-1,2,3,4-tetrahydro-7-methoxy-4,4-dimethyl-1-naphthalenyl]-, (3S)-rel- (9CI) (CA INDEX NAME)

RN 215315-37-0 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-[(1R)-1,2,3,4-tetrahydro-5,7-dimethyl-1-naphthalenyl]-, (3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 215315-38-1 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-N-[(1R)-1,2,3,4-tetrahydro-5,7-dimethyl-1-naphthalenyl]-, (3S)-rel- (9CI) (CA INDEX NAME)

RN 215315-39-2 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahýdro-2-[3-(4-morpholinyl)benzoyl]-N-[1,2,3,4-tetrahydro-7-(trifluoromethoxy)-1-naphthalenyl]- (9CI) (CA INDEX NAME)

RN 215315-40-5 CAPLUS

CN 3-Isoquinolinecarboxamide, N-(7-fluoro-1,2,3,4-tetrahydro-1-naphthalenyl)-1,2,3,4-tetrahydro-2-[3-(4-morpholinyl)benzoyl]-(9CI) (CA INDEX NAME)

RN 215315-41-6 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)propoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]- (9CI) (CA INDEX NAME)

RN 215315-42-7 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)-1-methylethoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]-(9CI) (CA INDEX NAME)

RN 215315-43-8 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)-2-methylpropoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]- (9CI) (CA INDEX NAME)

RN 215315-44-9 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)-1,1-dimethylethoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]- (9CI) (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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10/073,307
L4
     ANSWER 33 OF 60 CAPLUS COPYRIGHT 2003 ACS
     1998:479553 CAPLUS
AN
DN
     129:95725
ΤI
     Preparation of dipeptide derivatives for treatment of pain
     Schiller, Peter
IN
PA
     Astra AB (Publ), Swed.
SO
     PCT Int. Appl., 55 pp.
     CODEN: PIXXD2
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                        KIND DATE
                                               APPLICATION NO.
                                                                  DATE
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                                                                  19980401
     NO 9903069
                         Α
                               19990621
                                               NO 1999-3069
                                                                  19990621
PRAI SE 1996-4789
                               19961220
                         Α
     WO 1997-SE2156
                         W
                               19971218
     MARPAT 129:95725
OS
AB
     Dipeptide derivs. I [R1, R2 = independently H, Me(CH2)n, Ph(CH2)m,
     cyclopropylmethyl, allyl; R3-R6 = H; R3 = C1-6 alkyl, R4-R6 = H; R3 = R6 =
     C1-6 alkyl, R4 = R5 = H; R3 = R5 = R6 = H, R4 = F, C1, Br, IOOO, OH, NO2,
     NH2; R7 = (un)substituted 2-phenylethyl or 2-cyclohexylethyl; n = 0-12; m
     = 1-3] are claimed for the manuf. of a medicament for the treatment of
     pain. The compds. are .delta. opioid agonists and thus useful in the
     treatment of pain without the requirement of co-application of a .mu.
     opioid agonist. Thus, amidation of Boc-Tic-OH (Boc = Me3CO2C; Tic =
     L-1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid) with
     2,2-diphenylethylamine, deprotection, peptide coupling with
     Boc-Tyr(Boc)-OH, and final deprotection gave desired dipeptide deriv.
     H-Tyr-Tic-NHCH2CHPh2 (II). II and related dipeptide derivs. are selective
     .delta. opioid agonists, with II having Ki = 0.981 nM in a .delta. opioid
```

## receptor assay. 209786-88-9P 209786-89-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of dipeptide derivs. for treatment of pain)

RN 209786-88-9 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[(2S)-2-amino-3-(4-hydroxyphenyl)-1oxopropyl]-1,2,3,4-tetrahydro-N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]-, (3S) - (9CI) (CA INDEX NAME)

RN 209786-89-0 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[(2S)-2-amino-3-(4-hydroxyphenyl)-1-oxopropyl]-1,2,3,4-tetrahydro-N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 34 OF 60 CAPLUS COPYRIGHT 2003 ACS

AN 1998:319981 CAPLUS

DN 129:54341

TI 5-Fluorouracil derivatives. XXIII. Synthesis and antitumor activities of 1-carbamoyl-5-fluorouracils having an aromatic ring

AU Ozaki, Shoichiro; Kong, Xiang-Zheng; Watanabe, Yutaka; Hoshiko, Tomonori; Ogasawara, Tomio; Ueno, Takao; Furukawa, Uiroyuki; Iigo, Masaaki; Hoshi, Akio

CS Department of Chemistry, Shangdong University, Shandong, 250100, Peop. Rep. China

SO Chinese Journal of Chemistry (1998), 16(2), 171-177 CODEN: CJOCEV; ISSN: 1001-604X

PB Science Press

DT Journal

LA English

AB In order to get good antitumor agents, 49 1-carbamoyl-5-fluorouracils having an arom. ring were synthesized from 5-fluorouracil and isocyanates or amines. Antitumor activity was tested in the L-1210 tumor system, and 5 compds. gave better therapeutic ratios than 5-fluorouracil, tegafur, and HCFU. 1-[(4-Methoxybenzyl)carbamoyl]-5-fluorouracil gave the best result.

IT 208712-96-3P 208712-97-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and antitumor activity of)

RN 208712-96-3 CAPLUS

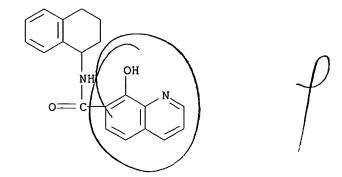
CN 1(2H)-Pyrimidinecarboxamide, 5-fluoro-3,4-dihydro-2,4-dioxo-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 208712-97-4 CAPLUS

CN 1(2H)-Pyrimidinecarboxamide, 5-fluoro-3,4-dihydro-2,4-dioxo-N-(1,2,3,4-tetrahydro-2-naphthalenyl)- (9CI) (CA INDEX NAME)

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L4
     ANSWER 35 OF 60 CAPLUS COPYRIGHT 2003 ACS
     1998:180848 CAPLUS
ΑN
DN
     128:243960
TI
     8-Hydroxy-7-substituted quinolines as anti-viral agents
IN
     Vaillancourt, Valerie A.; Romines, Karen R.; Romero, Arthur G.; Tucker,
     John A.; Strohbach, Joseph W.; Bezencon, Olivier; Thaisrivongs, Suvit; et
     al.
     Pharmacia & Upjohn Co., USA; Vaillancourt, Valerie A.; Romines, Karen R.;
PA
     Romero, Arthur G.; Tucker, John A.; Strohbach, Joseph W.; Bezencon,
     Olivier; Thaisrivongs, Suvit
     PCT Int. Appl., 280 pp.
SO
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                          T2
                                                 JP 1998-513685
     JP 2002505660
                                20020219
                                                                     19970905
     US 6211376
                          B1
                                20010403
                                                 US 1999-425789
                                                                     19991022
     US 6252080
                          B1
                                20010626
                                                 US 1999-425564
                                                                     19991022
     US 6500842
                          B1
                                20021231
                                                 US 2001-14780
                                                                     20011023
PRAI US 1996-25870P
                          P
                                19960910
     US 1997-50720P
                          P
                                19970625
     US 1997-924683
                          A3
                                19970905
     WO 1997-US15310
                          W
                                19970905
OS
     MARPAT 128:243960
AB
     The present invention provides for 8-hydroxy-7-substituted quinoline
     compds. I (R = alkyl, alkylamino, alkoxyalkyl, etc.; R1 = H, F, Cl, Br,
     Cf3, etc.; R2 = H, alkyl, OH, arylalkenyl, etc.; R3 = H, OH, CF3,
     C1-C3alkyl) are prepd. as anti-viral agents. Specifically, these compds.
     have anti-viral activity against the herpes virus, cytomegalovirus (CMV).
     Many of these compds. are also active against other herpes viruses, such
     as the varicella zoster virus, the Epstein-Barr virus, the herpes simplex
     virus and the human herpes virus type 8 (HHV-8).
IT
     205038-70-6P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
         (prepn. of 8-hydroxy-7-substituted quinolines as anti-viral agents)
RN
     205038-70-6 CAPLUS
CN
     7-Quinolinecarboxamide, 8-hydroxy-N-(1,2,3,4-tetrahydro-1-naphthalenyl)-
     (9CI) (CA INDEX NAME)
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ANSWER 37 OF 60 CAPLUS COPYRIGHT 2003 ACS
L4
     1997:640655 CAPLUS
AN
     127:307398
DN
     New piperidinyl- and piperazinyl-substituted 1,2,3,4-tetrahydronaphthalene
ΤI
     derivatives useful as 5-HT antagonists
     Berg, Stefan; Florvall, Lennart; Ross, Svante; Thorberg, Seth-Olov
IN
     Astra AB, Swed.; Berg, Stefan; Florvall, Lennart; Ross, Svante; Thorberg,
PA
     Seth-Olov
SO
     PCT Int. Appl., 137 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 1
     PATENT NO.
                             DATE
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              PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN,
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                              19981123
                                              NO 1998-4385
                                                                 19980921
                        Α
     US 6410530
                              20020625
                                              US 2000-653427
                                                                 20000831
                         B1
PRAI SE 1996-1110
                         Α
                              19960322
     WO 1997-SE469
                         W
                              19970320
     US 1997-836004
                        Α3
                              19970425
OS
     MARPAT 127:307398
AB
     New piperidinyl- and piperazinyl-substituted 1,2,3,4-tetrahydronaphthalene
     derivs. I [X = N or CH; Y = NR2CH2, CH2NR2, NR2CO, CONR2, or NR2SO2; R1 =
     H, C1-6 alkyl, or C3-6 cycloalkyl; R2 = H or C1-6 alkyl; R3 = C1-6 alkyl,
     C3-6 cycloalkyl, or (CH2)n-aryl where aryl = Ph or heteroarom. ring contq.
     1 or 2 N/O/S atoms and which may be mono- or di-substituted; n = 0-4], as
     enantiomers, racemates, free bases, or pharmaceutically acceptable salts
     or hydrates, are disclosed. Also disclosed are pharmaceutical
     formulations contg. I, use of I in the treatment of disorders mediated by
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5-hydroxytryptamine (5-HT), and processes and intermediates for the prepn.

of I. The compds. are primarily selective antagonists of the 5-HT1D receptor (no data). A variety of preferred compds., mostly (R)-isomers, are specifically claimed. Synthetic examples (138) include prepn. of both I and their intermediates. For instance, (R)-8-methoxy-2-amino-1,2,3,4-

tetrahydronaphthalene-HCl was converted in 8 steps to (R)-2-amino-8-(4-methylpiperazin-1-yl)-1,2,3,4-tetrahydronaphthalene, which was condensed with 4-morpholinobenzoic acid using 1,1'-carbonyldiimidazole in DMF to give title compd. II.

#### IT 197445-50-4P 197445-51-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of piperidinyl- and piperazinyl-substituted tetrahydronaphthalenes as 5-HT1D antagonists)

RN 197445-50-4 CAPLUS

CN 4-Thiazolecarboxamide, 2-phenyl-N-[1,2,3,4-tetrahydro-8-(4-methyl-1-piperazinyl)-2-naphthalenyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 197445-51-5 CAPLUS

CN 4-Thiazolecarboxamide, 2-(4-pyridinyl)-N-[1,2,3,4-tetrahydro-8-(4-methyl-1-piperazinyl)-2-naphthalenyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L4 ANSWER 38 OF 60 CAPLUS COPYRIGHT 2003 ACS

AN 1997:425333 CAPLUS

DN 127:39849

TI Hemoregulatory picolinic acid derivatives

IN Bhatnagar, Pradip Kumar; Heerding, Dirk Andries; Hartmann, Michael; Hiebl, Johann; Kremminger, Peter; Rovenszky, Franz

PA Smithkline Beecham Corporation, USA; Nycomed Austria Gmbh; Bhatnagar, Pradip Kumar; Heerding, Dirk Andries; Hartmann, Michael; Hiebl, Johann; Kremminger, Peter; Rovenszky, Franz

SO PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

		_							
	PA	TENT NO.	KIND	DATE		APPLICATION NO.	DATE		
PI	WO		<b>A</b> 1	19970522		WO 1996-US18248	19961112		
		W: JP, US							
		RW: AT, BE,	CH, DE	, DK, ES,	FI,	FR, GB, GR, IE, IT	, LU, MC, N	L, PT,	SE
	ΕP	866700	A1	19980930		EP 1996-940439	19961112		
		R: BE, CH,	DE, ES	, FR, GB,	IT,	LI, NL			
	JΡ	2000500464	Т2	20000118		JP 1997-519063	19961112		
	US	6191146	B1	20010220		US 1999-142247	19990401		
PRAI	US	1995-6456P		19951113					
	WO	1996-US18248	W	19961112					

OS MARPAT 127:39849

AB The present invention relates to novel compds. which have hemoregulatory activities and can be used to stimulate hematopoiesis and for the treatment of viral, fungal and bacterial infectious diseases. An example compd., 1,7-bis(picolinoylamino)-1,2,3,4-tetrahydronaphthalene was prepd. by hydrogenation of 7-nitro-1-tetralone oxime to give 1,7-diamino-1,2,3,4-tetrahydronaphthalene and treatment with picolinic acid.

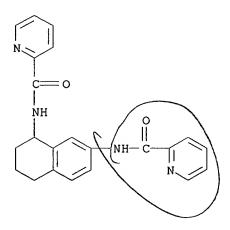
IT 190962-98-2P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(hemoregulatory picolinic acid derivs.)

RN 190962-98-2 CAPLUS

CN 2-Pyridinecarboxamide, N,N'-(1,2,3,4-tetrahydro-1,7-naphthalenediyl)bis-(9CI) (CA INDEX NAME)



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ANSWER 39 OF 60 CAPLUS COPYRIGHT 2003 ACS
L4
ΑN
     1997:238316 CAPLUS
     126:225227
DN
ΤI
     Preparation of quinolones as inhibitors of phosphodiesterase IV and/or
     tumor necrosis factor (TNF) activity
     Beasley, Steven Colin; Montana, John Gary; Dyke, Hazel Joan; Haughan,
TN
     Findlay Alan; Runcie, Karen Ann; Manallack, David Thomas; Buckley, George
     Martin; Maxey, Robert James; Kendall, Hannah Jayne; Baxter, Andrew Douglas
PA
     Chiroscience Limited, UK
SO
     PCT Int. Appl., 39 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 2
     PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
                                           _____
    WO 9704779
                                          WO 1996-GB1862
                     A1
                            19970213
                                                           19960802
PΙ
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            MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT,
            UA, UG
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
             IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,
            MR, NE, SN, TD, TG
                            19970213
                                           CA 1996-2225552 19960802
    CA 2225552
                      AΑ
                                           AU 1996-66263
    AU 9666263
                      A1
                            19970226
                                                            19960802
    AU 696390
                      B2
                            19980910
     ZA 9606599
                      Α
                            19970804
                                           ZA 1996-6599
                                                            19960802
    EP 841929
                      A1
                           19980520
                                           EP 1996-925905
                                                            19960802
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
    US 5891878
                           19990406
                                         US 1996-691338
                                                            19960802
                     Α
                                           JP 1996-507373
     JP 11513021
                      T2
                           19991109
                                                            19960802
PRAI GB 1995-15811
                           19950802
                      Α
    GB 1995-26377
                      Α
                           19951222
    GB 1996-5868
                      Α
                           19960320
    GB 1996-11898
                      Α
                           19960607
    WO 1996-GB1862
                      W
                           19960802
OS
    MARPAT 126:225227
AΒ
    The title compds. [I; R1 = C1-6 alkyl, C1-6 alkylcycloalkyl, etc.; R3 =
     Ph, pyridyl, thienyl, etc.; Y = O, S; R4-R7 = H, halo, C1-6 alkoxy, etc.;
    n = 0-3, useful as antiasthmatics, antiallergics, antiinflammatories,
     antiarthritics, and antifungal agents, were prepd. Thus, treatment of
     1-ethyl-4-hydroxy-6-(trifluoromethyl)quinoline-3-carboxylate with Et3N and
     isopropenyl chloroformate in CH2Cl2 followed by addn. of
     4-(2-aminoethyl)pyridine afforded I [R1 = Et; R3 = 4-pyridyl; R5 = CF3,
     R4, R6, R7 = H; Y = O; n = 2],. Compds. I are effective at 0.01-0.5
    mg/kg/day.
IT
     188202-59-7P 188202-62-2P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of quinolones as inhibitors of phosphodiesterase IV and/or
        tumor necrosis factor (TNF) activity)
RN
     188202-59-7 CAPLUS
CN
     1,3-Dioxolo[4,5-g]quinoline-7-carboxamide, 5-ethyl-5,8-dihydro-8-oxo-N-
     (1,2,3,4-tetrahydro-1-naphthalenyl) - (9CI) (CA INDEX NAME)
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RN 188202-62-2 CAPLUS

CN 1,3-Dioxolo[4,5-g]quinoline-7-carboxamide, 5-ethyl-5,8-dihydro-8-oxo-N-(1,2,3,4-tétrahydro-2-näphthalenyl)- (9CI) (CA INDEX NAME)

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ANSWER 40 OF 60 CAPLUS COPYRIGHT 2003 ACS
L4
AN
     1997:238313 CAPLUS
     126:225310
DN
ΤI
     Preparation of 1-alkyl-substituted-quinolone-3-carboxamides as inhibitors
     of phosphodiesterase IV and/or tumor necrosis factor (TNF) activity
     Beasley, Steven Colin; Montana, John Gary; Dyke, Hazel Joan; Haughan,
IN
     Findlay Alan; Runcie, Karen Ann; Manallack, David Thomas; Buckley, George
     Martin; Maxey, Robert James; Kendall, Hannah Jayne; Baxter, Andrew Douglas
PΑ
     Chiroscience Limited, UK
     PCT Int. Appl., 31 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 2
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO.
                                                            DATE
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                                           WO 1996-GB1866
PΙ
     WO 9704775
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                                                            19960731
         W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GB, GE, HU,
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             MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT,
             UA, UG
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
             IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,
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                      Α
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PRAI GB 1995-15812
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                      Α
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     GB 1996-5865
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                           19960320
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                           19960607
     WO 1996-GB1866
                      W
                           19960731
OS
     MARPAT 126:225310
     The title compds. [I; R1 = C1-6 alkyl, C1-6 alkylcycloalkyl, etc.; R3 =
AB
     Ph, pyridyl, thienyl, etc.; Y = O, S; X = C, N; Q = C, N (at least one of
     X and Q = N); R4-R7 = H, halo, C1-6 alkoxy, etc.], useful as
     antiasthmatics, antiallergics, antiinflammatories, antiarthritics,
     antifungal agents, and for treating pathol. condition assocd. with
     eosinophil accumulation or an function of the eosinophil, were prepd.
     Thus, treatment of nalidixic acid with Et3N and isopropenyl chloroformate
     in CH2Cl2 followed by addn. of 4-(2-aminoethyl) pyridine afforded I [R1 =
     Et; R3 = 4-pyridyl; Y = 0; X = C; Q = N; R6 = Me; R4, R5, R7 = H; n = 2].
     Compds. I are effective at 0.01-0.5 mg/kg/day.
IT
     188203-02-3P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT
     (Reactant or reagent); USES (Uses)
        (prepn. of 1-alkyl-substituted-quinolone-3-carboxamides as inhibitors
        of phosphodiesterase IV and/or tumor necrosis factor (TNF) activity)
RN
     188203-02-3 CAPLUS
CN
     Pyrido[2,3-d]pyrimidine-6-carboxamide, 8-ethyl-5,8-dihydro-5-oxo-2-(1-
```

pyrrolidinyl)-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX

NAME)

# IT 188203-03-4P 188203-12-5P 188203-16-9P 188203-17-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 1-alkyl-substituted-quinolone-3-carboxamides as inhibitors of phosphodiesterase IV and/or tumor necrosis factor (TNF) activity)

RN 188203-03-4 CAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carboxamide, 8-ethyl-5,8-dihydro-5-oxo-2-(1-pyrrolidinyl)-N-(1,2,3,4-tetrahydro-1-naphthalenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

# ● HCl

RN 188203-12-5 CAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carboxamide, 8-ethyl-5,8-dihydro-5-oxo-2-(1-pyrrolidinyl)-N-(1,2,3,4-tetrahydro-2-naphthalenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

1-72-

## ● HCl

RN 188203-16-9 CAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carboxamide, N-[6-(acetylamino)-1,2,3,4-tetrahydro-1-naphthalenyl]-8-ethyl-5,8-dihydro-5-oxo-2-(1-pyrrolidinyl)-(9CI) (CA INDEX NAME)

RN 188203-17-0 CAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carboxamide, N-[6-(acetylamino)-1,2,3,4-tetrahydro-1-naphthalenyl]-8-ethyl-5,8-dihydro-5-oxo-2-(1-pyrrolidinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

- L4 ANSWER 42 OF 60 CAPLUS COPYRIGHT 2003 ACS
- AN 1995:890010 CAPLUS
- DN 123:313949
- TI Pyrazolidinone CCK and gastrin antagonists and pharmaceutical formulations thereof
- IN Greenwood, Beverley; Helton, David R.; Howbert, J. Jeffry; Mitan, Steven
  J.; Rasmussen, Kurt
- PA Lilly, Eli, and Co., USA
- SO U.S., 37 pp. Cont.-in-part of U.S. 5,300,519.
- CODEN: USXXAM
- DT Patent
- LA English
- FAN.CNT 2

	PATENT NO	o.	KIND	DATE	AP	PLICATION NO.	DATE
ΡI	US 53995	65	Α	19950321	US	1993-151608	19931112
	US 53005	14	Α	19940405	US	1993-33737	19930318
	US 564392	26	Α	19970701	US	1994-183465	19940119
PRAI	US 1990-5	553489		19900717			
	US 1991-7	737624		19910730			
	US 1992-9	982257		19921125			
	US 1993-3	33737		19930318			

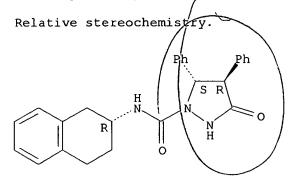
- OS MARPAT 123:313949
- AB Novel substituted pyrazolidinones I or II [R and R1 are independently hydrogen, C1-C6 alkyl, Ph, benzyl, naphthyl, pyridyl or substituted Ph having 1, 2, or 3 substituents selected from the group consisting of, e.g., C1-C6 alkyl, C1-C6 alkoxy, C1-C6 alkylthio; R2 is hydrogen, C1-C6 alkyl, carboxymethyl, C1-C4 alkoxycarbonylmethyl or a group of the formula CO(A)tY wherein t is 1 or 0; A is CH2, O, NH or N(C1-C6 alkyl); and Y is Ph or substituted Ph as defined above; R4 is C1-C6 alkyl, carboxymethyl, or C1-C4 alkoxycarbonylmethyl; R3 is hydrogen or a group of the formula III or C(:B)(Q)nR5 wherein B is O or S; X is selected from the Ph substituents defined above; m is 0, 1 or 2; n is 0 or 1; Q is NH, N(C1-C6 alkyl), S, or O; and R5 is a group of the formula [CH(R6)]q(CH2)rR7 wherein R6 is hydrogen or C1-C6 alkyl; q is 0 or 1; r is 0, 1 or 2; and R7 is hydrogen, C1-C8 alkyl, C3-C8 cycloalkyl, pentafluorophenyl, pyridyl, tetrahydro-naphthyl, indolyl, quinolinyl, Ph, naphthyl, or Ph or naphthyl substituted with 1, 2 or 3 substituents] have been found to exhibit significant binding to cholecystokinin (CCK) receptors and gastrin receptors in the brain and/or peripheral sites such as the pancreas, stomach, and ileum. The pyrazolidinones are CCK and gastrin receptor antagonists and find therapeutic application in the treatment of gastrointestinal disorders, central nervous system disorders and for appetite regulation in warm-blood vertebrates. Pharmaceutical formulations for such indications are described. Thus, e.g., reaction of 4,5-diphenyl-3-pyrazolidinone with 4-chloro-3-trifluoromethylphenyl isocyanate afforded 85% 1-[(4-chloro-3-trifluoromethylphenyl)aminocarbonyl ]-4,5-diphenyl-3-pyrazolidinone I (R3 = 4-Cl-3-CF3C6H3NHCO, R = R1 = Ph, R2 = H) which was evaluated for CCK and gastrin receptor binding: IC50 (.mu.M) for CCK receptor binding in brain and pancreas = 0.022 and 0.19, resp.; IC50 (.mu.M) for gastrin receptor binding = 0.15.

#### IT 169671-82-3P 169672-82-6P

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(prepn. of pyrazolidinones as CCK and gastrin receptor antagonists) RN 169671-82-3 CAPLUS

CN 1-Pyrazolidinecarboxamide, 3-oxo-4,5-diphenyl-N-(1,2,3,4-tetrahydro-2-naphthalenyl)-, [1,(R\*),4.alpha.,5.beta.]- (9CI) (CA INDEX NAME)



RN 169672-82-6 CAPLUS

CN 1-Pyrazolidinecarboxamide, 3-oxo-4,5-diphenyl-N (1,2,3,4-tetrahydro-2-naphthalenyl)-, [1(S\*),4.alpha.,5.beta.]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Ph
Ph
N
N
N
N
H
O

```
L4
     ANSWER 44 OF 60 CAPLUS COPYRIGHT 2003 ACS
     1995:428717 CAPLUS
ΑN
DN
     122:188168
ΤI
     Preparation of peptides as .delta. opioid antagonists.
IN
     Schiller, Peter
PA
     Aktiebolaget Astra, Swed.
SO
     PCT Int. Appl., 36 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                                          APPLICATION NO.
                     KIND
                           DATE
                                                           DATE
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                           _____
                                          _____
PΙ
     WO 9415959
                      A1
                            19940721
                                          WO 1993-SE1090
                                                           19931220
        W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP,
             KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU,
             SD, SE, SK, UA, UZ, VN
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
             BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
     CA 2152380
                      AA
                            19940721
                                          CA 1993-2152380 19931220
     AU 9458448
                      A1
                            19940815
                                          AU 1994-58448
                                                           19931220
     AU 681372
                      В2
                            19970828
     EP 678099
                      A1
                            19951025
                                          EP 1994-904365
                                                           19931220
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
    HU 72597
                     A2
                           19960528
                                         HU 1995-2041
                                                           19931220
     JP 08505386
                      Т2
                           19960611
                                          JP 1993-515914
                                                           19931220
    US 5602099
                      Α
                           19970211
                                          US 1994-176938
                                                           19940104
     ZA 9400055
                     Α
                           19940705
                                          ZA 1994-55
                                                           19940105
     CN 1096515
                     A 19941221
                                          CN 1994-100129
                                                           19940105
     LV 10962
                     в 19970420
                                          LV 1995-197
                                                           19950629
     FI 9503302
                      A 19950704
                                          FI 1995-3302
                                                           19950704
    NO 9502650
                     Α
                         19950830
                                          NO 1995-2650
                                                           19950704
PRAI SE 1993-12
                           19930105
    WO 1993-SE1090
                           19931220
OS
    MARPAT 122:188168
    Title compds. [I; R1 = H, Me(CH2)n, PhCH2CH2, cyclopropylmethyl, allyl,
     H-Arg; R2 = H, Me(CH2)n, cyclopropylmethyl, allyl, etc.; n = 0-12; R3-R6 =
     H, or R4, R5 both = H and R3, R6 both = lower alkyl, or R3, R5, R6all = H
     and R4 = F, Cl, Br, OH, NH2, NO2; R7 = CO, CH2; R8= H, lower alkyl; R9=
    Q1-Q7; m = 0-2; R10 = H, F, Cl, Br, iodo; R11 = OH, NH2, Q8, Q9; R12 = H,
    NO2, F, Cl, Br, iodo; m = 0-2; R13, R14 = CO2H, CONH2, CH2OH, amino acid
    or peptide segment; with the exceptions of compds. where R1, R2, R3, R4,
    R5, R6, R8 all = H, R7 = CO, R9 = PhCH2CH, and R11 = Phe-OH, Phe-NH2, OH,
    NH2], were prepd. Thus, H-Tyr-Tic-Hfe-Phe-OH (Tic = 1,2,3,4-
     tetrahydroisoquinoline-3-carboxylate; Hfe = homophenylalanyl), was prepd.
    by solid phase synthesis. I antagonized [Leu5] enkephalin in mouse vas
    deferens with Ke = 0.169-43.9 \text{ nM}.
IT
     161669-10-9
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
        (peptides as .delta. opioid antagonists)
RN
    161669-10-9 CAPLUS
    L-Phenylalanine, L-tyrosyl-L-1,2,3,4-tetrahydro-3-isoquinolinecarbonyl-
CN
     1,2,3,4-tetrahydro-2-amino-2-naphthalenecarbonyl- (9CI) (CA INDEX NAME)
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Absolute stereochemistry.

L4 ANSWER 45 OF 60 CAPLUS COPYRIGHT 2003 ACS

AN 1994:8500 CAPLUS

DN 120:8500

TI Syntheses and photophysical properties of some 5(2)-aryl-2(5)-(4-pyridyl)oxazoles and related oxadiazoles and furans

AU Kauffman, Joel M.; Litak, Peter T.; Adams, Jeffrey K.; Henry, Ronald A.; Hollins, Richard A.

CS Dep. Chem., Philadelphia Coll. Pharm. Sci., Philadelphia, PA, 19104-4495, USA

SO Journal of Heterocyclic Chemistry (1992), 29(5), 1245-73 CODEN: JHTCAD; ISSN: 0022-152X

DT Journal

LA English

AB A no. of 5-aryl-2-(4-pyridyl)oxazoles, a 2-aryl-5-(4-pyridyl)oxazole, the related oxadiazole and furan, several 2-(4-pyridyl)cycloalkano[d]oxazoles, and many of their quaternary salts were prepd. Thus, 4-RC6H4CH2CR1:NOH (R = H, F, R1 = Me; R = H, R1 = Ph, CH2Ph) reacted with isonicotinoyl chloride hydrochloride to give phenylpyridyloxazoles I. No single std. synthesis was effective for prepn. of more than a few of the 25 free bases described; methods often unique to a base were employed. Minor variations in structure sometimes produced large differences in absorption and emission wavelengths, as well as in the magnitude of the extinction coeff. The salts are of interest as laser dyes, scintillation fluors, biol. stains, and shifters for luminescent solar concentrators.

RN 129008-10-2 CAPLUS

CN 4-Pyridinecarboxamide, N-(1,2,3,4-tetrahydro-1-oxo-2-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 129008-11-3 CAPLUS

CN 4-Pyridinecarboxamide, N-(1,2,3,4-tetrahydro-6-methoxy-1-oxo-2-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 151457-95-3 CAPLUS

CN 4-Pyridinecarboxamide, N-(6-fluoro-1,2,3,4-tetrahydro-1-oxo-2-naphthalenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 46 OF 60 CAPLUS COPYRIGHT 2003 ACS

AN 1993:560066 CAPLUS

DN 119:160066

TI 2,2-Dialkylnaphthalen-1-ones as new potassium channel activators

AU Almansa, Carmen; Gomez, Luis A.; Cavalcanti, Fernando L.; Rodriguez, Ricardo; Carceller, Elena; Bartroli, Javier; Garcia-Rafanell, Julian; Forn, Javier

CS Res. Cent., J. Uriach y Cia.S.A., Barcelona, 08026, Spain

SO Journal of Medicinal Chemistry (1993), 36(15), 2121-33 CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

AB A new series of 2,2-dialkylnaphthalen-1-one potassium channel activators has been prepd., and their in vitro relaxant activities in isolated rat portal vein and guinea pig tracheal spirals as well as their oral antihypertensive effect in spontaneously hypertensive rats have been evaluated. The group of 1,2-dihydro-4-(1,2-dihydro-2-oxo-1-pyridyl)-2,2-dimethylnaphthalen-1-ones with an electron-withdrawing substituent at the 6-position contain the most active compds. and 1,2-dihydro-4-(1,2-dihydro-2-oxo-1-pyridyl)-2,2-dimethyl-1-oxonaphthalene-6-carbonitrile, (UR-8225) (I), has been selected for further pharmacol. development.

IT 149915-53-7P 149915-54-8P 149915-55-9P 149915-56-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and potassium channel activator activity of)

RN 149915-53-7 CAPLUS

CN 2-Pyridinecarboxamide, N-(7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 149915-54-8 CAPLUS

CN 3-Pyridinecarboxamide, N-(7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 149915-55-9 CAPLUS

CN 4-Pyridinecarboxamide, N-(7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl)-, trans-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 149915-56-0 CAPLUS

- L4 ANSWER 47 OF 60 CAPLUS COPYRIGHT 2003 ACS
- AN 1993:495539 CAPLUS
- DN 119:95539
- TI Heterocyclyl group-substituted tetralones having antihypertensive and bronochodilating activity
- IN Almansa, Carmen; Gonzalez, M. Concepcion; Torres, M. Carmen; Carceller, Elena; Bartroli, Javier
- PA Uriach, J., e Cia. S.A., Spain
- SO Eur. Pat. Appl., 39 pp. CODEN: EPXXDW
- DT Patent
- LA English
- FAN. CNT 1

T. WIA . A	~14 T	_						
	PA	TENT NO.		KIND	DATE		APPLICATION NO.	DATE
		<del>-</del>						
ΡI	EP	525768		A1	19930203		EP 1992-113007	19920730
		R: AT,	BE,	CH, DE	, DK, ES,	FR,	GB, GR, IT, LI, LU	, MC, NL, PT, SE
	ES	2033581		A1	19930316		ES 1991-1777	19910730
	ES	2033581		B1	19931216			
	ES	2041212		A1	19931101		ES 1992-333	19920217
	ES	2041212		B1	19940516			
	CA	2074864		AA	19930131		CA 1992-2074864	19920729
PRAI	ES	1991-1777	7		19910730			
	ES	1992-333			19920217			
				_				

- OS MARPAT 119:95539
- The title compds. I [R1, R2 = H, OH, CHO, CO2H, NO2, NH2CN, halogen, OCF3, AB alkoxy, C.tplbond.CH, (un) substituted alkylcarbonyl, arylsulfinyl, alkylsulfinyl, arylsulfenyl, alkyl, alkylsulfonylamino, aminosulfinyl, aminosulfonyl, etc.; R3 = H, alkyl; R4 = alkyl; R5 = OH, acetoxy, formyloxy; R6 = H, olefinic bond with R5; Z = O, NR8; R3R4 = C2-5methylene chain; if Z = 0, then R7 = R9 where R9 = C3-6 cycloalkyl, C3-6cycloalkenyl, Ph, heteroaryl (all optionally substituted by 1-2 halogen atoms and/or 1-2 C1-6 alkyl, C1-6 alkoxy, arylmethyloxy, etc., but when Z = NR8, then R7 = R9, C(:X)R10; R10 = H, (un)substituted C1-6 alkyl, C2-6alkenyl, C3-6 cycloalkyl, (un)substituted Ph, (un)substituted heteroaryl; X = O, S, NCN], useful as antihypertensive and bronchodilating agents, are prepd. Thus, 3,4-epoxy-2,2-dimethyl-1-oxo-1,2,3,4-tetrahydronaphthalene-6carbonitrile reacted with 3,6-dihydroxypyridazine to give trans-2,2-dimethyl-3-hydroxy-4-(6-hydroxy-3-pyridazinyloxy)-1-oxo-1,2,3,4tetrahydrononaphthalene-6-carbonitrile (II) in 65% yield. In spontaneously hypertense rats at 1 mg/kg, II lowered arterial blood pressure 116 mm Hg, and at 8.8 .mu.M inhibited 50% noradrenaline-induced contraction in portal vein isolated from rat.
- IT 148925-60-4P 148925-63-7P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and antihypertensive and bronchodilating activity of)

- RN 148925-60-4 CAPLUS
- CN 3-Furancarboxamide, N-(7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 148925-63-7 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-(acetyloxy)-7-cyano-1,2,3,4-tetrahydro-3,3-dimethyl-4-oxo-1-naphthalenyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 48 OF 60 CAPLUS COPYRIGHT 2003 ACS

AN 1992:530937 CAPLUS

DN 117:130937

TI Derivatives of 1,2,3,4-tetrahydronaphthylamine endowed with nootropic activity and pharmaceutical compositions containing same

IN Giannessi, Fabio; Ghirardi, Orlando; Misiti, Domenico; Tinti, Maria Ornella; Cozzolino, Roberto

PA Sigma-Tau Industrie Farmaceutiche Riunite S.p.A., Italy

SO Eur. Pat. Appl., 15 pp. CODEN: EPXXDW

DT Patent

LA English

FAN. CNT 1

T.T.	CIVI	1															
	PAT	CENT I	NO.		KIN	1D	DATE			AP	PLIC	CATI	ои ис	ο.	DATE		
ΡI	ΕP	4933	46		A2	2	1992	0701		EP	199	1-83	3057	4	1991	1219	
	ΈP	4933	46		A3	3	1992	0826									
	EP	4933	46		B2	Ļ	1995	0614									
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	MC,	NL,	SE
	US	5192	759		Α		1993	0309		US	199	1-8	0987	4	1991	1218	
	ES	2073	725		Т3	3	1995	0816		ES	199	91-83	3057	4	1991	1219	
	JP	0427	5264		Αź	2	1992	0930		JP	199	1-3	3844:	2	1991	1220	
PRAI	IT	1990	-4860	)5			1990	1221									

OS MARPAT 117:130937

The title compds. I [R = H, OMe; NR1R2 is at the 1- or 2-position; R1 = H; R2 = L-prolyl, optionally substituted, L-pyroglutamyl, (pyrrolidin-2-on-1-yl)acetyl, 3-carboxy-2-hydroxypropyl; NR1R2 = Q (n = 1, 2, 3 and R3 = H, OH)] were prepd. as nootropic agents. E.g., reaction of Z-L-proline and 1,2,3,4-tetrahydro-6,7-dimethoxy-2-naphthylamine in MeCN in the presence of EEDQ gave 85% product, which was hydrogenated in MeOH with 10% Pd/C at 40 psi for 2 h to give 71% N-(L-prolyl)-1,2,3,4-tetrahydro-6,7-dimethoxy-2-naphthylamine (II). Of the compds. prepd. and tested, only II showed antiamnesic activity, without toxicity, in scopolamine- and electroconvulsive shock-induced amnesia.

IT 143277-73-0P 143277-75-2P

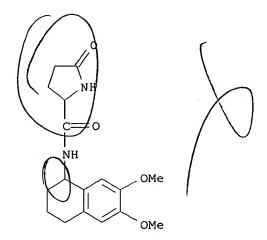
RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 143277-73-0 CAPLUS

CN 2-Pyrrolidinecarboxamide, 5-oxo-N-(1,2,3,4-tetrahydro-6,7-dimethoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 143277-75-2 CAPLUS

CN 2-Pyrrolidinecarboxamide, 5-oxo-N-(1,2,3,4-tetrahydro-6,7-dimethoxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



- L4 ANSWER 49 OF 60 CAPLUS COPYRIGHT 2003 ACS
- AN 1992:194306 CAPLUS
- DN 116:194306
- TI Preparation of pyrazolidin-3-ones as cholecystokinin and gastrin antagonists
- IN Brown, Raymond Frank; Howbert, James Jeffry; Lobb, Karen Lynn; Neel, David Andrew; Reel, Jon Kevin
- PA Lilly, Eli, and Co., USA
- SO Eur. Pat. Appl., 44 pp.

CODEN: EPXXDW

- DT Patent
- LA English
- FAN.CNT 2

PATENT NO.				KIND	DATE		API	PLICATION	NO.	DATE
PI	EP	467614		A1	19920122		EP	1991-3063	374	19910715
		R: AT,	BE,	CH, DE,	DK, ES,	FR,	GB, (	GR, IT, L	I, LU	, NL, SE
	CA	2046672		AA	19920118		CA	1991-2046	6672	19910710
	ZA	9105372		Α	19930331		ZA	1991-5372	2	19910710
	IL	98785		A1	19951127		IL	1991-9878	85	19910710
	AU	9180409		A1	19920123		AU	1991-8040	09	19910712
	AU	644190		B2	19931202					
	NO	9102772		Α	19920120		NO	1991-2772	2	19910715
	HU	58296		A2	19920228		HU	1991-2376	6	19910715
	FI	9103431		Α	19920118		FI	1991-3433	1	19910716
	CN	1058209		Α	19920129		CN	1991-1049	911	19910716
	CN	1032000		В	19960612					
	BR	9103042		A	19920428		BR	1991-3042	2	19910716
	JP	04230367		A2	19920819		JP	1991-1750	054	19910716
	RU	2076100		C1	19970327		RU	1991-5001	1032	19910716
	AU	9455293		A1	19940428		AU	1994-5529	93	19940221
PRAI	US	1990-5534	189		19900717					

OS MARPAT 116:194306

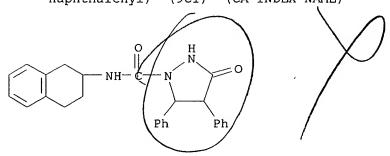
AB Title compds. (I, II; R, Rl = H, alkyl, Ph, PhCH2, naphthyl, pyridyl, substituted Ph; R2 = H, alkyl, carboxymethyl, alkoxycarbonylmethyl, acyl; R3 = H, Q1, etc.; R4 = alkyl, carboxymethyl, alkoxycarbonylmethyl; X = alkyl, alkoxy, alkylthio, halo, CF3, Ph, PhO, cyano, NO2, amino, etc.; Y = O, S; m = 0-2), were prepd. Thus, 3-trifluoromethylbenzoyl chloride and 4,5-diphenyl-3-pyrazolidinone were stirred 2.3 h in THF to give title compd. III. III at 10 .mu.M gave 77% inhibition of 125-I cholecystokinin-8 binding to mouse brain prepns.

#### IT 140688-03-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as cholecystokinin and gastrin antagonist)

RN 140688-03-5 CAPLUS

CN 1-Pyrazolidinecarboxamide, 3-oxo-4,5-diphenyl-N-(1,2,3,4-tetrahydro-2-naphthalenyl)- (9CI) (CA INDEX NAME)



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L4 ANSWER 50 OF 60 CAPLUS COPYRIGHT 2003 ACS
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AN 1991:471632 CAPLUS

DN 115:71632

TI Preparation of new N-aryl and N-heteroaryl amide and -urea derivatives as inhibitors of acyl coenzyme A:cholesterol acyltransferase

IN McCarthy, Peter A.; Walker, Frederick J.; Truong, Thien; Hamanaka, Ernest
S.; Chang, George

PA Pfizer Inc., USA

SO Eur. Pat. Appl., 85 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

FAN.		TENT NO.	KIND	DATE		APPLICATION NO.	DATE
PI	ΕP	418071	A3			EP 1990-310009	19900913
	ĿF				FR.	GB, GR, IT, LI, LU	. NI. SE
	พด	9104027				WO 1989-US4033	
		W: FI, HU	_				
	IL					IL 1990-95610	19900907
	DD	298092	A5	19920206		IL 1990-95610 DD 1990-343971	19900912
	CA	2025301	AA	19910316		CA 1990-2025301	
	CA	2025301 609960	С	20011016			
						EP 1994-200437	19900913
	ΕP	609960		19990303			
						GB, GR, IT, LI, LU	
		121730	E			AT 1990-310009	
		2071033				ES 1990-310009	
						AT 1994-200437 ES 1994-200437	
		9004022		19990301		NO 1990-4022	
		1050183	A			CN 1990-108294	
			A2	19910327			
		9062553	A1	19910418		AU 1990-62553	
		652345	B2	19940825		1536 6266	13300011
		03120243		19910522		JP 1990-245969	19900914
	JР	08025974	В4	19960313			
	ZΑ	9007346	Α	19920527		ZA 1990-7346	19900914
	PL	165370	B1	19941230		PL 1990-286899	19900914
	PL	165357	В1	19941230		PL 1990-291470	19900914
	HU	70027		19950928		HU 1993-2945	19900914
PRAI		1989-US4033		19890915			
	EP	1990-310009	A3	19900913			

(substituted) pyridyl, pyrimidinyl, quinolinyl, pyridoimidazolyl, etc., substituted Ph; R2-R4 = H, alkyl, hydrocarbyl, XR7, phenylalkyl, cycloalkyl; or R3R3 forms cycloalkyl, cycloalkenyl, bicycloalkyl, etc.; R5, R6 = alkyl, phenylalkyl, alkylphenylalkyl; R7 = alkyl, cycloalkyl, phenylalkyl, thiazolyl, pyridyl, etc.; X = O, S, SO, SO2, NH, etc.; numerous provisos] were prepd. as hypolipidemics and antiatherosclerotics (no data). For example, 2-(hexylthio)decanoic acid was refluxed with SOC12 in C6H6 to give the acid chloride, which was added to

Approx. 250 title amides and ureas R1NHCOQ [Q = CR2R3R4, NR5R6; R1 =

5-amino-4,6-bis(methylthio)-2-methylpyrimidine in CH2Cl2 followed by refluxing and purifn. to give 72.4% title amide I.

IT 134991-63-2P

MARPAT 115:71632

OS

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as hypolipidemic)

RN 134991-63-2 CAPLUS

CN 5-Quinolinecarboxamide, 6-(methylthio)-N-[1,2,3,4-tetrahydro-3-nonyl-2-naphthalenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 51 OF 60 CAPLUS COPYRIGHT 2003 ACS

AN 1990:532164 CAPLUS

DN 113:132164

TI Preparation of 2-(4-N-methylpyridinium)-4,5-dihydronaphtho[2,1-d]-1,3-oxazole p-toluenesulfonate and its analog as rigidized oxazole laser dyes

IN Hall, John H.; Henry, Ronald A.; Hollins, Richard A.

PA United States Dept. of the Navy, USA

SO Statutory Invent. Regist., 5 pp. CODEN: SRXXEV

DE Detect

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
ΡI	US 753	H1	`19900306	US 1989-297891	19890117	
PRAI	US 1989-297891		19890117			

OS MARPAT 113:132164

The title compds. (I; R = H, MeO), rigidized by an ethylene bridge between AB the 4-position of the 1,3-oxazole and the o-position of the arom. ring, were prepd. by a procedure comprising: (1) conversion of 1-tetralones to 2-oximes by BuONO, (2) acid catalytic redn. to 2-amino-1-tetralone salts, N-acylation by isonicotinoyl chloride, and (3) a Robinson-Gabriel modified ring closure of the resulting amide by dehydration with POCl3. 1-Tetralone was added dropwise over 10 min to a soln. of tert-BuOK in tert-BuOH and Et20, followed by BuONO over 1 h. The product (59%) was hydrogenated over 1 h 20 min at 50 psi in the presence of Pd/BaSO4 and aq. HCl in MeOH, and the resulting 2-amino-1-tetralone hydrochloride (50%) reacted in pyridine over 2 h with isonicotinic acid chloride. The obtained isonicotinamide (50%) was refluxed 19 h in POCl3 to give 72% oxazole II which, after refluxing for 18 h with 4-MeOC6H4SO3Me in CH2Cl2, gave 91% title compd. I (R = H). The fluorescence wavelengths of the latter in EtOH were at 522 and 308 nm; that of II was at 434 nm.

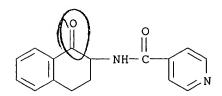
IT 129008-10-2P 129008-11-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and cyclodehydration of, by phosphorus oxychloride, in prepn. of rigidized laser dye)

RN 129008-10-2 CAPLUS

CN 4-Pyridinecarboxamide, N-(1,2,3,4-tetrahydro-1-oxo-2-naphthalenyl)- (9CI) (CA INDEX NAME)



RN 129008-11-3 CAPLUS

CN 4-Pyridinecarboxamide, N-(1,2,3,4-tetrahydro-6-methoxy-1-oxo-2-naphthalenyl)- (9CI) (CA INDEX NAME)

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- L4 ANSWER 53 OF 60 CAPLUS COPYRIGHT 2003 ACS
- AN 1988:604933 CAPLUS
- DN 109:204933
- TI Preparation of pyridine-2,4- and -2,5-dicarboxylic acid amides as collagen formation-inhibiting drugs
- IN Bickel, Martin; Brocks, Dietrich; Burghard, Harald; Guenzler, Volkmar; Henke, Stephan; Hanauske-Abel, Hartmut M.; Mohr, Juergen; Tschank, Georg
- PA Hoechst A.-G., Fed. Rep. Ger.
- SO Ger. Offen., 9 pp. CODEN: GWXXBX
- DT Patent
- LA German
- FAN CNT 1

FAN.	CNT 1						
	PATENT NO.	KIND	DATE		APP.	LICATION NO.	DATE
D.T.	DR 3703050		10000010			1007 2702050	10070210
PI	DE 3703959	A1	19880818			1987-3703959	
	FI 8800556	A	19880811		FI.	1988-556	19880208
	FI 91525	B C	19940331				
	FI 91525		19940711			1000 101700	
	EP 278453	A2	19880817		EP.	1988-101792	19880208
	EP 278453	A3	19891025				
	EP 278453	B1	19941228	CD CI	, T	n	C.F.
	-	•		GB, GI		r, LI, LU, NI	
	ES 2067448	T3	19950401 19880811			1988-101792 1988-11452	
	AU 8811452 AU 599746	A1 B2	19880811		AU .	1900-11432	19000209
					. אם	1988-659	19880209
	DK 8800659 DK 167804	A B1	19880811 19931220		. אע	1900-039	19000209
	NO 8800558	A A	19931220		NO.	1988-558	19880209
	NO 174420	В			NO .	1900-330	19000209
	NO 174420 NO 174420	C	19940124				
	JP 63216873	A2	19880909		TD '	1988-26723	19880209
	JP 06041450	B4	19940601		OF.	1900-20723	19000209
	ZA 8800896	A	19880928		7 N	1988-896	19880209
	CA 1334972	A1	19950328			1988-558496	
	HU 47250	A2	19890228			1988-609	19880210
	HU 205905	B	19920728		110		13000210
	US 5037839	A	19910806		IIS 1	1989-434402	19891113
	US 5153208	A	19921006			1991-726727	
	US 5512586	A	19960430			1995-367770	
	US 5672614	A	19970930			1995-482815	
PRAT	DE 1987-3703959		19870210				
	US 1988-153087		19880208				
	US 1989-434309		19891113				
	US 1991-726727		19910701				
	US 1992-906676		19920630				
	US 1993-66922		19930525				
	US 1995-367770		19950103				
	aranmaam 100 04			00400	_		

OS CASREACT 109:204933; MARPAT 109:204933

The title drugs I [Rl = (un)substituted alkyl, indolyl or Ph; R2 = H, R1; NR1R2 = hetero = cyclyl] are prepd. by reaction I (NR1R2=Y; Y = halo, OH) with HNR1R2. Pyridine-2,5--dicarboxylic acid in CH2Cl2 was treated with SOCl2 in DMF, and the mixt. was refluxed for 3 h, followed by treatment with 3-isopropoxypropylamine in CH2Cl2, at -30 to -20.degree., to give pyridine-2,5-dicarboxylic acid N,N'-di(3-isopropoxypropyl)amide (II). Two 25 mg does of II increased in the rat liver, in vivo, the levels of hydroxyproline, procollagen II peptide, 7S-collagen and type-IV collagen NC1.

IT 117517-24-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as collagen formation -inhibiting drug)

RN 117517-24-5 CAPLUS

CN 2,5-Pyridinedicarboxamide, N,N'-bis(1,2,3,4-tetrahydro-1-naphthalenyl)-(9CI) (CA INDEX NAME)

- L4 ANSWER 54 OF 60 CAPLUS COPYRIGHT 2003 ACS
- AN 1988:221420 CAPLUS
- DN 108:221420
- TI Preparation of substituted amino-5,6,7,8-tetrahydronaphthyloxyacetic acid derivatives as antithrombotics, antiischemics, and antiatherosclerotics
- IN Niewoehner, Ulrich; Hoever, Franz Peter; Junge, Bodo; Perzborn, Elisabeth; Seuter, Friedel; Fiedler, Volker Bernd
- PA Bayer A.-G., Fed. Rep. Ger.
- SO Ger. Offen., 18 pp. CODEN: GWXXBX
- DT Patent
- LA German
- FAN.CNT 1

FAN. CN	l. T				
P.A	ATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE	E 3623941	A1	19880128	DE 1986-3623941	19860716
US	3 4868331	Α	19890919	US 1987-68002	19870629
NC	8702784	А	19880118	NO 1987-2784	19870702
EH	253257	A2	19880120	EP 1987-109694	19870706
E	253257		19881130		
	253257	B1	19901114		
				GR, IT, LI, NL, SE	
ΑT	r 58372	E		AT 1987-109694	
	8703112			FI 1987-3112	
	K 8703682	A		DK 1987-3682	
	J 8775696	A1	19880121		
	J 591999	B2	19891221	110 130, 70030	150,0,10
	2 63023847			JP 1987-175000	19870715
	A 8705168	A	19880330		
	N 87104970		19880203	CN 1987-104970	
	J 45489	A2	19880728	HU 1987-3253	
	J 198442		19891030	110 1907 3233	150,0,10
	5 4921998	A		us 1989-352073	19890515
	E 1986-3623941		19860716	05 1303 332073	17070313
			19870629		
	9 1987-109694		19870706		
E.E	- 120/-102094		T20/0/00		

- OS CASREACT 108:221420; MARPAT 108:221420
- AB Title compds. I [R1 = R3CO, SO2R4; R3 = (substituted) aryl, heteroaryl, aralkyl; R4 = (substituted) aryl; R2 = OH, alkoxy, phenoxy, amino] are prepd. as antiischemics, antithrombotics and antiatherosclerotics. Heating a mixt. of 10 mmol 6-amino-5,6,7,8-tetrahydronaphth-1-yloxyacetic acid and 20 mmol PhSO3H for 2 h at 80.degree. in the presence of NaOH gave 63 g I (R1 = SO2Ph at 5-position, R2 = OH at 1-position) which gave in vitro platelet aggregation inhibition at 0.1-0.3 mg/L.
- IT 114665-40-6P 114665-45-1P 114665-48-4P 114665-71-3P 114665-80-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as antithrombotic)

- RN 114665-40-6 CAPLUS
- CN Acetic acid, [[5,6,7,8-tetrahydro-5-[(3-pyridinylcarbonyl)amino]-1-naphthalenyl]oxy]- (9CI) (CA INDEX NAME)

RN 114665-45-1 CAPLUS

CN Acetic acid, [[5,6,7,8-tetrahydro-6-[(3-pyridinylcarbonyl)amino]-1-naphthalenyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

RN 114665-48-4 CAPLUS

CN Acetic acid, [[5,6,7,8-tetrahydro-6-[(3-pyridinylcarbonyl)amino]-2-naphthalenyl]oxy]- (9CI) (CA INDEX NAME)

RN 114665-71-3 CAPLUS

CN Acetic acid, [[5,6,7,8-tetrahydro-5-[(3-pyridinylcarbonyl)amino]-1-naphthalenyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

114665-80-4 CAPLUS RN

Acetic acid, [[5,6,7,8-tetrahydro-6-[(3-pyridinylcarbonyl)amino]-2-CNnaphthalenyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

114665-57-5P 114665-62-2P 114665-64-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as antithrombotic intermediate)

RN 114665-57-5 CAPLUS

3-Pyridinecarboxamide, N-(1,2,3,4-tetrahydro-5-hydroxy-1-naphthalenyl)-CN (9CI) (CA INDEX NAME)

RN 114665-62-2 CAPLUS

CN3-Pyridinecarboxamide, N-(1,2,3,4-tetrahydro-5-hydroxy-2-naphthalenyl)-(9CI) (CA INDEX NAME)

RN

114665-64-4 CAPLUS 3-Pyridinecarboxamide, N-(1,2,3,4-tetrahydro-6-hydroxy-2-naphthalenyl)-(9CI) (CA INDEX NAME) CN

- L4 ANSWER 56 OF 60 CAPLUS COPYRIGHT 2003 ACS
- AN 1973:405361 CAPLUS
- DN 79:5361
- TI Pyrimidinylbenzenesulfonamides
- IN Huebner, Manfred; Heerdt, Ruth; Schmidt, Felix Helmut; Thiel, Max; Weyer, Rudi
- PA Boehringer Mannheim G.m.b.H.
- SO Ger. Offen., 22 pp.
  - CODEN: GWXXBX
- DT Patent

CNT 1 PATENT NO DE 2152230 US 3849417 CS 161959 CS 161961 CS 161958 CS 161960 GB 1346705 IL 40585 NL 7214010 AU 7247858 DK 130297 CH 578558 CH 578559	KIND A1 A P P A A1 A A1 B	DATE 19730426 19741119 19750610 19750610 19750610 19740213 19760430 19730425	APPLICATION NO DE 1971-2152230 US 1972-296744 CS 1972-427 CS 1972-429 CS 1972-6936 CS 1974-428 GB 1972-47608	
DE 2152230 US 3849417 CS 161959 CS 161961 CS 161958 CS 161960 GB 1346705 IL 40585 NL 7214010 AU 7247858 DK 130297 CH 578558 CH 578560	A1 A P P P P A A1 A	19730426 19741119 19750610 19750610 19750610 19750610 19740213 19760430	DE 1971-2152230 US 1972-296744 CS 1972-427 CS 1972-429 CS 1972-6936 CS 1974-428 GB 1972-47608	19711020 19721011 19721013 19721013 19721013 19721013
US 3849417 CS 161959 CS 161961 CS 161958 CS 161960 GB 1346705 IL 40585 NL 7214010 AU 7247858 DK 130297 CH 578558 CH 578560	A1 A P P P A A1 A	19730426 19741119 19750610 19750610 19750610 19750610 19740213 19760430	US 1972-296744 CS 1972-427 CS 1972-429 CS 1972-6936 CS 1974-428 GB 1972-47608	19711020 19721011 19721013 19721013 19721013 19721013
US 3849417 CS 161959 CS 161961 CS 161958 CS 161960 GB 1346705 IL 40585 NL 7214010 AU 7247858 DK 130297 CH 578558 CH 578560	A P P P A A1 A	19741119 19750610 19750610 19750610 19750610 19740213 19760430	US 1972-296744 CS 1972-427 CS 1972-429 CS 1972-6936 CS 1974-428 GB 1972-47608	19721011 19721013 19721013 19721013 19721013
CS 161959 CS 161961 CS 161958 CS 161960 GB 1346705 IL 40585 NL 7214010 AU 7247858 DK 130297 CH 578558 CH 578560	P P P P A A1 A	19750610 19750610 19750610 19750610 19740213 19760430	CS 1972-427 CS 1972-429 CS 1972-6936 CS 1974-428 GB 1972-47608	19721013 19721013 19721013 19721013
CS 161961 CS 161958 CS 161960 GB 1346705 IL 40585 NL 7214010 AU 7247858 DK 130297 CH 578558 CH 578560	P P P A A1 A	19750610 19750610 19750610 19740213 19760430	CS 1972-429 CS 1972-6936 CS 1974-428 GB 1972-47608	19721013 19721013 19721013
CS 161958 CS 161960 GB 1346705 IL 40585 NL 7214010 AU 7247858 DK 130297 CH 578558 CH 578560	P P A A1 A	19750610 19750610 19740213 19760430	CS 1972-6936 CS 1974-428 GB 1972-47608	19721013 19721013
CS 161960 GB 1346705 IL 40585 NL 7214010 AU 7247858 DK 130297 CH 578558 CH 578560	P A A1 A A1	19750610 19740213 19760430	CS 1974-428 GB 1972-47608	19721013
GB 1346705 IL 40585 NL 7214010 AU 7247858 DK 130297 CH 578558 CH 578560	A A1 A A1	19740213 19760430	GB 1972-47608	
IL 40585 NL 7214010 AU 7247858 DK 130297 CH 578558 CH 578560	A1 A A1	19760430		12/21010
NL 7214010 AU 7247858 DK 130297 CH 578558 CH 578560	A A1		IL 1972-40585	19721016
AU 7247858 DK 130297 CH 578558 CH 578560	A1		NL 1972-14010	19721017
DK 130297 CH 578558 CH 578560		19731011	AU 1972-47858	19721017
СН 578558 СН 578560		19750203	DK 1972-5124	19721017
CH 578560	A	19760813	CH 1972-15137	19721017
	Α	19760813	СН 1976-2724	19721017
OH 010000	Α	19760813	СН 1976-2722	19721017
CH 591476	A	19770915	СН 1976-2723	19721017
FR 2157878	A1	19730608	FR 1972-36850	19721018
ZA 7207443	Α	19730829	ZA 1972-7443	19721018
DD 101153	С	19731020	DD 1972-166307	19721018
AT 319954	В	19750127	AT 1972-8965	19721019
AT 319958	В	19750127	AT 1974-306	19721019
AT 319959	В	19750127	AT 1974-309	19721019
AT 319957	В	19750127	AT 1974-305	19721019
ES 407775	A1	19751101	ES 1972-407775	19721019
PL 83610	P	19751231	PL 1972-158370	19721019
CA 985682	A1	19760316	CA 1972-154566	19721019
SU 556726	D	19770430		19721019
		19770430	PL 1972-175422	19721019
		19770430		19721019
	P	19770430		19721019
NO 136842	В	19770808	NO 1972-3756	19721019
RO 68647	В	19790710	RO 1972-80265	19721019
RO 68647	P	19800415		
RO 68646	В	19790715	RO 1972-80264	19721019
RO 68646	P	19800315		
RO 68648	В	19790715	RO 1972-80266	19721019
		19800315		
RO 74822	P		RO 1972~72570	19721019
		19730713	JP 1972-105135	19721020
JP 48049777	B4	19760728		_
JP 48049777 JP 51025032			SU 1973-1985248	19731228
JP 51025032 ·				
JP 51025032 · SU 553931				
JP 51025032 · SU 553931 SU 499807	D	<del></del>		
JP 51025032 · SU 553931	D P	19810924	RO 1975-72570	19751019
	SU 556726 PL 92393 PL 92394 PL 92392 NO 136842 RO 68647 RO 68646 RO 68646 RO 68648 RO 68648 RO 68648 RO 74822 JP 48049777 JP 51025032 SU 553931 SU 499807	SU 556726 D PL 92393 P PL 92394 P PL 92392 P NO 136842 B RO 68647 B RO 68646 B RO 68646 P RO 68648 B RO 68648 P RO 68648 P RO 74822 P JP 48049777 A2 JP 51025032 B4 SU 553931 D SU 499807 D	SU 556726 D 19770430 PL 92393 P 19770430 PL 92394 P 19770430 PL 92392 P 19770430 NO 136842 B 19770808 RO 68647 B 19790710 RO 68646 B 19790715 RO 68646 P 19800315 RO 68648 B 19790715 RO 68648 P 19800315 RO 68648 P 19800315 RO 74822 P 19800930 JP 48049777 A2 19730713 JP 51025032 B4 19760728 SU 553931 D 19770405 SU 499807 D 19760115	SU 556726       D 19770430       SU 1972-1839306         PL 92393       P 19770430       PL 1972-175422         PL 92394       P 19770430       PL 1972-175421         PL 92392       P 19770430       PL 1972-175423         NO 136842       B 19770808       NO 1972-3756         RO 68647       B 19790710       RO 1972-80265         RO 68646       B 19790715       RO 1972-80264         RO 68646       P 19800315       RO 1972-80266         RO 68648       B 19790715       RO 1972-80266         RO 74822       P 19800930       RO 1972-72570         JP 48049777       A2 19730713       JP 1972-105135         JP 51025032       B4 19760728         SU 553931       D 19770405       SU 1973-1985248         SU 499807       D 19760115       SU 1973-1982651

About 20 title compds. [I; R = H or Me; R1 = e.g. Pr, CH2SEt, OCH2CH2OMe, AB SCHMe2, or cyclohexylmethyl; A = (6-chloro)-8-chromanyl, 9-homochromanyl, 5-chloro-2-methyl-7-benzo[b] furyl, or Y with Q = O or S; R2 = 2- or 3-Me; R3 = H, 4- or 5-Me, 5-OMe, or 5-Cl] and one 1-phenethylbiguanide salt, useful as antidiabetics, were prepd. by several methods. Thus, YCOCl (Q = O, R2 = 2-Me, R3 = 5-OMe) reacted with 4-(2-aminoethyl)-N-[5cyclohexylmethyl)-2-pyrimidinyl]benzenesulfonamide-HCl in aq. NaOH at pH 12 for >1 hr to give 70.7% I (X = p-C6H4, A = Y, Q = O, R = H, R2 = cyclohexylmethyl, R2 = 2-Me, R3 = 5-OMe). 2-Amino-5-isopropoxypyrimidine reacted with the corresponding benzenesulfonyl Cl in pyridine 4 hr at room temp. and on the steam bath giving 33% I (X = p-C6H4, A = Y, Q = O, R = H, R1 = OCHMe2, R2 = 2-Me, R3 = 5-C1). Isocaproaldehyde and COC12 were refluxed in CH2C12 and DMF 4 hr, and the reaction product was refluxed with the corresponding benzenesulfonylguanidine in 30% MeONa 12 hr to give I (X = p-C6H4, A = Y, Q = O, R = H, R1 = CH2CHMe2, R2 = 2-Me, R3 = 5-C1)(II). 5-Isobutyl-2-(trimethylammonio)pyrimidine chloride reacted with the corresponding benzenesulfonamide Na salt in AcNMe2 .apprx.20 hr at room temp. to give II.

IT 42074-85-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 42074-85-1 CAPLUS

CN 2H-1-Benzopyran-8-carboxamide, 3,4-dihydro-N-[1,2,3,4-tetrahydro-7-[[[5-[(1-methylethyl)thio]-2-pyrimidinyl]amino]sulfonyl]-2-naphthalenyl]- (9CI) (CA INDEX NAME)

- ANSWER 57 OF 60 CAPLUS COPYRIGHT 2003 ACS L4
- 1973:111008 CAPLUS AN.
- 78:111008 DN
- [2-(Acylamino)-1,2,3,4-tetrahydro-7-naphthylsulfonyl]ureas ΤI
- Heerdt, Ruth; Huebner, Manfred; Schmidt, Felix Helmut; Thiel, Max; IN Aumueller, Walter
- Boehringer, Mannheim G.m.b.H. PA
- Ger. Offen., 17 pp. SO CODEN: GWXXBX
- DTPatent
- German LΑ
- FAN CNT 1

FAN. CNI I										
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE					
ΡI	DE 2135805	A1	19730208	DE 1971-2135805	19710717					
	GB 1336983	Α	19731114	GB 1972-32364	19720711					
•	FR 2146260	A1	19730302	FR 1972-25217	19720712					
	SE 382632	В	19760209	SE 1972-9167	19720712					
	СН 577468	Α	19760715	CH 1975-16011	19720712					
	CH 583187	Α	19761231	CH 1972-10482	19720712					
	AT 320661	В	19750225	AT 1972-6093	19720714					
	AT 324350	В	19750825	AT 1973-9454	19720714					
DDAT	DE 1071_2125905		10710717							

PRAI DE 1971-2135805 19710717

- Nineteen title compds. [I, e.g. R = 2,5-MeOMeC6H3, 2,5-MeOFC6H3, 2,5-(MeO)2C6H3, 2,5-EtoClC6H3, 3-(.beta.-methoxyethoxy)-2-thienyl, fluoren-9-ylmethyl, 5-methyl-3-isoxazolyl; R1 = Bu, cyclopentyl, 4-methylcyclohexyl, 3-cyclohexenyl, 1-adamantyl, or 4-methylpiperidinol, useful as hypoglycemics, were prepd. by reaction of II with OCNR1 or of III with H2NR1. I (R = 5-methyl-3-isoxazolyl, R1 = cyclohexyl) was prepd. by adding 5-methylisoxazole-3-carbonyl chloride to N-(2-amino-1,2,3,4tetrahydro-7-naphthylsulfonyl)-N'-cyclohexylurea.
- IT40153-63-7P 40153-73-9P 40153-74-0P 40153-83-1P
  - RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
- 40153-63-7 CAPLUS RN
- 2-Thiophenecarboxamide, 3-(2-methoxyethoxy)-N-[1,2,3,4-tetrahydro-7-[[[[(4-CN methylcyclohexyl)amino]carbonyl]amino]sulfonyl]-2-naphthalenyl]- (9CI) (CA INDEX NAME)

- 40153-73-9 CAPLUS RN
- 2-Thiophenecarboxamide, 3-ethoxy-N-[1,2,3,4-tetrahydro-7-[[[[(4-CN methoxycyclohexyl)amino]carbonyl]amino]sulfonyl]-2-naphthalenyl]- (9CI) (CA INDEX NAME)

RN 40153-74-0 CAPLUS

CN Carbamic acid, [[7-[[(3-ethoxy-2-thienyl)carbonyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 40153-83-1 CAPLUS

CN 3-Isoxazolecarboxamide, N-[7-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-1,2,3,4-tetrahydro-2-naphthalenyl]-5-methyl- (9CI) (CA INDEX NAME)

IT 40153-75-1

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with Et chloroformate)

RN 40153-75-1 CAPLUS

CN 2-Thiophenecarboxamide, N-[7-(aminosulfonyl)-1,2,3,4-tetrahydro-2-naphthalenyl]-3-ethoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
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 & H_2N-S & O & O & O \\
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Intermediate.

Reg es are not - soonte in tre claims.

IT 40153-64-8

RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with isocyanates)

RN 40153-64-8 CAPLUS

CN 2-Thiophenecarboxamide, N-[7-(aminosulfonyl)-1,2,3,4-tetrahydro-2-

naphthalenyl]-3-(2-methoxyethoxy)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & O & O \\ H_2N-S & O & O \\ O & NH-C & O \\ \hline \\ MeO-CH_2-CH_2-O & O \\ \end{array}$$

- L4 ANSWER 58 OF 60 CAPLUS COPYRIGHT 2003 ACS
- AN 1973:4276 CAPLUS
- DN 78:4276
- TI 4-[.beta.-(Quinoline-8-carboxamido)ethyl]-N-(2-pyrimidinyl)benzenesulfonamides
- IN Weyer, Rudi; Aumueller, Walter; Schweitzer, Roland; Weber, Helmut; Huebner, Manfred
- PA Farbwerke Hoechst A.-G.
- SO Ger. Offen., 15 pp. CODEN: GWXXBX
- DT Patent
- LA German
- FAN. CNT 1

FAN.CNT 1 PATENT NO.			KIND	DATE	APPLICATION NO.		DATE
PI	DE	2107557	Α	19721005	DE	1971-2107557	19710217
	ES	399627	A1	19750616	ES	1972-399627 <sup>-</sup>	19720210
	NL	7201836	A	19720821	NL	1972-1836	19720211
	BE	779372	A1	19720816	BE	1972-113969	19720215
	ΑU	7238979	A1	19730816	AU	1972-38979	19720215
	US	3816424	Α	19740611	US	1972-226530	19720215
	DK	132223	В	19751110	DK	1972-692	19720215
	FR	2125514	A5	19720929	FR	1972-5188	19720216
	FR	2125514	B1	19750425			
	ZA	7201018	Α	19721227	ZA	1972-1018	19720216
	ΑT	7201260	Α	19750215	AT	1972-1260	19720216
	ΑT	326128	В	19751125			
	ΑT	7407505	Α	19750215	AT	1972-750574	19720216
		7407504	Α	19750215		1972-750474	19720216
		963009	A1	19750218		1972-134867	19720216
		326132	В	19751125		1972-326132	19720216
		326131	В	19751125		1972-326131	19720216
		385886	В	19760726		1972-1874	19720216
		578554	Α	19760813		1972-2196	19720216
		579070	Α	19760831		1975-8211	19720216
		579071	Α	19760831		1975-8212	19720216
		1377793	Α	19741218		1972-7398	19720217
		7407503	А	19770615	AΤ	1974-7503	19740917
		341528	В	19780210			
		605912	Α	19781013	CH	1975-8210	19750216
PRAI		1971-2107557		19710217			
	ΑT	1972-1260		19720216			

- AB Seventeen title compds. (I, n = 0 or 2; R = H or Me; R1 = Me2CHCH2, Et, Pr, Bu, EtO, Ph, cyclohexyl, Me2CHCH2O, Me2CH, or EtSCH2; R2 = H, Cl, or Br), useful as hypoglycemic agents, were prepd. by reaction of the corresponding (quinolinecarboxamido)benzenesulfonyl chlorides with 2-aminopyrimidines; or of the quinolinecarbonyl chloride with 4-(.beta.-aminoethyl)-N-(2-pyrimidinyl)benzenesulfonamides.
- IT 39268-67-2P
- RN 39268-67-2 CAPLUS
- CN 8-Quinolinecarboxamide, 6-chloro-N-[1,2,3,4-tetrahydro-7-[[[5-(2-methylpropyl)-2-pyrimidinyl]amino]sulfonyl]-2-naphthalenyl]- (9CI) (CAINDEX NAME)

$$\begin{array}{c|c} C1 \\ \hline \\ O = C - NH \\ \hline \\ O \\ \hline \\ O \\ \end{array}$$

#### 10/073,307

- L4 ANSWER 59 OF 60 CAPLUS COPYRIGHT 2003 ACS
- AN 1972:488182 CAPLUS
- DN 77:88182
- TI Chemotherapeutic nitroheterocycles. XI. Indanylamides and indanylesters of 5-nitrofurancarboxylic acids and analogous compounds as antimicrobial agents
- AU Albrecht, R.; Kessler, H. J.
- CS Dep. Arzneimittelchem., Schering A.-G., Berlin, Fed. Rep. Ger.
- SO Chimica Therapeutica (1972), 7(1), 9-13 CODEN: CHTPBA; ISSN: 0009-4374
- DT Journal
- LA English
- AB Esters and amides of 5-nitrofurancarboxylic and acrylic acids I (Q = Q1, R = H, 4-Me, 6-Me, 6-MeO, n = 0.1; X = O, NH; Z = CH2, CH2CH2, CH2O) and I (n = 1, Q = 5,6-dimethoxy-1-indanylamino, 1-oxo-7-indanyloxy, 5,6,7,8-tetrahydro-2-naphthylamino, 5-oxo-5,6,7-8-tetrahydro-2-naphthylamino, 3,4-methylenedioxyanilino, 1-indolinyl, 4-oxo-1,2,3,4-tetrahydroquinolyl, 7-methoxy-4-oxo-1,2,3,4-tetrahydroquinolyl) were prepd. for biocidal testing by acylation of the amine or alc. with the acid chloride and Et3N. I (n = 1, Q = 1-oxo-7-indanyloxy) was prepd. in 41% yield from the acid chloride in pyridine and had a min. inhibitory concn. of 2.1 .mu.g/ml against Candida albicans. I (n = 1, Q = 3,4-methy-lenedioxyanilino) had min. inhibitory concns. against Staphylococcus aureus 1.6, Escherichia coli 0.8 and Mycobacterium tuberculosis 1.6 .mu.g/ml. Several I were active in vitro against Trichomonas vaginalis but not in vivo.
- IT 37542-57-7P 37542-58-8P
- RN 37542-57-7 CAPLUS
- CN 2-Furancarboxamide, 5-nitro-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

- RN 37542-58-8 CAPLUS
- CN 2-Furancarboxamide, 5-nitro-N-(1,2,3,4-tetrahydro-6-methoxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)

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10/073,307
L4
     ANSWER 60 OF 60 CAPLUS COPYRIGHT 2003 ACS
AN
     1972:99694 CAPLUS
     76:99694
DN
     Blood sugar-lowering sulfonylamino pyrimidines
TΤ
     Hagedorn, Adolf; Huebner, Manfred; Heerdt, Ruth; Schmidt, Felix Helmut;
     Aumueller, Walter
PA
     Boehringer Mannheim G.m.b.H.
SO
     Ger. Offen., 18 pp.
     CODEN: GWXXBX
DT
     Patent
T.A
     German
FAN.CNT 1
                      KIND
                                           APPLICATION NO.
                                                             DATE
     PATENT NO.
                            DATE
                                           DE 1970-2022746
                                                             19700509
PΙ
                            19711202
     DE 2022746
                       Α
     CH 563992
                            19750715
                                           CH 1971-6640
                                                             1971,0505
     CH 563993
                       Α
                            19750715
                                           CH 1975-3593
                                                             19710505
     CH 563994
                       Α
                            19750715
                                           CH 1975-3594
                                                             19710505
     CH 572913
                       Α
                            19760227
                                           CH 1975-3595
                                                             19710505
                                           GB 1971-1291661
                                                             19710506
     GB 1291661
                       Α
                            19721004
                                           FR 1971-16514
                                                             19710507
     FR 2100641
                       A5
                            19720324
     FR 2100641
                       В1
                            19750418
     AT 306728
                       В
                            19730425
                                           AT 1971-3996
                                                             19710507
                            19730425
     AT 306737
                       В
                                           AT 1972-4102
                                                             19710507
                       В
                            19730425
                                           AT 1972-4104
                                                             19710507
    AT 306738
    AT 307428
                       В
                            19730525
                                           AT 1972-4103
                                                             19710507
PRAI DE 1970-2022746
                            19700509
     Approx. 20 title compds. [I, R = 5,2-Me(MeO)C6H3, 5,2-Cl(MeO)C6H3,
     5,2-Cl(EtO)C6H3, 5,2-F(MeO)C6H3, 5,2-Br(MeO)C6H3, 9-fluorenylmethyl,
     2-MeOC6-H4, 3-ethoxy-2-thienyl, 3-(2-methoxyethoxy)-2-thienyl; R1 =
     Me2CHO, Pr, MeOCH2, Me2CHS, Me2CHCH2, PhCH2, MeOCH2CH2, cyclohexyl,
     cyclohexylmethyl, cyclohexyloxy, Ph; R2 = H, Me; R1R2 = (CH2)4] were
     prepd. -(2-Methoxy-5-methylbenzamido)-1,2,3,4-tetrahydronaphthalene-7-
     sulfonyl chloride was treated with 2-amino-5-isopropoxypyrimidine in abs.
     pyridine to give I [R = 5, 2-Me(MeO)C6H3, R1 = Me2CHO, R2 = H].
     -Fluorenylacetyl chloride was treated with 2-amino-N-(5-isobutyl-2-
     pyrimidinyl)-1,2,3,4-tetrahydronaphthalene-7-sulfonamide to give
     2-(9-fluorenylacetamido)-N-(5-isobutyl-2-pyrimidinyl)-1,2,3,4-
     tetrahydronaphthalene-7-sulfonamide. -(5-Chloro-2-methoxybenzamido)-
     1,2,3,4-tetrahydronaphtha-lene-7-sulfonamide Na salt and
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IT 35265-95-3P 35265-96-4P

> RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

5,2-C1 (MeO) C6H3, R1 = Me2CHCH2, R2 = H].

35265-95-3 CAPLUS RN

2-Thiophenecarboxamide, 3-ethoxy-N-[1,2,3,4-tetrahydro-7-[[[5-(2-CN methylpropy1)-2-pyrimidinyl]amino]sulfonyl]-2-naphthalenyl]- (9CI) INDEX NAME)

5-isobutyl-2-trimethylammonio-pyrimidine chloride gave I [R =

# 10/073,307

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RN 35265-96-4 CAPLUS

CN 2-Thiophenecarboxamide, 3-(2-methoxyethoxy)-N-[1,2,3,4-tetrahydro-7-[[[5-(2-methylpropyl)-2-pyrimidinyl]amino]sulfonyl]-2-naphthalenyl]- (9CI) (CA INDEX NAME)

## 10/073,307

=> d his

(FILE 'HOME' ENTERED AT 14:07:40 ON 06 MAR 2003)

FILE 'REGISTRY' ENTERED AT 14:07:45 ON 06 MAR 2003

L1 STRUCTURE UPLOADED

L2 2 S L1 SSS SAM

L3 319 S L1 SSS FUL

FILE 'CAPLUS' ENTERED AT 14:08:41 ON 06 MAR 2003

L4 60 S L3

FILE 'CAOLD' ENTERED AT 14:11:04 ON 06 MAR 2003

=> s 13

L5 0 L3

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COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 0.40 422.99

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL

CA SUBSCRIBER PRICE ENTRY SESSION 0.00 -39.06

STN INTERNATIONAL LOGOFF AT 14:11:18 ON 06 MAR 2003